SEARCH REQUEST FOR

Scientific and Technical Information Center

ywords, synonym	ns, acrony pecial mea	ms, and regis aning. Give ex	as possible the subject matter to be searched. try numbers, and combine with the concept or examples or relevant citations, authors, etc., if
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all pertinent infor	rmation (p	parent, child, di	visional, or issued patent numbers) along with the
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Directore (ii)		4	
	Point of Co Barb O'E Technical Informa STIC CM1 6A ************************************	Point of Contact: Barb O'Bryen	Point of Contact: Barb O'Bryen Technical Information Specialist STIC CM1 6A05 308-4291 Type of Search NA Sequence (#) STN AA Sequence (#) Dialog

45

Fulltext

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=> fil reg; d stat que 13; fil capl; d que nos 14; fil uspatfu; d que nos 17 FILE 'REGISTRY' ENTERED AT 10:33:08 ON 06 MAY 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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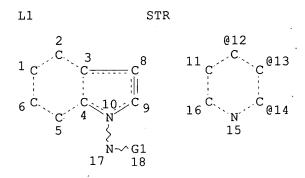
STRUCTURE FILE UPDATES: 5 MAY 2003 HIGHEST RN 510776-00-8 DICTIONARY FILE UPDATES: 5 MAY 2003 HIGHEST RN 510776-00-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting ${\tt SmartSELECT}$ searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf



VAR G1=12/13/14 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE
L3 225 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 898 ITERATIONS (225 ANSWERS) SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 10:33:08 ON 06 MAY 2003
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FILE COVERS 1907 - 6 May 2003 VOL 138 ISS 19 FILE LAST UPDATED: 5 May 2003 (20030505/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

L1 STR
L3 225 SEA FILE=REGISTRY SSS FUL L1
L4 43 SEA FILE=CAPLUS ABB=ON L3

FILE 'USPATFULL' ENTERED AT 10:33:08 ON 06 MAY 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 6 May 2003 (20030506/PD)
FILE LAST UPDATED: 6 May 2003 (20030506/ED)
HIGHEST GRANTED PATENT NUMBER: US6560778
HIGHEST APPLICATION PUBLICATION NUMBER: US2003084495
CA INDEXING IS CURRENT THROUGH 6 May 2003 (20030506/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 6 May 2003 (20030506/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2003
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2003

>>> USPAT2 is now available. USPATFULL contains full text of the <<< >>> original, i.e., the earliest published granted patents or <<< >>> applications. USPAT2 contains full text of the latest US <<< >>> publications, starting in 2001, for the inventions covered in <<< >>> USPATFULL. A USPATFULL record contains not only the original <<< >>> published document but also a list of any subsequent <<< >>> publications. The publication number, patent kind code, and <<< >>> publication date for all the US publications for an invention <<< >>> are displayed in the PI (Patent Information) field of USPATFULL <<< >>> records and may be searched in standard search fields, e.g., /PN, <<< <<< /PK, etc. >>> <<< USPATFULL and USPAT2 can be accessed and searched together through the new cluster USPATALL. Type FILE USPATALL to <<< >>> <<< >>>

This file contains CAS Registry Numbers for easy and accurate substance identification.

L1 STR
L3 225 SEA FILE=REGISTRY SSS FUL L1
15 SEA FILE=USPATFULL ABB=ON L3,

enter this cluster.

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FILE 'CAPLUS' ENTERED AT 10:33:11 ON 06 MAY 2003
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 COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
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 CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
 PROCESSING COMPLETED FOR L4
 PROCESSING COMPLETED FOR L7
 L9 (7 DUPLICATES REMOVED)
                ANSWERS '1-42' FROM FILE CAPLUS
                ANSWERS '43-51' FROM FILE USPATFULL
dibib abs hitstr 1-51; fil cao; d que nos 18; fil hom
                                                      DUPLICATE 1
      ANSWER 1 OF 51
                     CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER:
                       2000:548722 CAPLUS
 DOCUMENT NUMBER:
                         133:150459
                         Preparation of isatin derivatives as
 TITLE:
                         acetylcholinesterase inhibitors and analgesics
                         Shimshock, Stephen J.; Chesson, Susan M.; Mutlib,
 INVENTOR(S):
                         Abdul E.
                         Aventis Pharmaceuticals Inc., USA
 PATENT ASSIGNEE(S):
 SOURCE:
                         U.S., 5 pp.
                         CODEN: USXXAM
```

DOCUMENT TYPE:

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 6100276 A 20000808 US 1997-806012 19970284

PRIORITY APPLN: INFO:: US 1996-112005P P 19960412

OTHER SOURCE(S): MARPAT 133:150459

The title compds. [I; R = H, alkyl, hydroxyalkyl; X = H, OH, alkoxy, etc.; Y = H, halo], useful for the treatment of memory dysfunction characterized by decreased cholinergic function, and for analgesia, were prepd. Thus, treatment of N-propyl-N-(pyridin-4-yl)-N-1H-indolyl-1-amine with thallium(III) nitrate trihydrate in MeOH afforded I [R = Pr; X, Y = H]. Compds. I are effective as analgesics at 10-50 mg/kg/day.

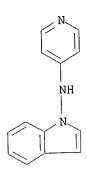
IT 119257-33-9 119257-34-0

RL: RCT (Reactant); RACT (Reactant or reagent)

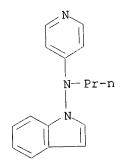
(prepn. of isatin derivs. as acetylcholinesterase inhibitors and analgesics)

119257-33-9 CAPLUS RN

1H-Indol-1-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME) CN



119257-34-0 CAPLUS 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) RN CN



THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 15 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 51 CAPLUS COPYRIGHT 2003 ACS

DUPLICATE 2

ACCESSION NUMBER:

1998:471468 CAPLUS

DOCUMENT NUMBER:

129:122574

TITLE:

Preparation of (un) substituted N-(pyrrol-1-

yl)pyridinamines as anticonvulsants

INVENTOR(S):

Huger, Francis Parker; Smith Craig Paul; Kongsamut,

Sathapana; Tang, Lei

PATENT ASSIGNEE(S):

Hoechst Marion Roussel, Inc., USA

SOURCE:

U.S., 28 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

DATE APPLICATION NO. DATE KIND PATENT NO. 19960708 US 1996-676608 19980707 (US-5776955) 19960708 US 1996-676608 PRIORITY APPLN INFO .: MARPAT 129:122574

OTHER SOURCE(S):

GΙ

$$R^2$$
 R^3
 R^4
 R^4

AB The title compds. [I; R = H, Cl-6 alkyl, C2-6 alkenyl, etc.; R1, R2 = H, halo, Cl-6 alkyl; R1R2 together with the carbons to which they are attached form (un)substituted benzene ring fused to the pyrrole ring; R3 = H, halo, Cl-6 alkyl; R4 = H, halo, NH2, Cl-6 alkyl; n = 0-1], useful in treating a patient in need of relief from convulsions, were prepd. Thus, reaction of 1H-indol-1-amine with 3,4-dichloropyridine: HCl in iPrOH afforded II.HCl which showed IC50 of 14 .mu.M against [3H]batrachotoxin binding.

119229-38-8P 119229-43-5P 119229-46-8P 119229-50-4P 119229-57-1P 119229-58-2P 119257-32-8P 119257-33-9P 119257-38-4P 119257-43-1P 145660-10-2P 159732-16-8P 159732-18-0P 173341-09-8P 173677-77-5P 188028-92-4P 188028-98-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of (un) substituted N-(pyrrol-1-yl)pyridinamines as anticonvulsants)

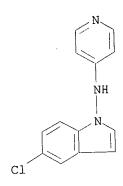
RN 119229-38-8 CAPLUS

CN 1H-Indole-3-carboxaldehyde, 1-(methyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

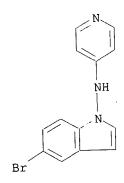
RN 119229-43-5 CAPLUS

CN 1H-Indol-1-amine, 3-ethenyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

119229-46-8 CAPLUS RN 1H-Indol-1-amine, 5-chloro-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN



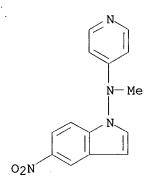
119229-50-4 CAPLUS RN 1H-Indol-1-amine, 5-bromo-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN



119229-57-1 CAPLUS RN 1H-Indol-1-amine, 5-nitro-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

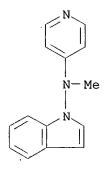
RN 119229-58-2 CAPLUS

1H-Indol-1-amine, N-methyl-5-nitro-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN



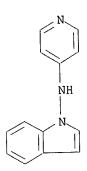
119257-32-8 CAPLUS RN

1H-Indol-1-amine, N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

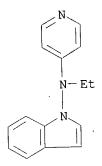


119257-33-9 CAPLUS RN

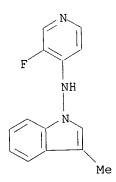
CN 1H-Indol-1-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119257-38-4 CAPLUS CN 1H-Indol-1-amine, N-ethyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119257-43-1 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 145660-10-2 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 159732-16-8 CAPLUS

CN 1H-Indole-3-carboxaldehyde, 1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 159732-18-0 CAPLUS

CN 1H-Indol-1-amine, 3-ethenyl-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 173341-09-8 CAPLUS

CN 1H-Indol-1-amine, 3-chloro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

Jones

173677-77-5 CAPLUS 1H-Indole-3-carboxaldehyde, 1-(propyl-4-pyridinylamino)-, oxime (9CI) RN CN INDEX NAME)

188028-92-4 CAPLUS 1H-Indole-3-acetonitrile, 1-(4-pyridinylamino)- (9CI) (CA INDEX NAME) RN CN

188028-98-0 CAPLUS RN 1H-Indol-1-amine, N-(5-chloro-3-pyridinyl)- (9CI) (CA INDEX NAME) CN

119229-37-7P 119229-39-9P 119229-40-2P IT 119229-41-3P 119229-44-6P 119229-45-7P 119229-47-9P 119229-48-0P 119229-49-1P 119229-51-5P 119229-52-6P 119229-53-7P 119229-54-8P 119229-55-9P 119229-56-0P 119229-59-3P 119229-60-6P 119229-61-7P 119229-62-8P 119229-63-9P 119229-64-0P 119229-65-1P 119229-68-4P 119229-69-5P 119257-34-0P 119257-35-1P 119257-36-2P 119257-37-3P 119257-39-5P 119257-40-8P 119257-41-9P 141287-61-8P 141287-62-9P 141287-65-2P 141287-68-5P 141287-69-6P 141287-72-1P 159732-08-8P 159732-09-9P 159732-10-2P 159732-11-3P 159732-12-4P 159732-13-5P 159732-14-6P 159732-15-7P 159732-17-9P 159732-19-1P 159732-20-4P 159732-21-5P 159732-22-6P 159732-23-7P 159732-24-8P 159732-25-9P 159732-26-0P 159732-27-1P 159732-28-2P 159732-29-3P 159732-30-6P 159732-31-7P 159732-32-8P 159732-33-9P 159732-34-0P 159732-35-1P 159732-36-2P 159732-37-3P 159732-38-4P 159732-39-5P 159732-40-8P 159732-41-9P 159732-42-0P 159732-43-1P 159732-44-2P

RN 119229-39-9 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 1-(methyl-4-pyridinylamino)-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-38-8 CMF C15 H13 N3 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-40-2 CAPLUS CN 1H-Indole-3-carboxaldehyde, 1-(ethyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 119229-41-3 CAPLUS CN 1H-Indole-3-carboxaldehyde, 1-(ethyl-4-pyridinylamino)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-40-2 CMF C16 H15 N3 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-44-6 CAPLUS

CN 1H-Indol-1-amine, 3-ethenyl-N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-43-5 CMF C16 H15 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-45-7 CAPLUS

CN 1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

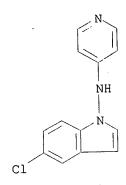
● HCl

RN 119229-47-9 CAPLUS

1H-Indol-1-amine, 5-chloro-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) CN (CA INDEX NAME)

CM1

CRN 119229-46-8 C13 H10 C1 N3 CMF



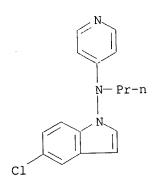
2 CM

110-16-7 CRN C4 H4 O4 CMF

Double bond geometry as shown.

119229-48-0 CAPLUS RN CN

1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



119229-49-1 CAPLUS RN

1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate CN (1:1) (9CI) (CA INDEX NAME)

1 CM

119229-48-0 CRN CMF C16 H16 C1 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-51-5 CAPLUS
CN 1H-Indol-1-amine, 5-bromo-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-50-4 CMF C13 H10 Br N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

HO₂C Z CO₂H

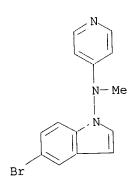
RN 119229-52-6 CAPLUS CN 1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

N—Me

RN 119229-53-7 CAPLUS
CN 1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-52-6 CMF C14 H12 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

HO₂C Z CO₂H

3

RN 119229-54-8 CAPLUS

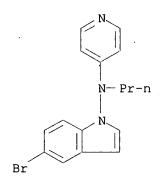
CN 1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-55-9 CAPLUS

CN 1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-54-8 CMF C16 H16 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-56-0 CAPLUS

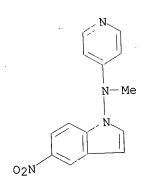
CN 1H-Indol-1-amine, 5-nitro-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

1H-Indol-1-amine, N-methyl-5-nitro-N-4-pyridinyl-, (2Z)-2-butenedioate 119229-59-3 CAPLUS RN CN (1:1) (9CI) (CA INDEX NAME)

CM1

119229-58-2 CRN CMF C14 H12 N4 O2 ·



CM

110-16-7 CRN

CMF C4 H4 O4

Double bond geometry as shown.

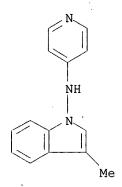
119229-60-6 CAPLUS 1H-Indol-1-amine, 3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) RN CN

RN 119229-61-7 CAPLUS

CN 1H-Indol-1-amine, 3-methyl-N-4-pyridinyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-60-6 CMF C14 H13 N3



CM 2

CRN 144-62-7 CMF C2 H2 O4

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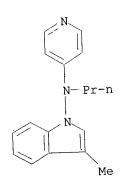
RN 119229-62-8 CAPLUS

CN 1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate RN CN (1:1) (9CI) (CA INDEX NAME)

CM1

CRN 119229-62-8 CMF C17 H19 N3



CM

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl-, RN CN monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 119229-65-1 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 119229-68-4 CAPLUS
CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-propyl-, monohydrochloride
(9CI) (CA INDEX NAME)

● HCl

RN 119229-69-5 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 119257-34-0 CAPLUS CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-35-1 CAPLUS CN 1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-36-2 CAPLUS CN 1H-Indol-1-amine, N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-33-9 CMF C13 H11 N3

CM 2 .

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119257-37-3 CAPLUS
CN 1H-Indol-1-amine, N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-32-8 CMF C14 H13 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

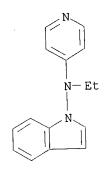
Double bond geometry as shown.

Jones

119257-39-5 CAPLUS 1H-Indol-1-amine, N-ethyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) RN CN (CA INDEX NAME)

1 CM

CRN 119257-38-4 CMF C15 H15 N3



CM

110-16-7 CRN CMF C4 H4 O4

Double bond geometry as shown.

119257-40-8 CAPLUS 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) RN CN(CA INDEX NAME)

1 CM

CRN 119257-34-0 CMF C16 H17 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119257-41-9 CAPLUS

CN 1H-Indol-1-amine, 5-methoxy-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-37-7 CMF C17 H19 N3 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

Jones

141287-61-8 CAPLUS RN

1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-, monohydrochloride CN (9CI) (CA INDEX NAME)

HCl

141287-62-9 CAPLUS RN

1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]- (9CI) (CA INDEX CN

141287-65-2 CAPLUS RN

1H-Indol-5-ol, 3-methyl-1-(4-pyridinylamino)- (9CI) (CA INDEX NAME) CN

141287-68-5 CAPLUS RN

CN 1H-Indol-5-ol, 3-methyl-1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

141287-69-6 CAPLUS RN

1H-Indol-5-ol, 3-methyl-1-(propyl-4-pyridinylamino)-, ethanedioate (2:1) CN (salt) (9CI) (CA INDEX NAME)

CM

141287-68-5 CRN C17 H19 N3 O CMF

2 CM

144-62-7 CRN C2 H2 O4 CMF

RN 141287-72-1 CAPLUS CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl- (9CI) (CA INDEX NAME)

RN 159732-08-8 CAPLUS CN 1H-Indol-1-amine, 2-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 159732-09-9 CAPLUS CN 1H-Indol-1-amine, N-(3-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 159732-10-2 CAPLUS CN 1H-Indol-1-amine, N-(3-methyl-4-pyridinyl)-N-propyl- (9CI) (CA INDEX NAME)

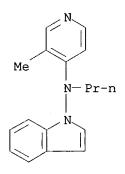
10/076191

RN 159732-11-3 CAPLUS

CN 1H-Indol-1-amine, N-(3-methyl-4-pyridinyl)-N-propyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-10-2 CMF C17 H19 N3



CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 159732-12-4 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

) HCl

RN

159732-13-5 CAPLUS 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-, monohydrochloride (9CI) (CA CN INDEX NAME)

HC1

159732-14-6 CAPLUS RN

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-2-methyl- (9CI) (CA INDEX CN NAME)

159732-15-7 CAPLUS

1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-3-methyl-, monohydrochloride RN CN (9CI) (CA INDEX NAME)

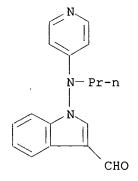
10/076191

HCl

RN 159732-17-9 CAPLUS 1H-Indole-3-carboxaldehyde, 1-(propyl-4-pyridinylamino)-, CN (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-16-8 C17 H17 N3 O ${\tt CMF}$



CM

CRN 110-16-7 C4 H4 O4 CMF

Double bond geometry as shown.

RN 159732-19-1 CAPLUS 1H-Indol-1-amine, 3-ethenyl-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate CN (1:1) (9CI) (CA INDEX NAME)

CM 1 CRN 159732-18-0 CMF C18 H19 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-20-4 CAPLUS CN 1H-Indol-1-amine, 3-ethyl-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 159732-21-5 CAPLUS CN 1H-Indol-1-amine, 3-ethyl-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-20-4 CMF C18 H21 N3

CM

CRN 110-16-7 C4 H4 O4 CMF

Double bond geometry as shown.

RN 159732-22-6 CAPLUS

1H-Indol-1-amine, N-butyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

RN 159732-23-7 CAPLUS

1H-Indol-1-amine, N-butyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) CN

(CA INDEX NAME)

CM1 .

159732-22-6 CRN

C17 H19 N3 CMF

2 CM

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

1H-Indol-1-amine, N-2-propynyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) 159732-24-8 CAPLUS RN CN

1H-Indol-1-amine, N-2-propynyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) RN CN (9CI) (CA INDEX NAME)

1 CM

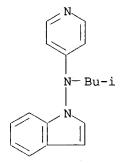
CRN 159732-24-8 CMF C16 H13 N3

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-26-0 CAPLUS

CN 1H-Indol-1-amine, N-(2-methylpropyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 159732-27-1 CAPLUS

CN 1H-Indol-1-amine, N-(2-methylpropyl)-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-26-0 CMF C17 H19 N3

110-16-7 CRN C4 H4 O4 CMF

Double bond geometry as shown.

159732-28-2 CAPLUS 1H-Indol-1-amine, N-pentyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) RN CN

RN

1H-Indol-1-amine, N-pentyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) CN (CA INDEX NAME)

CM1

CRN 159732-28-2 CMF C18 H21 N3

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

159732-30-6 CAPLUS RN1H-Indol-1-amine, N-(1-methylpropyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

159732-31-7 CAPLUS RN

1H-Indol-1-amine, N-(1-methylpropyl)-N-4-pyridinyl-, (2Z)-2-butenedioate CN (1:1) (9CI) (CA INDEX NAME)

CM 1

159732-30-6 CRN CMF C17 H19 N3

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-32-8 CAPLUS CN 1H-Indol-1-amine, N-(1-methylethyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 159732-33-9 CAPLUS CN 1H-Indol-1-amine, N-(1-methylethyl)-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-32-8 CMF C16 H17 N3

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-34-0 CAPLUS

CN 1H-Indol-1-amine, 2-methyl-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

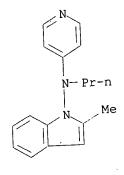
RN 159732-35-1 CAPLUS

 ${\tt 1H-Indol-1-amine,\ 2-methyl-N-propyl-N-4-pyridinyl-,\ (2Z)-2-but enedio ate}$ CN (1:1) (9CI) (CA INDEX NAME)

CM

CRN 159732-34-0

C17 H19 N3 CMF



CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-36-2 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-2-propenyl-, monohydrochloride (9CI) (CA INDEX NAME)

$$_{N-CH_2-CH}=CH_2$$

● HCl

RN 159732-37-3 CAPLUS CN 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 159732-38-4 CAPLUS CN 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 159732-39-5 CAPLUS
CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-2-propynyl-,
monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 159732-40-8 CAPLUS:
CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-2-propynyl-,
monohydrochloride (9CI) (CA INDEX NAME)

HCl

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-2-methyl-N-propyl- (9CI) (CA RNCN INDEX NAME)

159732-42-0 CAPLUS 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-3-methyl-N-propyl- (9CI) (CA RNCN INDEX NAME)

159732-43-1 CAPLUS RN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-2-propenyl-, CN monohydrochloride (9CI) (CA INDEX NAME)

10/076191

● HCl

159732-44-2 CAPLUS RN

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-2-propenyl- (9CI) (CA INDEX CN NAME)

RN173341-10-1 CAPLUS

Benzoic acid, 2-hydroxy-, compd. with 3-chloro-N-4-pyridinyl-1H-indol-1- α CN amine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 173341-09-8 CMF C13 H10 Cl N3

CM

CRN 69-72-7 CMF C7 H6 O3

RN 173341-11-2 CAPLUS CN 1H-Indol-1-amine, 3-chloro-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 173341-12-3 CAPLUS CN 1H-Indol-1-amine, 3-chloro-N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 188028-65-1 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-2-propenyl- (9CI) (CA INDEX NAME)

Me
$$CH_2-CH=CH_2$$

$$N$$

$$N$$

$$N$$

RN 188028-69-5 CAPLUS CN 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 188028-74-2 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-2-propynyl- (9CI) (CA INDEX NAME)

RN 188028-77-5 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-2-propynyl- (9CI) (CA INDEX NAME)

RN 188028-84-4 CAPLUS

CN 3,4-Pyridinediamine, N4-1H-indol-1-yl- (9CI) (CA INDEX NAME)

RN 188028-89-9 CAPLUS

CN 1H-Indole-3-methanamine, 1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 188028-95-7 CAPLUS

CN 1H-Indole-3-ethanamine, 1-(4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 188029-00-7 CAPLUS CN 1H-Indol-1-amine, N-3-pyridinyl- (9CI) (CA INDEX NAME)

RN 188029-02-9 CAPLUS CN 1H-Indol-1-amine, N-2-pyridinyl- (9CI) (CA INDEX NAME)

RN 188029-52-9 CAPLUS CN 1H-Indole-3-carboxaldehyde, 1-(propyl-4-pyridinylamino)-, oxime, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 173677-77-5 CMF C17 H18 N4 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 188029-56-3 CAPLUS

CN 1H-Indole-3-methanamine, 1-(propyl-4-pyridinylamino)-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 210237-01-7 CAPLUS

CN 1H-Indole-3-ethanamine, 1-(4-pyridinylamino)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 188028-95-7 CMF C15 H16 N4

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 210237-02-8 CAPLUS

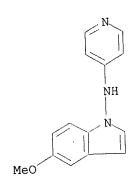
CN 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-3-methyl- (9CI) (CA INDEX NAME)

119229-75-3 141287-59-4 141287-64-1 IT 141287-66-3 141287-71-0 188029-86-9

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of (un) substituted N-(pyrrol-1-yl)pyridinamines as anticonvulsants)

119229-75-3 CAPLUS RN

1H-Indol-1-amine, 5-methoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN



141287-59-4 CAPLUS

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-5-(phenylmethoxy)-N-propyl-RN CN (9CI) (CA INDEX NAME)

141287-64-1 CAPLUS RN

1H-Indol-1-amine, 3-methyl-5-(phenylmethoxy)-N-4-pyridinyl- (9CI) CN INDEX NAME)

RN 141287-66-3 CAPLUS
CN 1H-Indol-1-amine, 3-methyl-5-(phenylmethoxy)-N-propyl-N-4-pyridinyl- (9CI)
(CA INDEX NAME)

RN 141287-71-0 CAPLUS
CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-5-(phenylmethoxy)-N-propyl- (9CI) (CA INDEX NAME)

RN 188029-86-9 CAPLUS CN 1H-Indol-1-amine, N-(3-nitro-4-pyridinyl)- (9CI) (CA INDEX NAME)

Jones

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS 11 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2003 ACS ANSWER 3 OF 51 1997:484922 CAPLUS

DUPLICATE 3

ACCESSION NUMBER:

DOCUMENT NUMBER:

127:103810

TITLE:

Anti-obsessional and antidepressant profile of

besipirdine

AUTHOR(S):

Klein, J. T.; Turk, D. J.; Dileo, E. M.; Effland, R.

C.; Huger, F. P.; Kongsamut, S.; Giovanni, A.;

Szewczak, M. R.; Rush, D. K.; Martin, L. L.

Neuroscience Research, Hoechst Marion Roussel, Inc., Bridgewater, NJ, 08807-0800, USA

CORPORATE SOURCE:

CNS Drug Reviews (1997), 3(1), 1-23

CODEN: CDREFB; ISSN: 1080-563X

PUBLISHER:

SOURCE:

DOCUMENT TYPE:

Journal; General Review

English

Neva Press

LANGUAGE:

A review with 103 refs. AΒ TT

119257-34-0, Besipirdine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anti-obsessional and antidepressant profile of)

119257-34-0 CAPLUS RN

1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

CAPLUS COPYRIGHT 2003 ACS ANSWER 4 OF 51

ACCESSION NUMBER: DOCUMENT NUMBER:

1995:951498 CAPLUS

TITLE:

Preparation of N-alkyl-N-pyridinyl-1H-indol-1-amines

DUPLICATE 4

via arylation of 1-amino-3-haloindoles with halopyridines followed by alkylation and

dehalogenation

124:145914

INVENTOR(S):

Lee, Thomas B.; Goehring, Keith E.

PATENT ASSIGNEE(S): SOURCE:

Hoechst-Roussel Pharmaceuticals Inc., USA

U.S., 7 pp.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5459274 EP 683165	A A2 A3	. 19951017 19951122 19970115	US 1994-242395 EP 1995-106921	19940513 19950508

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20000802
    EP 683165
                      В1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
    AT 195120
                      E
                           20000815
                                        AT 1995-106921 19950508 ·
                           20010201
    ES 2152342
                      Т3
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                                                           19950508
    AU 9517997
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                           19951123
                                          AU 1995-17997
                                                           19950511
    AU 697090
                      B2
                           19980924
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                           19951114
                                        CA 1995-2149286 19950512
    CA 2149286
    JP 07304768
                     A2
                           19951121
                                          JP 1995-114468
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                           19970701
                                          US 1995-455468
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                                                           19950531
                      В1
                           20030128
                                          US 1995-455469
    US 6512125
                                                           19950531
                                       US 1994-242395
PRIORITY APPLN. INFO.:
                                                       A 19940513
OTHER SOURCE(S):
                       CASREACT 124:145914; MARPAT 124:145914
GΙ
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

A process is claimed for the prepn. of memory enhancing, analgetic, and antidepressant N-alkyl-N-pyridinyl-1H-indol-1-amines I wherein R is hydrogen, loweralkyl, loweralkoxy or trifluoromethyl; R1 is hydrogen or loweralkyl; R2 is loweralkyl; R3 is hydrogen, loweralkyl, loweralkoxy or trifluoromethyl; and m is 1 or 2, which comprises the steps of: (a) reacting a compd. of the formula II wherein R, Rl and m are as above with an N-X-succinimide wherein X is bromo, chloro or iodo to provide a compd. of the formula III wherein R, Rl, X and m are as above; (b) reacting the compd. obtained in step (a) with a compd. of the formula H2NOSO3H to provide a compd. of the formula IV wherein R, R1, X and m are as above; (c) reacting a compd. obtained in step (b) with a compd. of the formula V wherein R3 is as above and Y is chloro, bromo or iodo to provide a compd. of the formula VI wherein R, R1, R3, X and m are as above; (d) reacting a compd. obtained in step (c) with a compd. of the formula R2Z wherein R2 is as above and Z is bromo or chloro to provide a compd. of the formula VII wherein R, R1, R2, R3, X and m are as above; (e) reacting a compd. obtained in step (d) with formic acid in the presence of a metal catalyst; and (f) isolating the product. The following reaction sequence was provided: (a) indole + N-chlorosuccinimide .fwdarw. 3-chloroindole (92.9%); (b) 3-chloroindole + hydroxylamine-O-sulfonic acid .fwdarw. 3-chloro-1H-indol-1-amine (86%); (c) 3-chloro-1H-indol-1-amine + 4-chloropyridine. HCl .fwdarw. 3-chloro-N-4-pyridinyl-1H-indol-1-amine salicylate (48.4%); (d) 3-chloro-N-4-pyridinyl-1H-indol-1-amine salicylate + 1-bromopropane .fwdarw. 3-chloro-N-propyl-N-4-pyridinyl-1H-indol-1-amine hydrochloride (87.6%); (e) dehalogenation of 3-chloro-N-propyl-N-4pyridinyl-1H-indol-1-amine hydrochloride with NEt3, 5% Pd/C, and formic acid to afford N-propyl-N-4-pyridinyl-1H-indol-1-amine (79.5%); (f) conversion to the HCl salt (88.6%).

IT 173341-09-8P, 3-Chloro-N-4-pyridinyl-1H-indol-1-amine
173341-10-1P 173341-11-2P, 3-Chloro-N-propyl-N-4pyridinyl-1H-indol-1-amine 173341-12-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(prepn. of N-alkyl-N-pyridinyl-1H-indol-1-amines via arylation of 1-amino-3-haloindoles with halopyridines followed by alkylation and dehalogenation)

RN 173341-09-8 CAPLUS

CN 1H-Indol-1-amine, 3-chloro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

Cl

173341-10-1 CAPLUS Benzoic acid, 2-hydroxy-, compd. with 3-chloro-N-4-pyridinyl-1H-indol-1-RNCN amine (1:1) (9CI) (CA INDEX NAME)

1 CM

CRN 173341-09-8 C13 H10 C1 N3 CMF

Cl

2 CM

CRN 69-72-7 CMF C7 H6 O3

CO₂H

173341-11-2 CAPLUS

1H-Indol-1-amine, 3-chloro-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) RN CN

n-Pr

RN

1H-Indol-1-amine, 3-chloro-N-propyl-N-4-pyridinyl-, monohydrochloride CN (9CI) (CA INDEX NAME)

● HCl

IT 119257-34-0P, N-Propyl-N-4-pyridinyl-1H-indol-1-amine 130953-69-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of N-alkyl-N-pyridinyl-1H-indol-1-amines via arylation of 1-amino-3-haloindoles with halopyridines followed by alkylation and dehalogenation)

RN 119257-34-0 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 130953-69-4 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

L9 ANSWER 5 OF 51 CAPLUS COPYRIGHT 2003 ACS

DUPLICATE 5

ACCESSION NUMBER:

1995:227443 CAPLUS

DOCUMENT NUMBER:

INVENTOR(S):

122:23863

TITLE:

Preparation and use of N-(pyridinyl)-1H-indol-1-amines

for the treatment of obsessive-compulsive disorder Kongsamut, Sathapana; Smith, Craig P.; Woods, Ann T.

PATENT ASSIGNEE(S):

Hoechst-Roussel Pharmaceuticals Inc., USA

SOURCE:

U.S., 12 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT INFORMATION:				
PATENT NO.	KIND	DATE	APPLICATION NO. DATE	
		19941018	US 1993-92848 19930719	
US_5356910	A	19941016	EP 1994-110875 19940713	
EP 635269	A1	19950123		
EP 635269	B1		FR, GB, GR, IE, IT, LI, LU, NL, PT, SE	i
R: AT, BE,		DK, ES, 19991115	Δm 1994-110875 19940/13	
AT 186215	E	20000101	ES 1994-110875 19940713	
ES 2138017	T3	19950127	100/07/15	
AU 9467531	A1 B2	19961121		
AU 673747	AA	19950120	CA 1994-2128312 19940/10	
CA 2128312	AA A	19950120	NO 1994-2695	
NO 9402695	A2	19950228		
JP 07053376	B2	19981008		
JP 2807633 ZA 9405236	A	19950228	ZA 1994-5236 19940710	
ZA 9403230 НО 69709	A2	19950928		
HU 217063	В	19991129	9 5 PH 1994-26084 19940718	
RU 2164795	C2	20010410	1 10 1001	
CZ 288593	В6	20010711	CZ 1334 1130	
PRIORITY APPLN. INFO).:		03 1999 92010	
OTHER SOURCE(S):	M	ARPAT 122:	: 23863	
GI			•	
01				

$$R_{m}$$
 R^{1}
 R^{3}
 R^{2}
 N

Method is disclosed for alleviating obsessive-compulsive disorders (OCD) which comprises administration of an effective amt. of I (m = 1, 2; R = H, AΒ halo, lower alkyl, lower alkoxy, hydroxy, nitro, etc.; R1, R2 = H, lower alkyl; R3 = H, halo, lower alkyl). Prepn. of compds. of the invention is included. The effect of N-(3-fluoro-4-pyridinyl)-N-propyl-3-methyl-1Hindol-1-amine and N-(4-pyridinyl)-N-propyl-1H-indol-1-amine-HCl on polydipsia in rats (for evaluation of serotonin reuptake inhibitors with potential efficacy in treating OCD) was detd.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(pyridinyl)-1H-indol-1-amines for the treatment of obsessive-compulsive disorder)

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl- (9CI) (CA RN CN INDEX NAME)

RN 130953-69-4 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

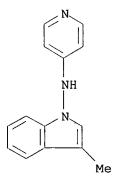
● HCl

IT 119229-60-6 119257-43-1 145660-10-2 159732-08-8 159732-16-8 159732-18-0 159732-38-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of N-(pyridinyl)-1H-indol-1-amines for the treatment of obsessive-compulsive disorder)

RN 119229-60-6 CAPLUS

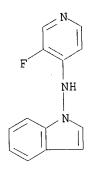
CN 1H-Indol-1-amine, 3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



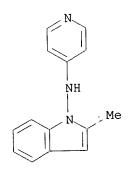
RN 119257-43-1 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl- (9CI) (CA INDEX NAME)

RN 145660-10-2 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 159732-08-8 CAPLUS CN 1H-Indol-1-amine, 2-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 159732-16-8 CAPLUS CN 1H-Indole-3-carboxaldehyde, 1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

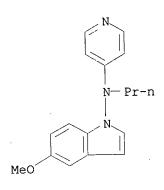
RN 159732-18-0 CAPLUS

CN 1H-Indol-1-amine, 3-ethenyl-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

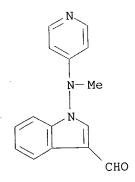
RN 159732-38-4 CAPLUS

CN 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)- (9CI) (CA INDEX NAME)

IT 119229-37-7P 119229-38-8P 119229-39-9P 119229-40-2P 119229-41-3P 119229-43-5P 119229-44-6P 119229-45-7P 119229-46-8P 119229-47-9P 119229-48-0P 119229-49-1P 119229-50-4P 119229-51-5P 119229-52-6P 119229-53-7P 119229-55-9P 119229-56-0P 119229-67-1P 119229-63-9P 119229-64-0P 119229-68-4P 119229-69-5P 119257-32-8P 119257-33-9P 119257-34-0P 119257-35-1P 119257-36-2P 119257-37-3P 119257-38-4P 119257-39-5P 119257-41-9P 159732-09-9P 159732-11-3P 159732-12-4P



RN 119229-38-8 CAPLUS CN 1H-Indole-3-carboxaldehyde, 1-(methyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)



RN 119229-39-9 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 1-(methyl-4-pyridinylamino)-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-38-8 CMF C15 H13 N3 O

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-40-2 CAPLUS

CN 1H-Indole-3-carboxaldehyde, 1-(ethyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 119229-41-3 CAPLUS

CN 1H-Indole-3-carboxaldehyde, 1-(ethyl-4-pyridinylamino)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-40-2 CMF C16 H15 N3 O

110-16-7 CRN CMF C4 H4 O4

Double bond geometry as shown.

119229-43**-**5 CAPLUS RN1H-Indol-1-amine, 3-ethenyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

119229-44-6 CAPLUS 1H-Indol-1-amine, 3-ethenyl-N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME) RN CN

CM 1

CRN 119229-43-5 CMF C16 H15 N3

$$N-Me$$
 $N-Me$
 $CH=CH_2$

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-45-7 CAPLUS
CN 1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl-, monohydrochloride (9CI)
(CA INDEX NAME)

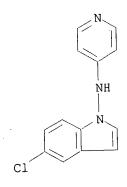
HCl

RN 119229-46-8 CAPLUS
CN 1H-Indol-1-amine, 5-chloro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-47-9 CAPLUS CN 1H-Indol-1-amine, 5-chloro-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-46-8 CMF C13 H10 C1 N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

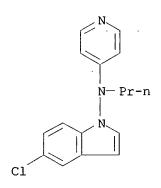
RN 119229-48-0 CAPLUS CN 1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-49-1 CAPLUS

CN 1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 119229-48-0 CMF C16 H16 C1 N3



CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

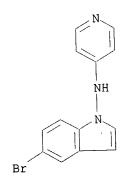
RN 119229-50-4 CAPLUS

CN 1H-Indol-1-amine, 5-bromo-N-4-pyridinyl- (9CI) (CA INDEX NAME)

119229-51-5 CAPLUS 1H-Indol-1-amine, 5-bromo-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) RN CN(CA INDEX NAME)

CM1

119229-50-4 CRN CMF C13 H10 Br N3



CM

110-16-7 CRN CMF C4 H4 O4

Double bond geometry as shown.

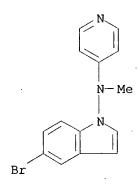
119229-52-6 CAPLUS 1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) RN CN

RN 119229-53-7 CAPLUS

CN 1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 119229-52-6 CMF C14 H12 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-55-9 CAPLUS

CN 1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-54-8 CMF C16 H16 Br N3 Jones

CM2

110-16-7 CRN C4 H4 O4 CMF

Double bond geometry as shown.

$$HO_2C$$
 Z CO_2H

119229-56-0 CAPLUS RN

1H-Indol-1-amine, 5-nitro-N-4-pyridinyl-, monohydrochloride (9CI) CN INDEX NAME)

HCl

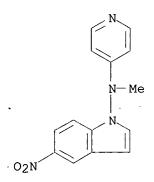
119229-57-1 CAPLUS 1H-Indol-1-amine, 5-nitro-N-4-pyridinyl- (9CI) (CA INDEX NAME) RNCN

RN 119229-59-3 CAPLUS

CN1H-Indol-1-amine, N-methyl-5-nitro-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-58-2 C14 H12 N4 O2 CMF



CM

CRN 110-16-7

C4 H4 O4 CMF

Double bond geometry as shown.

119229-61-7 CAPLUS RN

1H-Indol-1-amine, 3-methyl-N-4-pyridinyl-, ethanedioate (1:1) (9CI) CN INDEX NAME)

CM

CRN 119229-60-6 CMF C14 H13 N3

144-62-7 CRN C2 H2 O4 CMF

1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) RNCN

119229-63-9 CAPLUS RN CN

1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-62-8 CMF C17 H19 N3

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-64-0 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 119229-68-4 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

Jones

● HCl

RN 119229-69-5 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 119257-32-8 CAPLUS CN 1H-Indol-1-amine, N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-33-9 CAPLUS CN 1H-Indol-1-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-34-0 CAPLUS

1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

119257-35-1 CAPLUS RN

1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

119257-36-2 CAPLUS RN

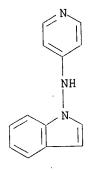
1H-Indol-1-amine, N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA CN

INDEX NAME)

CM 1

CRN 119257-33-9

CMF C13 H11 N3



2 CM

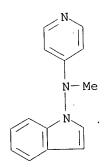
CRN 110-16-7 CMF C4 H4 O4 ·

Double bond geometry as shown.

1H-Indol-1-amine, N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) 119257-37-3 CAPLUS RNCN (CA INDEX NAME)

1 CM

CRN 119257-32-8 CMF C14 H13 N3



2 CM

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119257-38-4 CAPLUS

CN 1H-Indol-1-amine, N-ethyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-39-5 CAPLUS

CN 1H-Indol-1-amine, N-ethyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-38-4

CMF C15 H15 N3

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

RN 119257-40-8 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI)

(CA INDEX NAME)

CM 1

CRN 119257-34-0 CMF C16 H17 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

$$HO_2C$$
 Z
 CO_2H

RN 119257-41-9 CAPLUS CN 1H-Indol-1-amine, 5-methoxy-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-37-7 CMF C17 H19 N3 O

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-09-9 CAPLUS

CN 1H-Indol-1-amine, N-(3-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 159732-11-3 CAPLUS

CN 1H-Indol-1-amine, N-(3-methyl-4-pyridinyl)-N-propyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-10-2

CMF C17 H19 N3

CM 2

CRN 144-62-7

CMF C2 H2 O4

RN 159732-12-4 CAPLUS

Jones

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-, monohydrochloride (9CI) (CA CN INDEX NAME)

HCl

1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-, monohydrochloride (9CI) (CA 159732-13-5 CAPLUS RNCN INDEX NAME)

HCl

159732-14-6 CAPLUS 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-2-methyl- (9CI) (CA INDEX RN CN NAME)

RN 159732-15-7 CAPLUS

CN 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 159732-17-9 CAPLUS

CN 1H-Indole-3-carboxaldehyde, 1-(propyl-4-pyridinylamino)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-16-8 CMF C17 H17 N3 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-19-1 CAPLUS

CN 1H-Indol-1-amine, 3-ethenyl-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate

(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-18-0 CMF C18 H19 N3

CM

110-16-7 CRN CMF C4 H4 O4

Double bond geometry as shown.

159732-21-5 CAPLUS RN

1H-Indol-1-amine, 3-ethyl-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate CN (1:1) (9CI) (CA INDEX NAME)

CM1

159732-20-4 CRN ${\sf CMF}$ C18 H21 N3

CM2 CRN 110-16-7 CMF C4 H4 O4

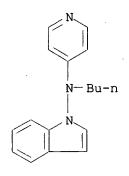
Double bond geometry as shown.

RN 159732-23-7 CAPLUS

1H-Indol-1-amine, N-butyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) CN (CA INDEX NAME)

CM 1

CRN 159732-22-6 CMF C17 H19 N3



CM 2

110-16-7 CRN C4 H4 O4 CMF

Double bond geometry as shown.

RN159732-25-9 CAPLUS

1H-Indol-1-amine, N-2-propynyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) CN (9CI) (CA INDEX NAME)

CM1

CRN 159732-24-8 CMF C16 H13 N3

CM2

110-16-7 CRN C4 H4 O4 CMF

Double bond geometry as shown.

159732-27-1 CAPLUS RN

1H-Indol-1-amine, N-(2-methylpropyl)-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

1 CM

159732-26-0 CRN CMF C17 H19 N3

2 CM

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-29-3 CAPLUS
CN 1H-Indol-1-amine, N-pentyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-28-2 CMF C18 H21 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-31-7 CAPLUS CN 1H-Indol-1-amine, N-

1H-Indol-1-amine, N-(1-methylpropyl)-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-30-6 CMF C17 H19 N3

2 CM

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

159732-33-9 CAPLUS RN

1H-Indol-1-amine, N-(1-methylethyl)-N-4-pyridinyl-, (2Z)-2-butenedioate CN (1:1) (9CI) (CA INDEX NAME)

CM

159732-32**-**8 CMF C16 H17 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-35-1 CAPLUS

CN 1H-Indol-1-amine, 2-methyl-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-34-0 CMF C17 H19 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-36-2 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-2-propenyl-, monohydrochloride (9CI) (CA INDEX NAME)

$$_{N-CH_2-CH}=CH_2$$
 $_{N-CH_2}$
 $_{N-CH_2}$

● HCl

RN 159732-37-3 CAPLUS CN 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 159732-39-5 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-2-propynyl-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 159732-40-8 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-2-propynyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 159732-41-9 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-2-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 159732-42-0 CAPLUS

CN 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-3-methyl-N-propyl- (9CI) (CA INDEX NAME)

159732-43-1 CAPLUS RN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-2-propenyl-, CN monohydrochloride (9CI) (CA INDEX NAME)

● HCl

159732-44-2 CAPLUS RN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-2-propenyl- (9CI) (CA INDEX CN NAME)

L9 ANSWER 6 OF 51 CAPLUS COPYRIGHT 2003 ACS 1990:478182 CAPLUS

DUPLICATE 6

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

113:78182 N-heteroaryl-4-quinolinamines as cholinergic agents Effland, Richard C.; Klein, Joseph T.; Davis, Larry;

INVENTOR(S):

Searched by Barb O'Bryen, STIC 308-4291

Olsen, Gordon E.

PATENT ASSIGNEE(S):

Hoechst-Roussel Pharmaceuticals, Inc., USA

SOURCE:

U.S., 18 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4916135 EP 397040 EP 397040	 A . A2 A3	19900410 19901114 19910502	US 1989-348937 EP 1990-108409	19890508 19900504
R: AT, BE,			FR, GB, GR, IT, LI, LU	J, NL, SE
ZA 9003396	Α	19910227	ZA 1990-3396	19900504
AU 9054691	A1	19901108	AU 1990-54691	19900507
AU 623766	В2	19920521	•	
CA 2016214	AA	19901108	CA 1990-2016214	19900507
NO 9002003	Α	19901109	NO 1990-2003	19900507
HU 54139	A2	19910128	HU 1990-2693	.19900507
ни 207726	В	19930528	•	
JP 03047179	A2	19910228	JP 1990-115936	19900507
PRIORITY APPLN. INFO	.:		US 1989-348937	19890508
OTHER SOURCE(S): GI	CA	SREACT 11	3:78182; MARPAT 113:781	.82

..... R1 Ŕ2 Ι

The title compds. [I; R = (substituted) pyrrolyl, indolyl, carbazolyl, AB piperidinylmethyl; R1 = null, H, alkyl, CH2C.tplbond.CH, morpholinobutynyl, piperazinylbutynyl; R2 = null, alkyl CH2C.tplbond.CH; X = H, alkyl, alkoxy, halo, CF3; Y = null, H; YY = (CH2)4; dotted lines = optional bonds], were prepd. Thus, 4-chloro-7-(trifluoromethyl)quinoline and 1H-pyrrolyl-amine were refluxed in Me2CHOH contg. Et2O/HCl to give pyrrolylaminoquinoline II as the hydrochloride which at 20 mg/kg s.c. in mice gave 48% inhibition of phenylquinone-induced writhing.

II

128546-05-4P 128546-06-5P 128546-07-6P

128546-08-7P 128546-09-8P 128546-10-1P

128546-12-3P 128546-13-4P 128546-15-6P

128546-16-7P 128546-17-8P 128546-23-6P

128546-24-7P 128546-25-8P 128569-88-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as analgesic and for treatment of memory dysfunction)

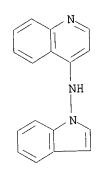
RN 128546-05-4 CAPLUS

CN 4-Quinolinamine, N-1H-indol-1-yl- (9CI) (CA INDEX NAME)

128546-06-5 CAPLUS 4-Quinolinamine, N-1H-indol-1-yl-, (2Z)-2-butenedioate (1:1) (9CI) (CA RNCN

1 CM

CRN 128546-05-4 CMF C17 H13 N3



2 CM

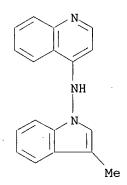
CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

128546-07-6 CAPLUS 4-Quinolinamine, N-(3-methyl-1H-indol-1-yl)-, monohydrochloride (9CI) RNCN INDEX NAME)

● HCl

RN 128546-08-7 CAPLUS CN 4-Quinolinamine, N-(3-methyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)



RN 128546-09-8 CAPLUS CN 4-Quinolinamine, 7-chloro-N-1H-indol-1-yl-N-propyl- (9CI) (CA INDEX NAME)

Cl N N Pr-n N N

RN 128546-10-1 CAPLUS CN 4-Quinolinamine, 7-chloro-N-1H-indol-1-yl-N-propyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 128546-09-8 CMF C20 H18 C1 N3

10/076191

CM

CRN 110-16-7 CMF C4 H4 O4

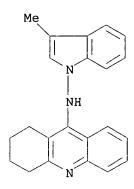
Double bond geometry as shown.

128546-12-3 CAPLUS RN 9-Acridinamine, 1,2,3,4-tetrahydro-N-1H-indol-1-yl-, monohydrochloride CN (9CI) (CA INDEX NAME)

128546-13-4 CAPLUS RN 9-Acridinamine, 1,2,3,4-tetrahydro-N-1H-indol-1-yl- (9CI) (CA INDEX NAME) CN

RN 128546-15-6 CAPLUS

CN 9-Acridinamine, 1,2,3,4-tetrahydro-N-(3-methyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)



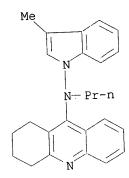
RN 128546-16-7 CAPLUS

CN 9H-Carbazol-9-amine, N-4-quinolinyl- (9CI) (CA INDEX NAME)

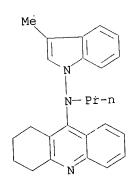
RN 128546-17-8 CAPLUS

CN 9-Acridinamine, 1,2,3,4-tetrahydro-N-(2-methyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

RN 128546-23-6 CAPLUS 9-Acridinamine, 1,2,3,4-tetrahydro-N-(3-methyl-1H-indol-1-yl)-N-propyl-(9CI) (CA INDEX NAME)



RN 128546-24-7 CAPLUS
CN 9-Acridinamine, 1,2,3,4-tetrahydro-N-(3-methyl-1H-indol-1-yl)-N-propyl-,
monohydrochloride (9CI) (CA INDEX NAME)



HCl

RN 128546-25-8 CAPLUS CN 9H-Carbazol-9-amine, N-propyl-N-4-quinolinyl- (9CI) (CA INDEX NAME)

RN 128569-88-0 CAPLUS

9H-Carbazol-9-amine, N-4-quinolinyl-, monohydrochloride (9CI) (CA INDEX CN

HC1

ANSWER 7 OF 51 CAPLUS COPYRIGHT 2003 ACS

DUPLICATE 7

ACCESSION NUMBER:

1990:138914 CAPLUS

DOCUMENT NUMBER:

112:138914

TITLE:

Preparation of N-pyridinyl-9H-carbazol-9-amines as analgesics, anticonvulsants, antidepressants, and

drugs for memory dysfunction

INVENTOR(S):

Effland, Richard C.; Klein, Joseph T.; Davis, Larry;

Olsen, Gordon E.

PATENT ASSIGNEE(S):,

Hoechst-Roussel Pharmaceuticals, Inc., USA

SOURCE:

U.S., 9 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
(US 4868190	A	19890919	US 1988-289887	19881227
EP 376155 EP 376155	A1 B1	19900704 19920805	EP 1989-123612	19891221
R: AT, BE,	CH, DE	, ES, FR, GB,	GR, IT, LI, LU, NL	, SE
AT 79118	E	19920815	AT 1989-123612	19891221
ES 2044043	Т3	19940101	ES 1989-123612	19891221
CA 2006529	AA	19900627	CA 1989-2006529	19891222

NO 8905222 AU 8947203 AU 623205 BU 02247173	A 19900628 A 19900628 A1 19900705 B2 19920507 A2 19901002 B4 19950322	19900628 19900705	NO	1989-6621 1989-5222 1989-47203	19891222 19891222 19891222
			JP	1989-335256	19891226
JP 07025749 ZA 8909904	A	19910227		1989-9904	19891227 19881227
PRIORITY APPLN. INFO.:				988-289887 989-123612	19891221
	~ 7	ADDACE 112.13	2011	MARPAT 112:13	8914

OTHER SOURCE(S):

CASREACT 112:138914; MARPAT 112:138914

GΙ

The title compds. I (X = H, lower alkyl, alkoxy, halo; Y = H, lower alkyl,AΒ halo; Z = H, lower alkyl, halo; R = H, lower alkyl, alkenyl, lower alkylcarbonyl, etc.), were prepd. A soln. of 9H-carbazol-9-amine and 4-chloropyridine-HCl in isopropanol was refluxed to give, after workup and treatment with maleic acid, N-(4-pyridinyl)-9H-carbazol-9-amine maleate (II). II inhibited tetrabenazine-induced ptosis in mice with ED50 of 2.3

mg/kg p.o. 125529-86-4P 125529-87-5P 125529-88-6P ΙT 125529-89-7P 125529-90-0P 125529-91-1P 125529-92-2P 125529-93-3P 125529-94-4P 125529-95-5P 125529-96-6P 125529-97-7P 125529-98-8P 125529-99-9P 125530-00-9P 125530-01-0P 125530-02-1P 125530-03-2P 125530-04-3P 125530-05-4P 125530-06-5P

Ι

125530-07-6P 125530-08-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as analgesic, anticonvulsant, antidepressant, and drug for memory dysfunction)

125529-86-4 CAPLUS RN

9H-Carbazol-9-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

RN 125529-87-5 CAPLUS

CN 9H-Carbazol-9-amine, N-ethyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 125529-88-6 CAPLUS

CN 9H-Carbazol-9-amine, N-2-propenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 125529-89-7 CAPLUS

CN 9H-Carbazol-9-amine, N-butyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 125529-90-0 CAPLUS CN 1,3-Propanediamine, N-9H-carbazol-9-yl-N',N'-dimethyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 125529-91-1 CAPLUS CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-(9H-carbazol-9-yl-4-pyridinylamino)butyl]- (9CI) (CA INDEX NAME)

RN 125529-92-2 CAPLUS CN Propanamide, N-9H-carbazol-9-yl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 125529-93-3 CAPLUS

CN 9H-Carbazol-9-amine, N-(3-fluoro-4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 125529-94-4 CAPLUS

CN 9H-Carbazol-9-amine, N-(3-fluoro-4-pyridinyl)-N-propyl- (9CI) (CA INDEX

RN 125529-95-5 CAPLUS

CN 9H-Carbazol-9-amine, 3-bromo-N-4-pyridinyl- (9CI) (CA INDEX NAME)

125529-96-6 CAPLUS 9H-Carbazol-9-amine, 3-bromo-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX RNCNNAME)

125529-97-7 CAPLUS 9H-Carbazol-9-amine, N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA RN CNINDEX NAME)

CM1

CRN 125529-86-4 CMF C17 H13 N3

CM

110-16-7 CRN C4 H4 O4 CMF

Double bond geometry as shown.

RN 125529-98-8 CAPLUS CN 9H-Carbazol-9-amine, N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 125529-99-9 CAPLUS
CN 9H-Carbazol-9-amine, N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 125529-98-8 CMF C18 H15 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

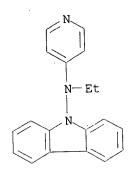
$$HO_2C$$
 Z
 CO_2H

RN 125530-00-9 CAPLUS

CN 9H-Carbazol-9-amine, N-ethyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 125529-87-5 CMF C19 H17 N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

$$HO_2C$$
 Z
 CO_2H

RN 125530-01-0 CAPLUS . CN 9H-Carbazol-9-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 125530-02-1 CAPLUS CN 9H-Carbazol-9-amine, N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 125530-01-0 CMF C20 H19 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 125530-03-2 CAPLUS

CN 9H-Carbazol-9-amine, N-2-propenyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 125529-88-6 CMF C20 H17 N3

$$N-CH_2-CH=CH_2$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

Jones

RN 125530-04-3 CAPLUS CN 9H-Carbazol-9-amine, N-butyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 125530-05-4 CAPLUS CN Propanamide, N-9H-carbazol-9-yl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

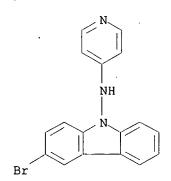
RN 125530-06-5 CAPLUS CN 9H-Carbazol-9-amine, N-(3-fluoro-4-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 125530-07-6 CAPLUS
CN 9H-Carbazol-9-amine, 3-bromo-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 125529-95-5 CMF C17 H12 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

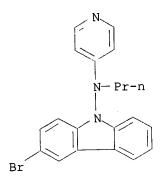
Double bond geometry as shown.

RN 125530-08-7 CAPLUS CN 9H-Carbazol-9-amine, 3-bromo-N-pa

9H-Carbazol-9-amine, 3-bromo-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

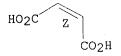
CRN 125529-96-6 CMF C20 H18 Br N3



2 CM

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.



CAPLUS COPYRIGHT 2003 ACS ANSWER 8 OF 51

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

2002:637510 CAPLUS

137:163836

N-(Pyridinyl)-1H-indol-1-amines for treatment of

demyelinating diseases and other conditions Smile, Crarg P. Rathbone, Michel P.; Petty, Margaret;

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

INVENTOR(S):

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

Rampe David Aventis Pharmaceuticals Inc., USA 60 pp. Appl PCT Int CODEN: PXXXD Patent English DATE

DATE APPLICATION NO. ,20020214 \ WO 2002-US5501

Α2 20020822 WO 2002064126 A3 20030220 WO 2002064126 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,

KIND

YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2001-268846P P 20010215 PRIORITY APPLN. INFO.: A 20010809 GB 2001-19435

OTHER SOURCE(S): MARPAT 137:163836

AB N-(Pyridinyl)-1H-indol-1-amines are disclosed which provide a unique combination of blocking properties for both the potassium and sodium channels. These compds. are useful for the treatment of demyelinating diseases and conditions, e.g. multiple sclerosis, spinal cord injury, traumatic brain injury, and stroke. The compds. are also useful for stroke rehabilitation, the treatment of bladder irritation and dysfunction, and the treatment of neuropathic pain and chemokine-induced pain.

IT 119229-64-0, HP 184 119229-65-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyridinylindolamines for treatment of demyelinating diseases and other conditions)

RN 119229-64-0 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 119229-65-1 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl- (9CI) (CA INDEX NAME)

L9 ANSWER 9 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:174790 CAPLUS

DOCUMENT NUMBER: 137:352864

TITLE: Synthesis of carbon-14 labeled 1-(4-pyridinylamino)-1H-

indol-5-ol-methylcarbamate, (Z)-2-butenedioate

([14C]HMR 2420)

Jones

AUTHOR(S):

SOURCE:

CORPORATE SOURCE:

Gi/11, Harpal S.

Radiochemistry Section, Aventis Pharmaceuticals Chemical Development, Cincinnati, OH, 45215, USA

Synthesis and Applications of Isotopically Labelled Compounds, Proceedings of the International Symposium,

7th, Dresden, Germany, June 18-22, 2000 (2001), Meeting Date 2000, 280-282. Editor(s): Pleiss,

Ulrich; Voges, Rolf. John Wiley & Sons Ltd.:

Chichester, UK.

CODEN: 69CIJC; ISBN: 0-471-49501-8

Conference

DOCUMENT TYPE: English

IT

LANGUAGE: GI

CO2H CO2H H --- N Me

A diazonium chem. route was developed to accomplish the synthesis of AB 1-(4-pyridinylamino)-1H-[2-14C]indol-5-ol-methylcarbamate, (Z)-2-butenedioate (I, [14C]MDL 106276G-02, [14C]HMR 2420) which is under development for treatment of Alzheimer's disease. The synthetic sequence provided I in seven steps from [14C]methyl iodide in an overall radiochem. yield of 7.2%, specific activity of 52.4 .mu.Ci/mg (20.9 mCi/mmol, 1938.8 MBq/g), radiochem. purity of 99.9% and chem. purity of 99.7%.

474380-67-1P 474380-68-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 14C-labeled (pyridinylamino) indole via diazotization of 14C-labeled hydroxystyrene with pyridinediazonium salt followed by carbamate formation and salt formation with maleic acid)

RN 474380-67-1 CAPLUS

1H-Indol-5-ol-2-14C, 1-(4-pyridinylamino)- (9CI) (CA INDEX NAME) CN

Ι

HO

RN 474380-68-2 CAPLUS

1H-Indol-5-ol-2-14C, 1-(4-pyridinylamino)-, methylcarbamate (ester) (9CI) CN (CA INDEX NAME)

IT 474380-69-3P

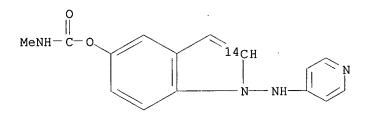
> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of 14C-labeled (pyridinylamino)indole via diazotization of 14C-labeled hydroxystyrene with pyridinediazonium salt followed by carbamate formation and salt formation with maleic acid)

474380-69-3 CAPLUS RN

CN 1H-Indol-5-ol-2-14C, 1-(4-pyridinylamino)-, methylcarbamate (ester), (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 474380-68-2 CMF C15 H14 N4 O2



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

HO2C CO2H

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS 3 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 51 CAPLUS COPYRIGHT 2003 ACS 2000:314578 CAPLUS ACCESSION NUMBER:

Swed.

DOCUMENT NUMBER:

TITLE:

132:318050 Choline esterase inhibitors, alone or with other agents, for treating restless legs syndrome and/or

periodic limb movements during sleep, and diagnostic

method

INVENTOR(S):

Hedner, Jan; Kraiczi, Holger

PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 26 pp.

Searched by Barb O'Bryen, STIC 308-4291

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. ~QATE KIND DATE PATENT NO. 19991103

1999-SE1979 20000511 WQ/ WO 2000025821 A1

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

20011121 EP 1154795 Α1

19991103 EP 1999-957453 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, FI

PRIORITY APPLN. INFO.:

A 19981104 SE 1998-3760 WO 1999-SE1979 W 19991103

A method for treating or preventing the restless legs syndrome and/or the AΒ periodic limb movements during sleep comprises administration of a choline esterase inhibitor (CEI) and, optionally, carbamazepine, clonidine, baclofen, hypnotic agent, opioid agonist, and dopaminergic agonist. Administration precedes the onset of sleep at night by from zero to three hours so as to make the CEI exert a therapeutic effect during a major portion of the sleep period. Also disclosed are corresponding pharmaceutical compns. and their use, including compns. comprising a combination of CEI with carbamazepine, clonidine, baclofen, hypnotic agent, opioid agonist, and dopaminergic agonist.

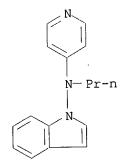
119257-34-0, Besipirdine IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(choline esterase inhibitors, alone or with other agents, for treating restless legs syndrome and/or periodic limb movements during sleep, and diagnostic method)

119257-34-0 CAPLUS RN

1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN



REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 51 CAPLUS COPYRIGHT 2003 ACS

7

ACCESSION NUMBER:

2000:273553 CAPLUS

DOCUMENT NUMBER:

133:13034

TITLE:

.alpha.1-Adrenoceptor subtypes mediating contractions

of the rat mesenteric artery

Hussain, M. B.; Marshall, I.

CORPORATE SOURCE:

Department of Pharmacology, University College London,

London, UK

SOURCE:

AUTHOR(S):

European Journal of Pharmacolog (2000), 395(1), 69-76

CODEN: EJPHAZ; ISSN: 0014-2999

Searched by Barb O'Bryen, STIC 308-4291

PUBLISHER:

Elsevier Science B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

The .alpha.1-adrenoceptor subtype(s) mediating contractions of the rat mesenteric artery were investigated using the agonists methoxamine, cirazoline, P 7480 and subtype-selective antagonists including BMY 7378. The pA2 or apparent pKB values of antagonists against methoxamine contractions correlated best with its pKi values at the cloned .alpha.1b-(0.88), with cirazoline, antagonists affinities correlated equally well with those at .alpha.la-(0.79) or the .alpha.lb-(0.81) while with P 7480 antagonist affinities correlated best with the .alpha.1d-adrenoceptor subtype (0.94). The low affinity est. for 5-methylurapidil (7.5) against the .alpha.la-selective cirazoline suggests an .alpha.1A-subtype mediating contraction is unlikely. Shallow Schild plot slopes of subtype selective antagonists against all three agonists are consistent with heterogeneity of .alpha.1-adrenoceptors. P 7480 (putative .alpha.1D-adrenoceptor-selective) acts primarily at this subtype and at another which is more likely to be an .alpha.1B- than an .alpha.1A-adrenoceptor. The results with both agonists and antagonists are consistent with contractions of the rat mesenteric artery being mediated via the .alpha.1D- and possibly .alpha.1B-adrenoceptor.

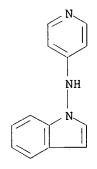
138624-41-6, P 7480 ΙT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(.alpha.1-adrenoceptor subtypes mediating contractions of rat mesenteric artery and pharmacol. characterization thereof)

138624-41-6 CAPLUS

1H-Indol-1-amine, N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)



RN

CN

HCl

REFERENCE COUNT:

30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 12 OF 51 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER:

1999:403135 CAPLUS

DOCUMENT NUMBER:

131:208484

TITLE: AUTHOR(S): Cholinergic therapies in Alzheimer's disease

Siddiqui, Muhammad F.; Levey, Allan I.

CORPORATE SOURCE:

Department of Neurology, Emory University School of

Medicine, Atlanta, GA, 30322, USA

SOURCE:

Drugs of the Future (1999), 24(4), 417-424 CODEN: DRFUD4; ISSN: 0377-8282

PUBLISHER:

Prous Science

DOCUMENT TYPE:

Journal; General Review

LANGUAGE:

English

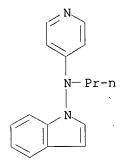
A review, with 81 refs., on the cholinergic therapies in Alzheimer's AB disease.

IT **119257-34-0**, Besipirdine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (cholinergic therapies in Alzheimer's disease)

RN 119257-34-0 CAPLUS

1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN



REFERENCE COUNT:

THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS 49 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2003 ACS ANSWER 13 OF 51

ACCESSION NUMBER:

1999:310654 CAPLUS

DOCUMENT NUMBER:

131:96826

TITLE:

Microbial models of mammalian metabolism of

xenobiotics: an updated review

AUTHOR(S):

Abourashed, E. A.; Clark, A. M.; Hufford, C. D. Department of Pharmacognosy and National Center for

CORPORATE SOURCE: the Development of Natural Products, Research

Institute for Pharmaceutical Sciences, The University

of Mississippi, University, MS, 38677, USA

SOURCE:

Current Medicinal Chemistry (1999), 6(5), 359-374

CODEN: CMCHE7; ISSN: 0929-8673

PUBLISHER:

Bentham Science Publishers

DOCUMENT TYPE:

Journal; General Review

LANGUAGE:

English

A review with 77 refs. The utilization of microbes as models for AΒ mammalian metab. of xenobiotics has been well established since the concept was first introduced by Smith and Rosazza in the early seventies. The core assumption of this concept rests on the fact that fungi are eukaryotic organisms that possess metabolizing/enzyme systems similar to those present in mammalian systems. Hence, the outcome of xenobiotic metab. in both systems is expected to be\similar, if not identical, and, thus, fungi can be used to predict the outcome of mammalian metab. of various xenobiotics, including drugs. Utilizing microbial models offers a in use of animals, ease of setup and manipulation, higher yield and diversity of metabolite prodn., and lower/cost of prodn. In a continuation to our contribution to this f ield χ this review will outline the results of studies that were conducted over the last seven years to emphasize the similarities between the microbial and mammalian metabolic pathways of xenobiotics through the endorsement of the concept of "microbial models of mammalian metab.";

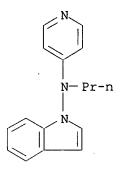
IT 119257-34-0, Besipirdine

RL: BPR (Biological process); BSU (Biólogical study, unclassified); BIOL (Biological study); PROC (Process)

(microbial models of mammalian metab. of xenobiotics)

RN 119257-34-0 CAPLUS

1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN



77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

1.9 ANSWER 14 OF 51 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1998:552350 CAPLUS

DOCUMENT NUMBER: 129:269966

4-aminopyridine derivatives: a family of novel TITLE:

modulators of voltage-dependent sodium channels

Tang, Lei; Huger, Francis P.; Klein, Joseph T.; Davis, AUTHOR(S):

Larry; Martin, Lawrence L.; Shimshock, Stephen;

Effland, Richard C.; Smith, Craig P.; Kongsamut,

Sathapana

Departments of Neuroscience Research and Chemistry, CORPORATE SOURCE:

Bridgewater, NJ, 08807-0800 USA

Drug Development Research (1998), SOURCE: 44(1), 8-13

CODEN: DDREDK; ISSN: 0272 (-4391

PUBLISHER: Wiley-Liss, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

AB The interactions of a family of aminopyridine derivs. with Site II of the voltage-dependent sodium channel were examd. by measuring the ability of these compds. to inhibit [3H]batrachotoxin binding and veratridine-induced increases in [Ca2+]i. Aminopyridines substituted with indole, carbazole, and pyrrole rings were evaluated. All compds. that had an arom. ring linked to the amine group of 4-aminopyridine showed pos. results in both assays. For example, the most potent compd., besipirdine (N-(n-propyl)-N-(4-pyridinyl)-lH-indol-l-amine), had IC50 values of 5 .mu.M and 23.8 .mu.M in the two assays, resp. Small substitutions on either the arom. ring or on 4-aminopyridine did not substantially change their potencies. Indoles linked to the amino group of 2- and 3-aminopyridine also showed pos. results. These results indicate that aminopyridine derivs. substituted with an arom. ring on the amino nitrogen are inhibitors of voltage dependent sodium channels.

IT 119229-50-4 119229-54-8 119229-60-6 119229-65-1 119257-33-9 119257-34-0, Besipirdine 119257-35-1 119257-43-1

125529-86-4 125529-89-7 125529-94-4

125529-96-6 141287-62-9 141287-65-2

141287-68-5 141287-72-1 159732-09-9

159732-26-0 159732-32-8 159732-38-4

159732-42-0 173341-09-8 173341-11-2

188028-69-5 188028-84-4 188028-89-9

188028-95-7 210237-02-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(4-aminopyridine derivs.: modulators of voltage-dependent sodium channels)

RN 119229-50-4 CAPLUS

1H-Indol-1-amine, 5-bromo-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

119229-54-8 CAPLUS RN

1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

119229-60-6 CAPLUS RN

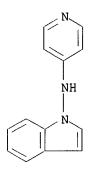
1H-Indol-1-amine, 3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

119229-65-1 CAPLUS RN

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl- (9CI) (CA INDEX NAME)

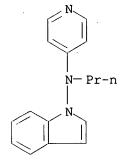
RN 119257-33-9 CAPLUS

CN 1H-Indol-1-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119257-34-0 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

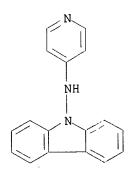


RN 119257-35-1 CAPLUS

CN 1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-43-1 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl- (9CI) (CA INDEX NAME)

RN 125529-86-4 CAPLUS CN 9H-Carbazol-9-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 125529-89-7 CAPLUS CN 9H-Carbazol-9-amine, N-butyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 125529-94-4 CAPLUS

CN 9H-Carbazol-9-amine, N-(3-fluoro-4-pyridinyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 125529-96-6 CAPLUS

CN 9H-Carbazol-9-amine, 3-bromo-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 141287-62-9 CAPLUS

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]- (9CI) (CA INDEX NAME)

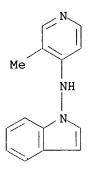
141287-65-2 CAPLUS RN 1H-Indol-5-ol, 3-methyl-1-(4-pyridinylamino)- (9CI) (CA INDEX NAME) CN

141287-68-5 CAPLUS RN 1H-Indol-5-ol, 3-methyl-1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX CN NAME)

RN 141287-72-1 CAPLUS 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl- (9CI) (CA CN INDEX NAME)

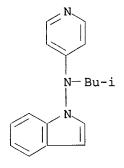
RN 159732-09-9 CAPLUS

CN 1H-Indol-1-amine, N-(3-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 159732-26-0 CAPLUS

CN 1H-Indol-1-amine, N-(2-methylpropyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 159732-32-8 CAPLUS

CN 1H-Indol-1-amine, N-(1-methylethyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)

159732-38-4 CAPLUS RN

1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)- (9CI) (CA INDEX NAME) . ĆN

159732-42-0 CAPLUS RN

1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-3-methyl-N-propyl- (9CI) CN INDEX NAME)

173341-09-8 CAPLUS RN

1H-Indol-1-amine, 3-chloro-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

173341-11-2 CAPLUS RN

CN 1H-Indol-1-amine, 3-chloro-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 188028-69-5 CAPLUS

CN 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 188028-84-4 CAPLUS

CN 3,4-Pyridinediamine, N4-1H-indol-1-yl- (9CI) (CA INDEX NAME)

RN 188028-89-9 CAPLUS

CN 1H-Indole-3-methanamine, 1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 188028-95-7 CAPLUS

CN 1H-Indole-3-ethanamine, 1-(4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 210237-02-8 CAPLUS

CN 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-3-methyl- (9CI) (CA INDEX

NAME)

REFERENCE COUNT:

THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS 20 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 15 OF 51 CAPLUS COPYRIGHT 2003 ACS 1997:696754 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

128:13198

TITLE:

Preparation of pyridinylaminoisatin derivatives as

acetylcholinesterase inhibitors and analgesics. Shimshock, Stephen J.; Mutlib; Abdul E.; Chesson,

Susan M.

PATENT ASSIGNEE(S):

Hoechst Marion Roussel, Inc., USA

SOURCE:

PCT Int. Appl. 16 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

INVENTOR(S):

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	PATENT NO.			KINDDATE				APPLICATION NO. DATE									
No	9738993		A1 19971023				\geq	WO 1997-US3598						19970307			
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		, EE,															
		, LR,															
		, RU,															
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AU	716997	.6997			B2 20000316												
EP	892796	Α	1	19990127			EP 1997-908942						19970307				
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JP	JP 2001508400			T2 20010626													
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	TW 426678				20010321												
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	NO 9804740			A 1		19981009											
KR 2000005379						20000125								1998			
	KR 2000005379 A				2000	0125								1998			
KIORITY	ORITY APPLN. INFO.:													19960			
nn									199	7 - U	S359	98	W	19970	0307		
HER SC	OURCE(S)	:	•	MAR	PAT :	128:1	13198	8									

GI

AB Title compds. (I; R = H, alkyl, hydroxyalkyl; X = H, OH, alkoxy phenylalkoxy, aminocarbonyloxy; Y = H, halo), were prepd. as acetylcholinesterase inhibitors and analgesics (no data). Thus, N-propyl-N-(4-pyridinyl)-N-(1-indolyl)amine was stirred 72 h with Tl(NO3)3.3H2O in MeOH to give I (X, Y = H; R = Pr).

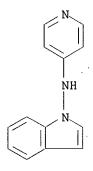
IT 119257-33-9 119257-34-0

Ι

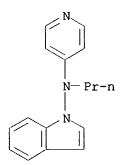
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of pyridinylaminoisatin derivs. as acetylcholinesterase inhibitors and analgesics)

RN 119257-33-9 CAPLUS

CN 1H-Indol-1-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119257-34-0 CAPLUS
CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



L9 ANSWER 16 OF 51 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1997:224079 CAPLUS

DOCUMENT NUMBER:

126:212049

TITLE:

Preparation of N-(pyrrol-1-yl)pyridinamines as

anticonvulsant agents

INVENTOR(S): Huger, Francis P.; Kongsamut, Sathapana; Smith, Craig

P.; Tang, Lei

PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA

SOURCE: PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

GI

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: 3

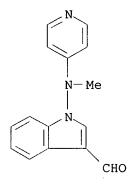
PATENT INFORMATION:

PA.	PATENT NO.				KIND DATE				P	PPLI	CATI	0.	DATE				
wó	у́о 9704777			A1 19970213			WO 1996-US11408 19960708										
(W:	AL,	-AM,	AT,	ΑU,	ΑZ,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,
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		LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,
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		IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN	
CA	2225	156		AA 19970213					CA 1996-2225156 199607								
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AU	6960	07		B2 19980827													
EP	840609			A1 19980513				E	P 19	96-93	3.	19960708					
EP	8406	40609			B1 2002060												
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CN			À	A 19980826				C	N 19	96-1	0	19960708					
JP	11510159			Т	T2 19990907				J	JP 19	96-5	2	19960708				
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NO	9800	334		Α		1998	0326		N	10 19	98-3	34		1998	0126		
PRIORIT														1995			
										996-				1996			
OTHER SOURCE(S): MARPAT 126:212049											•						

$$R^2$$
 R^3
 R^4
 R^4

AB The title compds. [I; R = H, C1-6 alkyl, C2-6 alkenyl, etc.; R1-R3 = H, halo, C1-6 alkyl, etc.; R1R2 = (un) substituted benzene ring fused to the pyrrole ring; R4 = H, halo, NH2, C1-6 alkyl; n = 0-1] and their salts, useful for treating a patient in need of relief from convulsions, were prepd. Thus, treatment of N-(4-pyridinyl)-1H-indol-1-amine with NaH in DMF followed by addn. of 1-bromopropane afforded II.HCl which showed IC50

of 5 .mu.M against [3H]Batrachotoxin binding. ΙT 119229-38-8P 119229-43-5P 119229-46-8P 119229-50-4P 119229-57-1P 119257-33-9P 159732-16-8P 159732-18-0P 173341-09-8P 173677-77-5P 188028-92-4P 188028-98-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of N-(pyrrol-1-yl)pyridinamines as anticonvulsant agents) RN 119229-38-8 CAPLUS CN 1H-Indole-3-carboxaldehyde, 1-(methyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

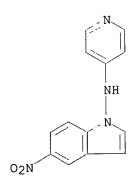


RN 119229-43-5 CAPLUS CN 1H-Indol-1-amine, 3-ethenyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-46-8 CAPLUS CN 1H-Indol-1-amine, 5-chloro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

119229-50-4 CAPLUS RN 1H-Indol-1-amine, 5-bromo-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

119229-57-1 CAPLUS RN 1H-Indol-1-amine, 5-nitro-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN



119257-33-9 CAPLUS RN1H-Indol-1-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

RN 159732-16-8 CAPLUS

CN 1H-Indole-3-carboxaldehyde, 1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 159732-18-0 CAPLUS

CN 1H-Indol-1-amine, 3-ethenyl-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 173341-09-8 CAPLUS

CN 1H-Indol-1-amine, 3-chloro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 173677-77-5 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 1-(propyl-4-pyridinylamino)-, oxime (9CI) (CI INDEX NAME)

RN 188028-92-4 CAPLUS CN 1H-Indole-3-acetonitrile, 1-(4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 188028-98-0 CAPLUS CN 1H-Indol-1-amine, N-(5-chloro-3-pyridinyl)- (9CI) (CA INDEX NAME)

119229-37-7P 119229-39-9P 119229-40-2P IT 119229-41-3P 119229-44-6P 119229-45-7P 119229-47-9P 119229-48-0P 119229-49-1P 119229-51-5P 119229-52-6P 119229-53-7P 119229-54-8P 119229-55-9P 119229-56-0P 119229-58-2P 119229-59-3P 119229-60-6P 119229-61-7P 119229-62-8P 119229-63-9P 119229-64-0P 119229-65-1P 119229-68-4P 119229-69-5P 119257-32-8P 119257-34-0P 119257-35-1P 119257-36-2P 119257-37-3P 119257-38-4P 119257-39-5P 119257-40-8P 119257-41-9P 119257-43-1P 130953-69-4P 141287-61-8P 141287-62-9P 141287-65-2P 141287-68-5P 141287-69-6P 141287-72-1P 145660-10-2P 159732-08-8P 159732-09-9P 159732-10-2P 159732-14-6P 159732-20-4P 159732-22-6P 159732-24-8P 159732-26-0P 159732-28-2P 159732-30-6P 159732-32-8P 159732-34-0P 159732-38-4P 159732-41-9P 159732-42-0P 159732-43-1P 159732-44-2P 173341-10-1P 173341-11-2P 173341-12-3P 188028-38-8P 188028-65-1P 188028-69-5P 188028-74-2P 188028-77-5P 188028-84-4P 188028-89-9P 188028-95-7P 188029-00-7P 188029-02-9P 188029-52-9P 188029-56-3P 188029-59-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(pyrrol-1-yl)pyridinamines as anticonvulsant agents)

RN 119229-37-7 CAPLUS

CN 1H-Indol-1-amine, 5-methoxy-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-39-9 CAPLUS

CN 1H-Indole-3-carboxaldehyde, 1-(methyl-4-pyridinylamino)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-38-8 CMF C15.H13 N3 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

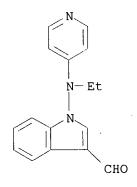
RN 119229-40-2 CAPLUS

CN 1H-Indole-3-carboxaldehyde, 1-(ethyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 119229-41-3 CAPLUS CN 1H-Indole-3-carboxaldehyde, 1-(ethyl-4-pyridinylamino)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-40-2 CMF C16 H15 N3 O



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-44-6 CAPLUS CN 1H-Indol-1-amine, 3-ethenyl-N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-43-5

CMF C16 H15 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-45-7 CAPLUS
CN 1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl-, monohydrochloride (9CI)

(CA INDEX NAME)

● HCl

RN 119229-47-9 CAPLUS CN 1H-Indol-1-amine, 5-chloro-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-46-8 CMF C13 H10 C1 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN . 119229-48-0 CAPLUS

CN 1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-49-1 CAPLUS

CN 1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-48-0 CMF C16 H16 C1 N3

CM2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

119229-51-5 CAPLUS RN

CN 1H-Indol-1-amine, 5-bromo-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM

CRN 119229-50-4

CMF C13 H10 Br N3

CM

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-52-6 CAPLUS

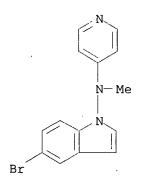
CN 1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-53-7 CAPLUS

CN 1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 119229-52-6 CMF C14 H12 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-54-8 CAPLUS

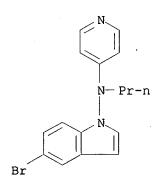
CN 1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-55-9 CAPLUS

CN 1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-54-8 CMF C16 H16 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-56-0 CAPLUS

CN 1H-Indol-1-amine, 5-nitro-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

10/076191

● HCl

119229-58-2 CAPLUS RN 1H-Indol-1-amine, N-methyl-5-nitro-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

RN 119229-59-3 CAPLUS 1H-Indol-1-amine, N-methyl-5-nitro-N-4-pyridinyl-, (2Z)-2-butenedioate CN (1:1) (9CI) (CA INDEX NAME)

1 CM

119229-58-2 CRN CMF C14 H12 N4 O2

CM 2 CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-60-6 CAPLUS CN 1H-Indol-1-amine, 3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-61-7 CAPLUS
CN 1H-Indol-1-amine, 3-methyl-N-4-pyridinyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM₁

CRN 119229-60-6 CMF C14 H13 N3

CM 2

CRN 144-62-7 CMF C2 H2 O4

119229-62-8 CAPLUS RN

1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl~ (9CI) (CA INDEX NAME) CN

RN 119229-63-9 CAPLUS

1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate CN (1:1) (9CI) (CA INDEX NAME)

CM1

119229-62-8 CRN CMF C17 H19 N3

CM

CRN 110-16-7 C4 H4 O4 CMF

Double bond geometry as shown.

RN 119229-64-0 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 119229-65-1 CAPLUS
CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 119229-68-4 CAPLUS
CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-propyl-, monohydrochloride
(9CI) (CA INDEX NAME)

● HCl

RN 119229-69-5 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 119257-32-8 CAPLUS

CN 1H-Indol-1-amine, N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-34-0 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

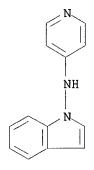
RN 119257-35-1 CAPLUS

CN 1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-36-2 CAPLUS CN 1H-Indol-1-amine, N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-33-9 CMF C13 H11 N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119257-37-3 CAPLUS CN 1H-Indol-1-amine, N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-32-8 CMF C14 H13 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119257-38-4 CAPLUS
CN 1H-Indol-1-amine, N-ethyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-39-5 CAPLUS

CN 1H-Indol-1-amine, N-ethyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-38-4 CMF C15 H15 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119257-40-8 CAPLUS
CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI)
(CA INDEX NAME)

CM 1

CRN 119257-34-0 CMF C16 H17 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

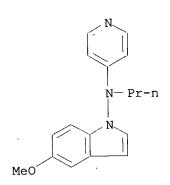
Double bond geometry as shown.

119257-41-9 CAPLUS RN

1H-Indol-1-amine, 5-methoxy-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate CN (1:1) (9CI) (CA INDEX NAME)

CM

119229-37-7 CRN C17 H19 N3 O CMF



2 CM

110-16-7 CRN CMF C4 H4 O4

Double bond geometry as shown.

119257-43-1 CAPLUS RN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl- (9CI) (CA INDEX CN NAME)

RN 130953-69-4 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 141287-61-8 CAPLUS

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 141287-62-9 CAPLUS

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]- (9CI) (CA INDEX NAME)

RN 141287-65-2 CAPLUS

CN 1H-Indol-5-ol, 3-methyl-1-(4-pyridinylamino)- (9CI) (CA INDEX NAME)

141287-68-5 CAPLUS RN 1H-Indol-5-ol, 3-methyl-1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX CN NAME)

141287-69-6 CAPLUS RN1H-Indol-5-ol, 3-methyl-1-(propyl-4-pyridinylamino)-, ethanedioate (2:1) CN (salt) (9CI) (CA INDEX NAME)

CM1

CRN 141287-68-5 C17 H19 N3 O CMF

CM

144-62-7 CRN C2 H2 O4 CMF

RN 141287-72-1 CAPLUS

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl- (9CI) (CA INDEX NAME)

RN 145660-10-2 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 159732-08-8 CAPLUS

CN 1H-Indol-1-amine, 2-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 159732-09-9 CAPLUS

CN 1H-Indol-1-amine, N-(3-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)

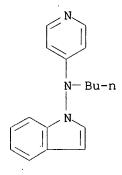
RN 159732-10-2 CAPLUS CN 1H-Indol-1-amine, N-(3-methyl-4-pyridinyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 159732-14-6 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 159732-20-4 CAPLUS CN 1H-Indol-1-amine, 3-ethyl-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

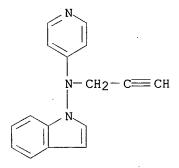
159732-22-6 CAPLUS RN

1H-Indol-1-amine, N-butyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN



159732-24-8 CAPLUS RN

CN 1H-Indol-1-amine, N-2-propynyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN159732-26-0 CAPLUS

1H-Indol-1-amine, N-(2-methylpropyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

159732-28-2 CAPLUS RN1H-Indol-1-amine, N-pentyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

N— (CH₂)
$$_4$$
 — Me

159732-30-6 CAPLUS RN 1H-Indol-1-amine, N-(1-methylpropyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

159732-32-8 CAPLUS RN1H-Indol-1-amine, N-(1-methylethyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

159732-34-0 CAPLUS RN

1H-Indol-1-amine, 2-methyl-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

RN 159732-38-4 CAPLUS

CN 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 159732-41-9 CAPLUS

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-2-methyl-N-propyl- (9CI) (CA CN INDEX NAME)

RN 159732-42-0 CAPLUS CN 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-3-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 159732-43-1 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-2-propenyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

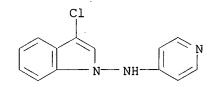
RN 159732-44-2 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-2-propenyl- (9CI) (CA INDEX NAME)

RN 173341-10-1 CAPLUS

CN Benzoic acid, 2-hydroxy-, compd. with 3-chloro-N-4-pyridinyl-1H-indol-1-amine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 173341-09-8 CMF C13 H10 C1 N3



CM 2

CRN 69-72-7 CMF C7 H6 O3

CO₂H OH

CN

RN 173341-11-2 CAPLUS

1H-Indol-1-amine, 3-chloro-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 173341-12-3 CAPLUS

CN 1H-Indol-1-amine, 3-chloro-N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 188028-38-8 CAPLUS CN 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-2-methyl- (9CI) (CA INDEXNAME)

RN 188028-65-1 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-2-propenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{CH}_2\text{-CH} = \text{CH}_2 \\ \\ \text{N} \\ \text{N} \end{array}$$

RN 188028-69-5 CAPLUS CN 1H-Indol-1-amine, N-(3-chloro-4-pyridinyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 188028-74-2 CAPLUS CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-2-propynyl- (9CI) (CA INDEX NAME)

RN 188028-77-5 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-2-propynyl- (9CI) (CA INDEX NAME)

RN 188028-84-4 CAPLUS

CN 3,4-Pyridinediamine, N4-1H-indol-1-yl- (9CI) (CA INDEX NAME)

RN 188028-89-9 CAPLUS

CN 1H-Indole-3-methanamine, 1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 188028-95-7 CAPLUS

CN 1H-Indole-3-ethanamine, 1-(4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 188029-00-7 CAPLUS

CN 1H-Indol-1-amine, N-3-pyridinyl- (9CI) (CA INDEX NAME)

188029-02-9 CAPLUS RN

1H-Indol-1-amine, N-2-pyridinyl- (9CI) (CA INDEX NAME)

CN

188029-52-9 CAPLUS RN

1H-Indole-3-carboxaldehyde, 1-(propyl-4-pyridinylamino)-, oxime, CN (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

1 CM

173677-77-5 CRN CMF C17 H18 N4 O

CM2

CRN 110-16-7 C4 H4 O4 CMF

Double bond geometry as shown.

188029-56-3 CAPLUS RN

1H-Indole-3-methanamine, 1-(propyl-4-pyridinylamino)-, dihydrochloride CN (9CI) (CA INDEX NAME)

●2 HCl

RN 188029-59-6 CAPLUS CN 1H-Indole-3-ethanamine, 1-(4-pyridinylamino)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 188028-95-7 CMF C15 H16 N4

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

$$HO_2C$$
 Z
 CO_2H

IT 119229-75-3 141287-59-4 141287-64-1 141287-66-3 141287-71-0 188029-86-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of N-(pyrrol-1-yl)pyridinamines as anticonvulsant agents)

RN 119229-75-3 CAPLUS

CN 1H-Indol-1-amine, 5-methoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME)

Jones

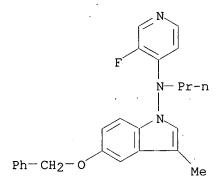
141287-59-4 CAPLUS 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-5-(phenylmethoxy)-N-propyl-RN CN (9CI) (CA INDEX NAME)

141287-64-1 CAPLUS 1H-Indol-1-amine, 3-methyl-5-(phenylmethoxy)-N-4-pyridinyl- (9CI) RNCN INDEX NAME)

141287-66-3 CAPLUS 1H-Indol-1-amine, 3-methyl-5-(phenylmethoxy)-N-propyl-N-4-pyridinyl- (9CI) RNCN(CA INDEX NAME)

RN 141287-71-0 CAPLUS

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-5-(phenylmethoxy)-N-CN propyl- (9CI) (CA INDEX NAME)



RN 188029-86-9 CAPLUS

1H-Indol-1-amine, N-(3-nitro-4-pyridinyl)- (9CI) (CA INDEX NAME) CN

ANSWER 17 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1997:545514 CAPLUS

DOCUMENT NUMBER:

127:188033

TITLE:

AB

Microbial models of mammalian metabolism:

biotransformations of HP 749 (besipirdine) using Cunninghamella elegans. [Erratum to document cited in

CA127:158856]

AUTHOR(S):

CORPORATE SOURCE:

Rao, Geeta P.; Davis, Patrick J. Coll. Pharm., Univ. Texas, Austin TX,

Drug Metabolism and Disposition (1997) SOURCE:

)25(8), 1016

CODEN: DMDSAI; ISSN: 0090-9556 Williams & Wilkins

PUBLISHER:

Journal

DOCUMENT TYPE: LANGUAGE:

English The figure no. in parentheses in the ref. on line 17, column 2, of page

713 should be Fig. 5. Fig. 6 with its complete legend is given.

IT **119257-34-0**, Besipirdine

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL

10/076191

(Biological study); PROC (Process) (biotransformations of HP 749 (besipirdine) using Cunninghamella elegans (Erratum))

119257-34-0 CAPLUS RN

1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) ÇN

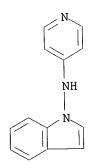
119257-33-9 141287-49-2 141287-55-0 IT

193490-35-6

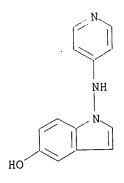
RL: BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative) (biotransformations of HP 749 (besipirdine) using Cunninghamella elegans (Erratum))

119257-33-9 CAPLUS RN

1H-Indol-1-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME) CN



141287-49-2 CAPLUS RN 1H-Indol-5-ol, 1-(4-pyridinylamino)- (9CI) (CA INDEX NAME) CN

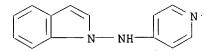


141287-55-0 CAPLUS RN

1H-Indol-5-ol, 1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX NAME) CN

193490-35-6 CAPLUS RN

1H-Indolol, 1-(4-pyridinylamino)- (9CI) (CA INDEX NAME) CN



D1-OH

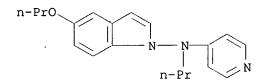
ΙT 193416-08-9P

RL: BSU (Biological study, unclassified); MFM (Metabolic formation); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); FORM (Formation, nonpreparative); PREP (Preparation)

(biotransformations of HP 749 (besipirdine) using Cunninghamella elegans (Erratum))

RN 193416-08-9 CAPLUS

1H-Indol-1-amine, 5-propoxy-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN



L9 ANSWER 18 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1997:281669 CAPLUS

DOCUMENT NUMBER:

127:13310

TITLE:

Pharmacological activity and safety profile of P10358,

a novel, orally active acetylcholinesterase inhibitor

for Alzheimer's disease

Smith, Craig P:; Bores, Gina M.; Petko, Wayne; Li, AUTHOR(S):

Mary; Selk, David E.; Rush, Douglas K.; Camacho, Fernando; Winslow, James T.; Fishkin, Rod; Cunningham,

Dana M.; Brooks, Karen M.; Roehr, Joachim; Hartman,

Harold B.; Davis, Larry; Vargas, Hugo M.

CORPORATE SOURCE: Neuroscience Therapeutic Domain, Hoechst Marion

Roussel, Inc., Bridgewater, NJ, USA

Journal of Pharmacology and Experimental Therapeutics (1997), 280(2), 710-720 SOURCE:

(1997), 280(2), 710-720 CODEN: JPETAB; ISSN: 0022-3565

Searched by Barb O'Bryen, STIC 308-4291

PUBLISHER:

Williams & Wilkins

DOCUMENT TYPE:

Journal English

LANGUAGE: P10358 [1-[(3-fluoro-4-pyridinyl)amino]-3-methyl-1(H)-indol-5-yl Me AB carbamate] is a potent, reversible acetylcholinesterase inhibitor that produces central cholinergic stimulation after oral and parental administration in rats and mice. P10358 is a 2.5 times more potent acetylcholinesterase inhibitor than THA in vitro (IC50 = 0.10° .+-. 0.02.mu.M vs. IC50 = 0.25 .+-. 0.03 .mu.M). It also inhibits butyrylcholinesterase activity as potently as THA (IC50 = 0.08 .+-. 0.05.mu.M.vs. IC50 = 0.07 .+-. 0.01 .mu.M). Ex vivo, P10358 (0.2-20 mg/kg, p.o.) produced dose-dependent inhibition of brain acetylcholinesterase activity. At 10 and 20 mg/kg, it produced profound and long-lasting hypothermia in mice. P10358 enhanced performance in rats in a step-down passive avoidance task (0.62 and 1.25 mg/kg) and in a social recognition paradigm (0.32, 0.64 and 1.25 mg/kg) in mice. It reversed scopolamine-induced deficits in the Morris Water maze in rats (1.256 and 2.5 mg/kg) and a higher dose elevated striatal homovanillic acid levels. These behavioral and biochem. effects are consistent with central cholinergic stimulation. Hemodynamic studies in the rat demonstrated a 16-fold sepn. between behaviorally active doses (1.25 mg/kg) and those that elevated arterial pressure (20 mg/kg). Lethality in rats occurred at an oral dose of 80 mg/kg, but not at lower doses. Chem., P10358 is an N-aminoindole and may not have the hepatotoxic liability assocd. with aminoacridine structure of tacrine. P10358 had weak affinity (>10 .mu.M) at a variety of aminergic and peptidergic receptors and uptake carriers. These properties suggest that P10358 may be a safe and promising symptomatic treatment of Alzheimer's disease.

188240-59-7, P 10358 IT

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacol. activity and safety of N-aminoindole P-10358 as orally active acetylcholinesterase inhibitor for Alzheimer's disease)

188240-59-7 CAPLUS RN

1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)amino]-3-methyl-, methylcarbamate (ester) (9CI) (CA INDEX NAME)

CAPIOS COPYRIGHT 2003 ACS ANSWER 19 OF 51 1997:414966 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

CORPORATE SOURCE:

AUTHOR(S):

127:158856

TITLE:

SOURCE:

CN

Microbial models of mammalian metabolism:

biotransformations of AP 749 (besipirdine) using

Cunninghamella elegans

Rao, Geeta P.; Davis, Patrick J.

Coll. Pharm., Univ. Texas, Austin, TX, USA Drug Metabolism and Disposition (1997), 25(6), 709-715

CODEN: DMDSAI; ISSN: 0090-9556

Williams & Wilkins

PUBLISHER: DOCUMENT TYPE:

Journal English

LANGUAGE:

GΙ

HP 749 (besipirdine; I) and related analogs belonging to the AΒ N-(4-pyridinyl)-1H-indol-1-amine class of compds. have shown a potential to mitigate multiple biochem. deficits assocd. with Alzheimer's disease. I has demonstrated cholinergic and noradrenergic activities both in vitro and in vivo and has potential for the symptomatic treatment of Alzheimer's disease. The 3 primary metabolites of I in dogs, rats, and humans result from hydroxylation of the indole ring, N-dealkylation of the parent compd., and sequential hydroxylation and dealkylation. The fungus C. elegans (ATCC 36112) converts 25% of I in a dextrose broth to yield 4 metabolites, 3 of which have been reported in mammalian systems. Preparative scale fermn. allowed for the isolation of the major fungal metabolite (II) resulting from hydroxylation of the indole nucleus at position 5 (16%). Addnl. minor fungal metabolites were formed as a result of N-dealkylation (2%), and sequential N-dealkylation and arom. hydroxylation (2.5%). C. elegans is being used as a model to help predict and generate the logical mammalian metabolites of related structural analogs of I.

IT **119257-34-0**, Besipirdine

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(biotransformations of HP 749 (besipirdine) using Cunninghamella elegans)

RN 119257-34-0 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

IT 119257-33-9 141287-49-2 141287-55-0 193490-35-6

RL: BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)

(biotransformations of HP 749 (besipirdine) using Cunninghamella

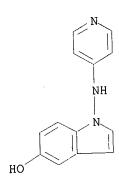
elegans)

RN 119257-33-9 CAPLUS

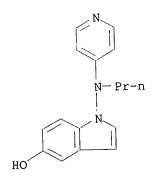
10/076191

1H-Indol-1-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

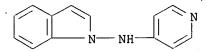
141287-49-2 CAPLUS 1H-Indol-5-ol, 1-(4-pyridinylamino)- (9CI) (CA INDEX NAME) RN CN



141287-55-0 CAPLUS 1H-Indol-5-ol, 1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX NAME) RN CN



193490-35-6 CAPLUS RN 1H-Indolol, 1-(4-pyridinylamino)- (9CI) (CA INDEX NAME) CN



D1-OH

193416-08-9P

RL: BSU (Biological study, unclassified); MFM (Metabolic formation); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); FORM (Formation, nonpreparative); PREP (Preparation)

(biotransformations of HP 749 (besipirdine) using Cunninghamella elegans)

193416-08-9 CAPLUS RN

1H-Indol-1-amine, 5-propoxy-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

n-PrO

ANSWER 20 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1997:270846 CAPLUS

DOCUMENT NUMBER:

126:325417

TITLE:

.alpha.-Adrenergic activity and cardiovascular effects of besipirdine HCl (HP 749) and metabolite P7480 in

vitro and in the conscious rat and dog

AUTHOR(S):

Hubbard, John W.; Nordstrom, Scott T.; /Smith, Craig) P.; Brooks, Karen M.; Laws-Ricker, Lynn; Zhou, Lily;

Vargas, Hugo M.

CORPORATE SOURCE:

Clinical Res. Dep., Hoechst Marion Roussel, Inc.,

Bridgewater, NJ, 08807-0800, USA

SOURCE:

Journal of Pharmacology and Experimental Therapeutics

(1997), 281(1), 337-346 CODEN: JPETAB; ISSN: 0022-3565

PUBLISHER:

LANGUAGE:

Williams & Wilkins

DOCUMENT TYPE:

Journal English

Besipirdine displays potent adrenergic activity in a variety of pharmacol. and behavioral tests. Based on this property, the authors evaluated the effects of besipirdine and its N-despropyl metabolite N-despropylbesipirdine (P7480) on cardiovascular function in rats and dogs. Besipirdine and P7480 bind alpha-2 adrenoceptors (KI: 380 and 10 nM, resp.) and facilitate the stimulated release of [3H] norepinephrine from rat cortical slices due to presynaptic autoreceptor blockade. In rat aorta rings and the pithed rat, P7480, but not besipirdine, also behaved as a postsynaptic alpha-1 adrenoceptor agonist. In conscious rats, besipirdine (2-10 mg/kg, p.o.) and P.7480 (3-10 mg/kg, p.o.) produced dose-related increases in mean arterial pressure. Inhibition of hepatic cytochrome P 450 enzyme activity blocked the pressor effect of besipirdine, but not of P7480; therefore, P7480 mediated besipirdine's pressor effect. The bradycardia after either agent was unaffected. conscious dogs, besipirdine (0.1-2 mg/kg, p.o.) also produced dose-related hypertension and bradycardia. The hypertension, but not the bradycardia,

were sensitive to prazosin (3 mg/kg, p.o.), but not hexamethonium (10 mg/kg, p.o.). Muscarinic and beta-adrenergic receptor blockade studies in anesthetized dogs demonstrated the bradycardia to be due to withdrawal of cardiac sympathetic tone. These findings suggest that besipirdine's peripheral hypertensive effect is primarily mediated by the pressor metabolite P7480, although facilitated norepinephrine release may contribute. Besipirdine's bradycardic action appears to be centrally mediated, because both compds. lacked direct neg. chronotropic activity on spontaneously beating guinea pig atria in vitro.

IT 130953-69-4, Besipirdine hydrochloride
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(.alpha.-adrenergic activity and cardiovascular effects of besipirdine
HCl (HP 749) and metabolite P7480 in vitro and in conscious rat and
dog)

RN 130953-69-4 CAPLUS CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

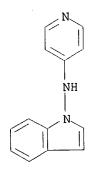
● HCl

IT 138624-41-6, P7480
RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)

(.alpha.-adrenergic activity and cardiovascular effects of besipirdine HCl (HP 749) and metabolite P7480 in vitro and in conscious rat and dog)

RN 138624-41-6 CAPLUS

1H-Indol-1-amine, N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)



CN

● HCl

L9 ANSWER 21 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1997:123307 CAPLUS

DOCUMENT NUMBER:

126:220296

TITLE:

Synthesis and preliminary structure-activity

relationships of 1-[(3-fluoro-4-pyridinyl)amino]-3-methyl-1H-indol-5-yl methyl carbamate (P10358), a

novel acetylcholinesterase inhibitor

AUTHOR(S): Martin, Lawrence L.; Davis, Larry; Klein, Joseph T.;

Nemoto, Peter; Olsen, Gordon E.; Bores, Gina M.; Camacho, Fernando; Petko, Wayne W.; Rush, Douglas K.;

et al.

CORPORATE SOURCE: Hoechst Marion Roussel Inc., Neuroscience Therapeutic

Area, Bridgewater, NJ, 08807-0800, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1997) 7(2),

157-162

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: El:
DOCUMENT TYPE: Jon

Elsevier Journal English

LANGUAGE: English

AB A series of carbamate analogs of besipirdine (HP 749) was synthesized as potential agents with enhanced cholinomimetic properties for the treatment of Alzheimer's disease. P10358, 1-[(3-fluoro-4-pyridinyl)amino]-3-methyl-1H-indol-5-yl Me carbamate, emerged as a potent, reversible acetylcholinesterase inhibitor that significantly enhanced performance on oral or parenteral administration in learning and memory paradigms.

IT 141287-70-9P 141287-72-1P 188240-58-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; synthesis and structure-activity relationships of besipirdine carbamate analogs as acetylcholinesterase inhibitors)

RN 141287-70-9 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 141287-72-1 CAPLUS

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl- (9CI) (CA INDEX NAME)

RN 188240-58-6 CAPLUS

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)amino]-3-methyl- (9CI) (CA INDEX NAME)

IT 141287-42-5P 141287-43-6P 141287-44-7P

141287-45-8P 188240-59-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (synthesis and structure-activity relationships of besipirdine carbamate analogs as acetylcholinesterase inhibitors)

RN 141287-42-5 CAPLUS

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-, methylcarbamate (ester) (9CI) (CA INDEX NAME)

RN 141287-43-6 CAPLUS

CN Carbamic acid, butyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-44-7 CAPLUS

CN Carbamic acid, heptyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-45-8 CAPLUS

CN Carbamic acid, (phenylmethyl)-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 188240-59-7 CAPLUS

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)amino]-3-methyl-, methylcarbamate (ester) (9CI) (CA INDEX NAME)

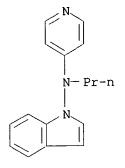
IT **119257-34-0**, Besipirdine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(synthesis and structure-activity relationships of besipirdine carbamate analogs as acetylcholinesterase inhibitors)

RN 119257-34-0 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



ANSWER 22 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1996:300305 CAPLUS

DOCUMENT NUMBER:

CORPORATE SOURCE:

125:1146

TITLE:

Serotonergic activity of HP 184: does spontaneous

release have a role?

AUTHOR(S):

Smith Graig P; Woods-Kettelberger, Ann T.; Corbett,

Roy; Chesson, Susan M.; Bores, Gina M.; Petko, Wayne

W.; Roehr, Joachim E.; Kongsamut, Sathapana

Neuroscience Therapeutic Domain, Somerville, NJ,

08876, USA

SOURCE:

Neurochemical Research (1996), 21(5), 575-583

CODEN: NEREDZ; ISSN: 0364-3190

PUBLISHER:

Plenum

Journal DOCUMENT TYPE: · English LANGUAGE: AB

Examn. of HP 184, [N-n-propyl-N-(3-fluoro-4-pyridinyl)-1H-3-methylindodel-1-amine hydrochloride], in a variety of tests for serotonergic activity revealed some unique properties of this compd. The authors report here that 100 .mu.M HP 184 enhanced spontaneous release of [3H]serotonin (5-HT) from rat hippocampal slices. This release was independent of the uptake carrier. In vivo assays confirmed that HP 184 (20 mg/kg, i.p.) lacked significant interactions at the norepinephrine (NE) or 5-HT uptake carrier itself. Notably, HP 184 (15 mg/kg, i.p.) reduced drinking behavior in schedule-induced polydipsic (SIP) rats (a behavioral model for obsessive compulsive disorder). The authors previously reported that some selective 5-HT reuptake inhibitors decrease SIP 30-40% after a 14-21 day treatment. In the current study, HP 184 decreased SIP beginning with the first treatment, and this redn. (30%) was maintained for 28 days. The authors further investigated HP 184 and serotonin metabolite levels. One hour after i.p. administration of 30 mg/kg HP 184, the ratio of whole brain 5-hydroxyindoleacetic acid (5-HIAA) to 5-HT was increased, suggesting serotonergic astivation. Under these conditions, the brain-plasma ratio of HP 184 was approx. 2:1, with brain concns. of 1.6 .mu.g/g. The authors speculate that the spontaneous release effects of HP 184 may be responsible for the behavioral effects obsd.

119229-64-0, HP 184 ΙT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(serotonergic activity of HP 184 in relation to serotonin release and treatment of obsessive compulsive disorder)

RN 119229-64-0 CAPLUS

CN

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

L9 ANSWER 23 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:6887 CAPLUS

DOCUMENT NUMBER:

124:175740

TITLE:

Synthesis and Structure-Activity Relationships of

N-Propyl-N-(4-pyridinyl)-1H-indol-1-amine (Besipirdine) and Related Analogs as Potential

Therapeutic Agents for Alzheimer's Disease

AUTHOR(S):

Klein, Joseph T.; Davis, Larry; Olsen, Gordon E.; Wong, George S.; Huger, Francis P.; Smith, Craig P.; Petko, Wayne W.; Cornfeldt, Michael; Wilker, Jeffrey

ecko, wayne w.; connietat, michael; wilker, ber

C.; et al.

CORPORATE SOURCE:

Hoechst-Roussel Pharmaceuticals Inc., Somerville, NJ,

NEW JERSEY 08876, USA

SOURCE:

RN

Journal of Medicinal Chemistry (1996), 39(2), 570-81

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

As series of novel N-(4-pyridinyl)-1H-indol-1-amines and other heteroaryl analogs was synthesized and evaluated in tests to det. potential utility for the treatment of Alzheimer's disease. From these compds., N-propyl-N-(4-pyridinyl)-1H-indol-1-amine (besipirdine) was selected for clin. development based on in-depth biol. evaluation. In addn. to cholinomimetic properties based initially on in vitro inhibition of [3H]quinuclidinyl benzilate binding, in vivo reversal of scopolamine-induced behavioral deficits, and subsequently on other results, besipirdine also displayed enhancement of adrenergic mechanisms as evidenced in vitro by inhibition of [3H]clonidine binding and synaptosomal biogenic amine uptake, and in vivo by reversal of tetrabenazine-induced ptosis. The synthesis, structure-activity relationships for this series, and the biol. profile of besipirdine are reported.

IT 119229-61-7P 119257-33-9P 119257-34-0P,

Besipirdine 119257-36-2P 119257-40-8P

125529-97-7P 159732-16-8P 159732-17-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

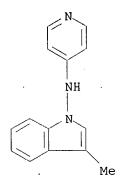
(prepn. and structure-activity relationship of N-(pyridinyl)indolamines and analogs for treatment of Alzheimer's disease)

119229-61-7 CAPLUS

CN 1H-Indol-1-amine, 3-methyl-N-4-pyridinyl-, ethanedioate (1:1) (9CI) (CF INDEX NAME)

CM 1

CRN 119229-60-6 CMF C14 H13 N3

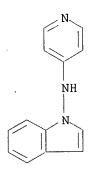


CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 119257-33-9 CAPLUS

CN 1H-Indol-1-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119257-34-0 CAPLUS

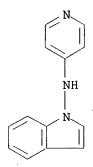
CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-36-2 CAPLUS

CN 1H-Indol-1-amine, N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-33-9 CMF C13 H11 N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

•

Double bond geometry as shown.

RN 119257-40-8 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-34-0 CMF C16 H17 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 125529-97-7 CAPLUS CN 9H-Carbazol-9-amine, N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 125529-86-4 CMF C17 H13 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-16-8 CAPLUS

CN 1H-Indole-3-carboxaldehyde, 1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 159732-17-9 CAPLUS

CN 1H-Indole-3-carboxaldehyde, 1-(propyl-4-pyridinylamino)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

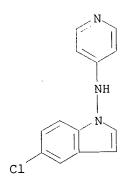
CRN 159732-16-8 CMF C17 H17 N3 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

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119229-47-9P 119229-49-1P 119229-51-5P
IT
     119229-53-7P 119229-55-9P 119229-56-0P
     119229-59-3P 119229-63-9P 119229-67-3P
     119229-75-3P 119257-32-8P 119257-37-3P
     119257-39-5P 119257-41-9P 125530-02-1P
     128546-10-1P 159732-08-8P 159732-21-5P
     159732-23-7P 159732-25-9P 159732-33-9P
     159732-35-1P 173677-79-7P 173677-80-0P
     173677-81-1P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (prepn. and structure-activity relationship of N-(pyridinyl)indolamines
        and analogs for treatment of Alzheimer's disease)
     119229-47-9 CAPLUS
RN
     1H-Indol-1-amine, 5-chloro-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI)
CN
       (CA INDEX NAME)
     CM
          1
          119229-46-8
     CRN
          C13 H10 Cl N3
     CMF
```



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-49-1 CAPLUS
CN 1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-48-0 CMF C16 H16 C1 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

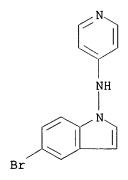
Double bond geometry as shown.

RN 119229-51-5 CAPLUS CN 1H-Indol-1-amine, 5-

1H-Indol-1-amine, 5-bromo-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-50-4 CMF C13 H10 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

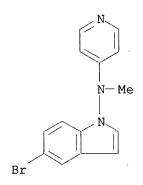
Double bond geometry as shown.

119229-53-7 CAPLUS RN

1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate CN (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-52-6 CMF C14 H12 Br N3



CM

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

119229-55-9 CAPLUS RN

1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate CN (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-54-8 CMF C16 H16 Br N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-56-0 CAPLUS

CN 1H-Indol-1-amine, 5-nitro-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 119229-59-3 CAPLUS

CN 1H-Indol-1-amine, N-methyl-5-nitro-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-58-2

'CMF C14 H12 N4 O2

10/076191

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-63-9 CAPLUS CN 1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-62-8 CMF C17 H19 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-67-3 CAPLUS CN 1H-Indol-1-amine, N-2-propenyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-66-2 CMF C16 H15 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-75-3 CAPLUS

CN 1H-Indol-1-amine, 5-methoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME)

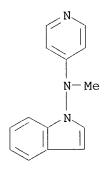
RN 119257-32-8 CAPLUS

1H-Indol-1-amine, N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

RN 119257-37-3 CAPLUS 1H-Indol-1-amine, N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) CN (CA INDEX NAME)

CM 1

CRN 119257-32-8 CMF C14 H13 N3



2 CM

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

119257-39-5 CAPLUS RN 1H-Indol-1-amine, N-ethyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) CN(CA INDEX NAME)

CM1

CRN 119257-38-4 CMF C15 H15 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119257-41-9 CAPLUS

CN 1H-Indol-1-amine, 5-methoxy-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-37-7 CMF C17 H19 N3 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

10/076191

RN 125530-02-1 CAPLUS
CN 9H-Carbazol-9-amine, N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1)
(9CI) (CA INDEX NAME)

CM 1.

CRN 125530-01-0 CMF C20 H19 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 128546-10-1 CAPLUS
CN 4-Quinolinamine, 7-chloro-N-1H-indol-1-yl-N-propyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 128546-09-8 CMF C20 H18 C1 N3

CM

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-08-8 CAPLUS

1H-Indol-1-amine, 2-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

RN 159732-21-5 CAPLUS

 ${\tt 1H-Indol-1-amine,\ 3-ethyl-N-propyl-N-4-pyridinyl-,\ (2Z)-2-butenedicate}$ CN (1:1) (9CI) (CA INDEX NAME)

CM

CRN 159732-20-4 CMF C18 H21 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-23-7 CAPLUS

CN 1H-Indol-1-amine, N-butyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-22-6 CMF C17 H19 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-25-9 CAPLUS

CN 1H-Indol-1-amine, N-2-propynyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-24-8 CMF C16 H13 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-33-9 CAPLUS

CN 1H-Indol-1-amine, N-(1-methylethyl)-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-32-8 CMF C16 H17 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 159732-35-1 CAPLUS CN 1H-Indol-1-amine, 2-methyl-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159732-34-0 CMF C17 H19 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 173677-79-7 CAPLUS

CN 1H-Indole-3-carbonitrile, 1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 173677-80-0 CAPLUS

CN 1H-Indole-3-carbonitrile, 1-(propyl-4-pyridinylamino)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 173677-79-7 CMF C17 H16 N4

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 173677-81-1 CAPLUS

CN Ethanone, 1-[1-(propyl-4-pyridinylamino)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

159732-18-0P 173677-77-5P 173677-78-6P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and structure-activity relationship of N-(pyridinyl)indolamines

and analogs for treatment of Alzheimer's disease)

159732-18-0 CAPLUS RN

1H-Indol-1-amine, 3-ethenyl-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

173677-77-5 CAPLUS RN

1H-Indole-3-carboxaldehyde, 1-(propyl-4-pyridinylamino)-, oxime (9CI) (CA CN

INDEX NAME)

173677-78-6 CAPLUS RN

1H-Indole-3-methanol, .alpha.-methyl-1-(propyl-4-pyridinylamino)- (9CI) CN

(CA INDEX NAME)

L9 ANSWER 24 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1996:304705 CAPLUS

DOCUMENT NUMBER:

125:1168

TITLE:

Preliminary evaluation of besipirdine for the

treatment of Alzheimer's disease

AUTHOR(S):

Muli, F. J.

CORPORATE SOURCE:

Hoechst-Roussel Pharmaceuticals Inc., Somerville, NJ,

08876, USA

SOURCE:

Annals of the New York Academy of Sciences (1996),

777 (Neurobiology of Alzheimers Disease), 419-414

CODEN: ANYAA9; ISSN: 0077-8923 New York Academy of Sciences

PUBLISHER:

Journal

English

DOCUMENT TYPE: LANGUAGE:

Besipirdine hydrochloride (HP 749) is an indole-substituted analog of 4-aminopyridine. Besipirdine enhances both cholinergic and adrenergic neurotransmission in the central nervous system, and may have greater efficacy than purely cholinergic agents in treating dementia due to Alzheimer's disease.1. The present study examd. the efficacy and tolerability of two doses of besipirdine (5 and 20 mg BID) in 275 patients with Alzheimer's disease during 3 mo of treatment and during 3 mo after withdrawal of treatment. Besipirdine was generally well tolerated. The level of performance on a cognitive test was sustained during 3 mo of treatment with besipirdine, whereas the performance of patients treated with placebo deteriorated over the same time period. The results suggest a dose-response relationship, with greater efficacy after 3 mo of treatment and longer persistence after treatment withdrawal for besipirdine 20 mg BID than for 5 mg BID. A clin. global rating did not detect a besipirdine treatment effect. The full efficacy after 3 mo of treatment did not persist after withdrawal of treatment, suggesting that the benefit is primarily symptomatic. Treatment with higher doses and for longer periods may enhance efficacy on both cognitive and clin. global assessments.

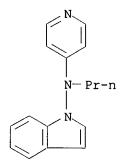
IT 119257-34-0, Besipirdine

RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preliminary evaluation of besipirdine for Alzheimer's disease treatment)

RN 119257-34-0 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



L9 ANSWER 25 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1996:478108 CAPLUS

DOCUMENT NUMBER:

125:158423

TITLE:

.alpha.2-Adrenoceptor antagonists potentiate
acetylcholinesterase inhibitor effects on passive

avoidance learning in the rat

AUTHOR(S): Camacho, Fernando; Smith, Craig P.; Vargas, Hugo M.;

Winslow, James T.

CORPORATE SOURCE: Neuroscience Therapeutic Domain, Somerville, NJ,

08876-1258, USA

SOURCE: Psychopharmacology (Berlin) (1996) 124(4), 347-354

CODEN: PSCHDL; ISSN: 0033-3158

PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English

The cholinorgic hypothesis of Alzheimer's disease (AD) has strongly AB influenced research on learning and memory over the last decade. However, there has been limited success treating AD dementia with cholinomimetics. Furthermore, there are indications that other neurotransmitter systems affected by this disease may be involved in cognitive processes. Animal studies have suggested that norepinephrine and acetylcholine may interact in learning and memory. The current expts. investigate this interaction in a step-down passive avoidance paradigm after coadministration of acetylcholinesterase inhibitors and .alpha.2-adrenoceptor antagonists. Administration of acetylcholinesterase inhibitors heptylphysostigmine (0.625-5.0 mg/kg, i.p.), tacrine (2.5-10.0 mg/kg, orally), velnacrine (0.312-2.5 mg/kg, s.c.), and galanthamine (0.312-2.5 mg/kg, i.p.) each enhanced retention of a passive avoidance response at selected moderate doses administered 30-60 min prior to training. The .alpha.2-adrenoceptor antagonists idazoxan (0.312-2.5 mg/kg, i.p.), yohimbine (.078-0.312 mg/kg, i.p.) and P 867480 (0.156-0.625 mg/kg, i.p.) alone failed to enhance learning in this paradigm. Coadministration of a subthreshold dose of heptylphysostigmine (0.625 mg/kg, i.p.) with doses of idazoxan, yohimbine or P 867480 enhanced passive avoidance learning. This synergistic interaction may represent effects of antagonism of presynaptic .alpha.2-adrenoceptor since coadministration of heptylphysostigmine and the selective postsynaptic .alpha.2-adrenoceptor antagonist SKF 104856 did not result in enhanced learning. Taken together these data suggest noradrenergic activation through pre-synaptic .alpha.2-adrenoceptor blockade may potentiate cholinergic activity in the formation of a long-term memory trace. These observation may have implications for the treatment of AD with cholinergic and adrenergic agents.

IT 119257-36-2, Despropylbesipirdine maleate

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

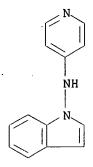
(.alpha.2-adrenoceptor antagonists potentiate acetylcholinesterase inhibitor effects on passive avoidance learning in rats)

RN 119257-36-2 CAPLUS

CN 1H-Indol-1-amine, N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-33-9 CMF C13 H11 N3



2 CM

110-16-7 CRN CMF C4 H4 O4

Double bond geometry as shown.

ANSWER 26 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1996:600687 CAPLUS

DOCUMENT NUMBER:

125:238506

TITLE:

Besipirdine (HP 749) reduces schedule-induced

polydipsia in rats

AUTHOR(S):

Woods-Kettelberger, A. T.; Smith, C. P.; Corbett, R.;

Szewczak, M. R.; Roehr, J. E.; Bores, G. M.; Klein, J.

T.; Kongsamut, S.

CORPORATE SOURCE:

Neuroscience Therapeutic Domain, Hoechst Marion Roussel, Inc., Bridgewater, NJ, 08807-0800, USA

SOURCE:

Brain Research Bulletin (1996), 41(2), 125-130

CODEN: BRBUDU; ISSN: 0\\$61-9230

PUBLISHER:

Elsevier Journal

DOCUMENT TYPE: LANGUAGE: English

Besipirdine inhibited the uptake of biogenic amines (norepinephrine and serotonin) by rat cortical synaptosomes in vitro. It prevented tetrabenazine-induced ptosis in mice and potentiated the 5-hydroxytryptophan-induced serotonin syndrome in rats. Furthermore, it decreased schedule-induced polydipsic behavior in rats. Schedule-induced polydipsia may be a model for obsessive compulsive disorder. Previous results have shown that certain selective serotonin reuptake inhibitors decrease schedule-induced polydipsia after 14-21 days of treatment. Besipirdine reduced schedule-induced polydipsic behavior immediately, and this redn. lasted throughout the duration of the expt. (29 days).

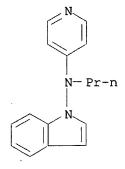
IT 119257-34-0, Besipirdine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(schedule-induced polydipsia inhibition by)

RN 119257-34-0 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



ANSWER 27 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1996:397829 CAPLUS

DOCUMENT NUMBER:

CORPORATE SOURCE:

125:49175

TITLE:

A treatment and withdrawal trial of besipirdine in

Alzheimer disease

AUTHOR(S):

Huff, F. J.; Antuono, P. G.; Delagandara, J. E.; McDonald, M. A.; Cutler, N. R.; Cohen, S. R.; Green,

R. C.; Zemlan, F. P.; Crismon, M. L.; et al. University Medicine and Dentistry New Jersey,

Piscataway, NJ, 08855, USA

SOURCE:

Alzheimer Disease and Associated Disorders (1996)

10(2), 93-102

CODEN: ADADE2; ISSN: 0893-0341

PUBLISHER: Lippincott-Raven

DOCUMENT TYPE:

Journal LANGUAGE: English

Besipirdine hydrochloride (HP 749) is an indole-substituted analog of 4-aminopyridine. Besipirdine enhances both cholinergic and adrenergic neurotransmission in the central nervous system. The present study examd. the efficacy and tolerability of two doses of besipirdine (5 and 20 mg b.i.d.) in 275 patients with Alzheimer disease during 3 mo of treatment and for 3 mo after withdrawal of treatment. Assessment after withdrawal of treatment was used in an effort to distinguish persistent efficacy attributable to a neuroprotective mechanism from reversible symptomatic efficacy. Besipirdine was generally well tolerated. The level of performance on the cognitive subscale of the Alzheimer Disease Assessment Scale (ADAS-Cog) was sustained during 3 mo of treatment with besipirdine, whereas some deterioration in the performance of patients treated with placebo was obsd. over the same period. The small difference between active and placebo treatment groups approached, but did not reach statistical significance in the primary intent-to-treat anal. (p = 0.067); anal. of patients who completed all assessments was supportive (p = 0.031). Global ratings using the Clinician Interview-Based Impression of Change did not detect a besipirdine treatment benefit, possibly because of an adverse effect on mood and behavior in some patients. A high ratio of adrenergic to cholinergic potency may have resulted in the adverse effects of besipirdine and hence its failure to support the hypothesis that multiple neurotransmitter treatment may be more efficacious than monotherapy. The efficacy apparent on the ADAS-Cog after 3 mo of treatment did not persist 3 mo after withdrawal of treatment, suggesting that the benefit was symptomatic. This study provides a practical example of the use of treatment withdrawal assessment to distinguish neuroprotective from symptomatic efficacy.

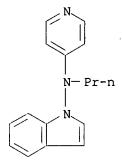
119257-34-0, Besipirdine IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(treatment and withdrawal trial of besipirdine in Alzheimer disease)

RN 119257-34-0 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



L9 ANSWER 28 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:234782 CAPLUS

DOCUMENT NUMBER: 124:307340

TITLE: Frequency-dependent inhibition of neurotransmitter

release by besipirdine and HP 184

AUTHOR(S): Tang, Lei: Kongsamut, Sathapana

CORPORATE SOURCE: Neuroscience Therapeutic Domain, Hoechst Marion

Roussel, P.O. Box 2500, Somerville, USA

SOURCE: European Journal of Pharmacology (1996),

71-4

CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

Interaction of besipirdine (HP 749, N-(n-propyl)-N-(4-pyridinyl)-1H-indol1-amine hydrochloride) with voltage-dependent Na+ channels has been described. Here we describe studies with besipirdine and a related compd., HP 184 ((N-(n-propyl)-3-fluoro-4-pyridinyl)-1H-3-methylindol-1amine hydrochloride), showing that this interaction is voltage-dependent and leads to frequency-dependent inhibition of elec. stimulated neurotransmitter release. Thus, the inhibition of veratridine-induced increases in intracellular Ca2+ was enhanced by depolarization with KCl (IC50 shifted from 23.8 .mu.M in 5 mM KCl to 7.3 .mu.M in 15 mM KCl for besipirdine and from 58.2 .mu.M to 14.1 .mu.M for HP 184). Moreover, the enhancement of elec. stimulated [3H]norepinephrine release by besipirdine was diminished at higher frequencies of stimulation. As has been previously suggested for such compds., we predict that besipirdine would act as a filter in the brain allowing signaling at low frequencies but blocking transmission at high frequencies.

IT 119229-64-0, HP 184 119257-34-0, Besipirdine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(frequency-dependent inhibition of neurotransmitter release by besipirdine and HP 184)

RN 119229-64-0 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

119257-34-0 CAPLUS RN1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

ANSWER 29 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1995:389845 CAPLUS

DOCUMENT NUMBER:

122:151393

TITLE:

Central .alpha.2-adrenergic agonists for inhibition of

posttraumatic metabolism

INVENTOR(S):

Goeters, Christine; Mertes, Nobert; Zander, Joseph; Kuhmann, Martin; Brecht, Hans-Michael

Boehringer Ingelheim KG, Germany PATENT ASSIGNEE(S):

SOURCE:

Ger. Offen., 12 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

LANGUA

Patent

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2	RW: AT,	RO, BE,	RU, SK CH, DE	, UA, , DK, 1995	ES,	FR,	GB,	GR,	IE, 94-7	IT, 5331	LU,	MC, 1994	NL, 0727	PT,	SE	
	9475331 719139 R: AT,	BE,	Δ1	1996	0703		Ε	P·19	94-9	2539	9	1994	0727		PT,	SE

PRIORITY APPLN. INFO.:

DE 1993-4325491 A 19930729 WO 1994-EP2475 W 19940727

OTHER SOURCE(S):

MARPAT 122:151393

AB Substituted aminoimidazolines, oxazoloazepines, and thiazoloazepines are proposed for treatment of the acute alterations in intermediary metab. subsequent to surgery, trauma, or burns. Thus, administration of clonidine to postoperative patients improved the cumulative N balance.

IT **130953-69-4**, HP-749

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(central .alpha.2-adrenergic agonists for inhibition of posttraumatic metab.)

RN 130953-69-4 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

SOURCE:

L9 ANSWER 30 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:933859 CAPLUS

DOCUMENT NUMBER: 124:689

TITLE: Effects of besipirdine at the voltage-dependent sodium

channel

AUTHOR(S): Tang, L.; Smith, C. P.; Huger, F. P.; Kongsamut, S.

CORPORATE SOURCE: Department of Biological Research, Hoechst Roussel

Pharmaceuticals, Inc., Somerville NJ, 08876, USA

British Journal of Pharmacology (1995), 116(5),

2468-72

CODEN: BJPCBM; ISSN: 0007-1188

PUBLISHER: Stockton
DOCUMENT TYPE: Journal
LANGUAGE: English

Besipirdine (HP 749) is a compd. undergoing clin. trials for efficacy in treating Alzheimer's disease. Among other pharmacol. effects, besipirdine inhibits voltage-dependent sodium and potassium channels. This paper presents a pharmacol. study of the interaction of besipirdine with voltage-dependent sodium channels. Besipirdine inhibited [3H]-batrachotoxin binding (IC50 = $5.5 \cdot + - \cdot \cdot 0.2 \cdot mu.M$) in a rat brain vesicular prepn. and concn.-dependently inhibited veratridine (25 .mu.M)-stimulated increases in intracellular free sodium ([Na+]i) and calcium ([Ca2+]i) in primary cultured cortical neurons of rat. Besipirdine (30-100 .mu.M) concn.-dependently inhibited (up to 100%) veratridine-stimulated release of [3H]-noradrenaline (NA). from rat cortical slices. When examd. in greater detail, besipirdine was found to inhibit [3H]-batrachotoxin binding in vesicular membranes competitively. However, when examd. in rat brain synaptosomes, we found that the antagonism by besipirdine was not competitive; i.e., the maximal stimulation of [Ca2+]i induced by veratridine decreased with increasing concns. of besipirdine. These results show that begipirdine is an inhibitor of voltage-sensitive sodium channels and appears to bind to a site close to the batrachotoxin/veratridine binding site.

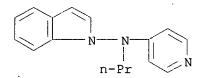
IT **130953-69-4**, HP 749

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(besipirdine effect at voltage-dependent sodium channel in brain)

RN 130953-69-4 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)



HC1

ANSWER 31 OF 51 CAPLUS COPYRIGHT 2003 ACS L9

ACCESSION NUMBER: 1995:760335 CAPLUS

DOCUMENT NUMBER:

123:188369

TITLE:

A "bridging" (safety/tolerance) study of besipirdine hydrochloride in patients with Alzheimers disease

AUTHOR(S):

Sramek, John J.; Viereck, Christopher; Huff, F. Jacob;

Wardle, Thomas; Hourani, Jameel; Stewart, John A.;

Cutler, Neal R.

CORPORATE SOURCE:

California Clinical Trials, Beverly Hills, CA, 90211,

USA

SOURCE:

Life Sciences (1995), 5 $\chi(12)$, 1241-8

CODEN: LIFSAK, ISSN: 0024-3205

PUBLISHER:

Elsevier

DOCUMENT TYPE: Journal LANGUAGE: English

Besipirdine hydrochloride is a novel compd. with cholinergic and adrenergic activity being investigated as a treatment for Alzheimer's disease (AD). The pharmacodynamics of some anti-dementia drugs are known to differ in patients with AD as compared with elderly normals. The present study was designed to det. the max. tolerated dose (MTD) of multiple oral doses of besipirdine in AD patients. Twelve AD patients (NINCDS/ADRDA criteria; 7M, 5F, ages 58-75, mean age 65) were randomized to besipirdine (n=9) or placebo (n=3) in a double-blind, parallel-group, rising-dose design. Doses were 10, 20, 30, and 40 mg bid for 2 days each, followed by 50 and 60 mg bid for 5 days each. The most common adverse events were asymptomatic postural hypotension and asymptomatic bradycardia. Two patients on active drug developed severe adverse events: 1 after 3 days at 50 mg bid (nausea and vomiting); 1 after 3 days at 60 mg bid (angina). Due to the anginal episode, the study was terminated on Day 17. Plasma concns. increased linearly with dose for besipirdine and its major metabolite. The two patients who developed severe adverse events had the highest plasma concns. measured. Besipirdine 50 mg bid was considered the max. tolerated dose (MTD).

130953-69-4, Besipirdine hydrochloride IT

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(safety and tolerance study of besipirdine hydrochloride in patients with Alzheimers disease)

RN 130953-69-4 CAPLUS

1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) CN

INDEX NAME)

n-Pr

HC1

ANSWER 32 OF 51 CAPLUS COPYRIGHT 2003 ACS

1995:729270 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 123:159988

TITLE: The pharmacokinetics and cardiovascular

pharmacodynamics of HP 749 (besipirdine-HCl) and

metabolite P86-7480 in the conscious monkey

Hubbard, John W.; Hsu, Robert S.; Griffiths, Lynne; AUTHOR(S):

Natarajan, Chandra; Dean, Roosevelt; Dileo, Eva M.;

Hintze, Thomas H.

CORPORATE SOURCE: Department of Clinical Research, Hoechst-Roussel

Pharmaceuticals Inc., Somerville, NJ, 08876, USA

Journal of Clinical Pharmacology $(1^{9}95)$, 35(7), 688-96 SOURCE:

CODEN: JCPCBR; ISSN: 0091-2700

PUBLISHER: Lippincott DOCUMENT TYPE: Journal

LANGUAGE: English

AB HP 749 was absorbed slowly in the conscious monkey after single oral doses (10, 20, and 40 mg/kg), with gradual metab. to the N-despropyl metabolite, P86-7480. The tmax was 2 to 4 h after dosing, with nonlinear increases in Cmax and the AUCO-4h for HP 749. The calcd. elimination half life (t1/2) after oral administration was 7.4 .+-. 2.1 h; however, absorption appeared to influence the terminal phase because the t1/2 after i.v. administration of 10 mg/kg was 1.5 h. - Plasma concn. of HP 749 2 min after i.v. bolus was 26.08 .mu.g/mL. The HP 749 was rapidly distributed (t1/2.alpha. = 0.064.+-. 0.033 h) after i.v. administration, and displayed a Vz of 2.6 .+-. 0.85 L/kg. The CL of HP 749 was 20.8 .+-. 6.9 mL/min/kg, whereas renal clearance (CLR) of unchanged drug was only 0.13 .+-. 0.04 mL/min/kg. Thus, only about 1% of the administered dose was excreted unchanged by the kidney. The P86-7480 also was rapidly distributed and eliminated after an i.v. bolus, but was less extensively distributed than HP 749. HP 749 administered either as an i.v. bolus or orally caused a significant pressor effect soon after dosing. A significant tachycardia resulted from i.v. administration, but not after oral administration of the drug. An i.v. bolus of P86-7480 (0.1 mg/kg) resulted in an immediate increase in MAP and decreased heart rate. The duration of these cardiovascular events was significantly shorter after i.v. administration of P86-7480 than with i.v. or oral administration of the parent drug. These results support findings of previous studies in rats and dogs, demonstrating that high doses of HP 749 and its metabolite P86-7480 exert significant cardiovascular effects.

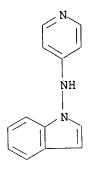
IT 119257-33-9, P 86-7480 130953-69-4, HP 749

> RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(HP 749 (besipirdine-HCl) and metabolite P86-7480 pharmacokinetics and cardiovascular pharmacodynamics)

RN 119257-33-9 CAPLUS

1H-Indol-1-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME) CN



130953-69-4 CAPLUS RN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA CN INDEX NAME)

HC1

ANSWER 33 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1995:219495 CAPLUS

DOCUMENT NUMBER:

122:936

TITLE:

HP 749 enhances calcium-independent release of [3H]norepinephrine from rat cortical slices and

synaptosomes

AUTHOR(S):

Smith, Craig P.; Huger, Francis P.; Petko, Wayne;

Kongsamut, Sathapana.

CORPORATE SOURCE:

Neuroscience Strategic Business Unit, Hoechst-Roussel Pharmaceuticals, Inc., Somerville, NJ, 08876, USA Neurochemical Research (1994) 19(10), 1265-70

CODEN: NEREDZ; ISSN: 0364-3190

PUBLISHER:

SOURCE:

Plenum

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Previous studies have shown that, at concns. of 1 .mu.M and 10 .mu.M, HP AB 749 increased elec.-stimulated release of [3H] norepinephrine (NE) from rat cortical slices. These effects were Ca2+-dependent, indicating an effect on release from vesicular stores. At 100 .mu.M, HP 749 had two effects. In addn. to enhancing the CA2+-dependent elec.-evoked release, it also induced a rise in the basal efflux (spontaneous release) of [3H]NE, which was obsd. in both cortical slices and synaptosomes. The spontaneous release effect was (1) not blocked by the reuptake inhibitor nomifensine, (2) not affected by removal of external calcium, (3) not blocked by vesicular depletion with reserpine, and (4) not inhibited by the sodium channel blocker tetrodotoxin (TTX). As would be expected, the spontaneous [3H]NE release induced by the cytoplasmic releaser tyramine and the sodium channel activator veratridine were blocked by nomifensine and TTX, resp. Notably, however, the Ca2+-independent veratridine-induced release was

completely blocked by 100 .mu.M HP 749. The mechanism of spontaneous release of [3H]NE caused by 100 .mu.M HP 749 is unresolved at present; however, the data are consistent with this release originating from a cytoplasmic source.

IT **130953-69-4**, HP 749

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(HP 749 enhances calcium-independent release of [3H] norepinephrine from rat cortical slices and synaptosomes)

RN 130953-69-4 CAPLUS

CN

1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) INDEX NAME)

HCl

ANSWER 34 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:265463 CAPLUS

DOCUMENT NUMBER: 122:46256

TITLE: Interaction of HP749 with erythrocyte and neocortical

synaptosomal membranes

Butterfield, D. Allan; Shrewsbury, Polly J.; Hensley, AUTHOR(S):

Kenneth

Center Membrane Sciences, University Kentucky, CORPORATE SOURCE:

Lexington, KY, 40506-0055, USA

Biochemical Archives (1994), 10(4), 285-92 CODEN: BIAREM; ISSN: 8749-5331 SOURCE:

DOCUMENT TYPE:

Journal LANGUAGE: English

N-(n-propyl)-N-(4-pyridinyl)-1H-indol-1-amine hydrochloride (NP749) pharmaceutical agent which demonstrates both cholinomimetic and noradrenergic properties. The interaction of HP749 with cytoskeletal proteins of erythrocyte and neocortical synaptosomal membranes was investigated employing ESR and spin labels specific for proteins or lipids. The in vitro addn. of HP749 to spin labeled erythrocyte membranes strengthened protein-protein interactions in the cytoskeleton. Spin labeling the membranes with a lipid-specific paramagnetic probe demonstrated that the cytoskeletal changes were not a secondary effect of lipid motion. In neocortical synaptosomal membranes, HP749 also increased interactions among cytoskeletal proteins, albeit not as extensively as in erythrocytes. These results are discussed with ref. to possible mol. mechanisms by which HP749 could affect both cholinergic and noradrenergic systems.

IT 130953-69-4, HP749

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(interaction of HP749 with erythrocyte and neocortical synaptosomal membranes in relation to Alzheimer's disease)

130953-69-4 CAPLUS RN

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) Jones

INDEX NAME)

) HCl

ANSWER 35 OF 51 CAPLUS COPYRIGHT 2003 ACS L9

ACCESSION NUMBER:

1994:400788 CAPLUS

DOCUMENT NUMBER:

121:788

TITLE:

AUTHOR(S):

Mechanisms for the increase in electrically stimulated

[3H] norepinephrine release from rat cortical slices by

N-(n-propyl)-N-(4-pyridinyl)-1H-indole-1-amine

Smith, Craig, P.; Petko, Wayne W.; Kongsamut,

Sathapana; Roehr, Joachim E.; Effland, Richard C.;

Klein, Joseph T.; Huger, Francis P.

CORPORATE SOURCE:

Neurosci. Strategic Business Unit, Hoechst-Roussel

Pharmaceuticals, Inc., Somerville, NJ, USA

Drug Development Research (1994), 32(1), 13-18 CODEN: DDREDK; ISSN: 0272-4391 SOURCE:

DOCUMENT TYPE:

LANGUAGE:

Journal English

N-(n-propyl)-N-(4-pyridinyl)-1H-indole-1-amine (HP 749) is currently in AB clin. trials for the treatment of Alzheimer's disease (AD). While HP 749 has many pharmacol. properties, the biochem. basis for its efficacy in animal models for AD remains unexplained. To this end, the authors have investigated some biochem. properties of HP 749 as they relate to its effect on elec. stimulated [3H] norepinephrine (NE) release. HP 749 was found to inhibit both [3H]NE uptake and [3H]yohimbine binding to cortical .alpha.2-adrenergic receptors. Consistent with this profile, HP 749 (1 and 10 .mu.M) enhanced elec. stimulated release of [3H]NE from rat cortical slices. Both clonidine (1 .mu.M) and nomifensine (10 .mu.M) inhibited the effect of HP 749 (1 .mu.M). The enhancement of [3H]NE release produced by the .alpha.2-adrenergic antagonist, idazoxan (0.1 .mu.M), was completely reversed by the .alpha.2-agonist, clonidine (1 .mu.M), but was not affected by the NE uptake inhibitor, nomifensine (10 .mu.M). These results indicate that the HP 749 enhancement of elec. stimulated [3H]NE release is due, at least in part, to a combination of presynaptic .alpha.2-adrenergic receptor antagonism and NE reuptake blockade. These mechanisms may contribute to some of the adrenergic effects of HP 749.

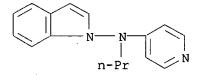
130953-69-4, HP 749 ΙT

RL: BIOL (Biological study)

(norepinephrine release from brain cortex stimulation by)

RN 130953-69-4 CAPLUS

1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) CN INDEX NAME)



HCl

ANSWER 36 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:182846 CAPLUS

DOCUMENT NUMBER:

120:182846

TITLE:

N-(n-propyl)-N-(3-fluoro-4-pyridinyl)-1H-3-methylindol-1-amine hydrochloride (HP 184): in vitro spontaneous

release of acetylcholine and norepinephrine

AUTHOR(S):

Smith, Craig P.; Brougham, Linda R.; Huger, Francis

P.; Davis, Larry; Klein, Joseph T.; Effland, Richard

CORPORATE SOURCE:

Neurosci. Strategic Bus. Unit, Hoechst-Roussel Pharm.

Inc., Somerville, NJ, 08876 USA

SOURCE:

Drug Development Research (1993), 30(4), 203-12

CODEN: DDREDK; ISSN: 0272-4391

DOCUMENT TYPE:

LANGUAGE:

Journal English

It has been shown that a single injection of N-(n-propyl)-N-(3-fluoro-4pyridinyl)-1H-3-methylindol-1-amine hydrochloride (HP 184) (0.6-4.8 mg/kg, or 2-15 .mu.moles/kg, s.c.) reversed passive avoidance deficits in rats with combined cholinergic and noradrenergic lesions. This report describes the effects of HP 184 on NE and ACh release from rat brain slices. In contrast to 4-aminopyridine (4-AP), tyramine, or veratridine, HP184 only enhanced spontaneous release and had no effect on elec. stimulation (ES). Chromatog. anal. showed that the spontaneous release from [3H]choline-loaded striatal slices correlated with increased release of ACh, not choline efflux. HP 184 also enhanced ACh spontaneous release in the absence of both extracellular calcium and functional vesicles (as defined by ES and vesamicol). In frontal cortical slices, HP 184 caused [3H]NE release in a calcium independent fashion different from that induced by veratridine, and was not affected by uptake blockers. In contrast to the cholinergic profile, the [3H]NE release induced by HP 184 required intact storage vesicles, since release was blocked by reserpine pretreatment. The results show that HP 184 can release both NE and ACh in vitro, and, coupled with the dual lesion results, suggest it may have use in diseases involving cholinergic and noradrenergic deterioration.

ΙT **119229-64-0**, HP 184

RL: BIOL (Biological study)

(acetylcholine and norepinephrine release by brain response to)

RN 119229-64-0 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

L9 ANSWER 37 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1993:617294 CAPLUS

DOCUMENT NUMBER:

119:217294

TITLE:

Effects of linopirdine, HP 749, and

glycyl-prolyl-glutamate on transmitter release and

uptake

AUTHOR(S):

Zaczek, R.; Tinker, W. J.; Logue, A. R.; Cain, G. A.;

Teleha, C. A.; Tam, S. W.

CORPORATE SOURCE:

Cent. Nerv. Sys. Dis. Res., Du Pont Merck Pharm. Co.,

Wilmington, DE, 19880-0400 USA

SOURCE:

Drug Development Research (1993), 29(3), 203-8

CODEN: DDREDK; ISSN: 02/2-4391

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AΒ Linopirdine, HP 749, and glycyl-prolyl-glutamate (GPE) are compds. that have been reported to alter the release of neurotransmitters. This study compares the potassium-stimulated neurotransmitter release enhancing properties of these compds. in parallel. While not affecting the apparent release of [3H]norepinephrine ([3H]NE), linopirdine at a concn. of 10 .mu.M enhanced the potassium evoked release of cerebral cortical and hippocampal [3H]acetylcholine ([3H]ACh) release by 143% and 200% over control, resp., and striatal [3H]dopamine ([3H]DA) and hippocampal [3H]d-aspartate ([3H]d-Asp) release by 236% and 65% over control, resp. The release enhancing effects of linopirdine were not due to inhibition of high-affinity uptake processes, since the drug did not inhibit neurotransmitter uptake at the concn. (10 .mu.M) which caused maximal release enhancement. HP 749 increased the extracellular concns. of the catecholamines, [3H]NE and [3H]DA, but not [3H]ACh or [3H]d-Asp. HP 749 was a potent inhibitor of both [3H]NE and [3H]DA uptake, and this may, in part, be responsible for the apparent release enhancing activity of the drug. GPE was devoid of release enhancing activity under the conditions used in the present study.

IT **130953-69-4**, HP 749

RL: BIOL (Biological study)

(neurotransmitter release and uptake response to, in brain, Alzheimer's disease treatment in relation to)

RN 130953-69-4 CAPLUS

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

L9 ANSWER 38 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:101970 CAPLUS

DOCUMENT NUMBER: 118:101970

TITLE: 4-(1H-indol-1-yl)pyrido[3,4-b]-1,4-oxazines, a method

for their preparation and their use as antidepressants

and memory enhancers

INVENTOR(S): Effland, Richard Charles; Davis, Larry; Olsen, Gordon

Edward

PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals Inc., USA

SOURCE: Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

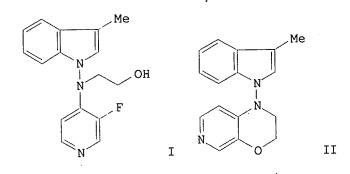
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 509400 EP 509400			EP 1992-106160	19920409
R: AT, BE,	CH, DE	, DK, ES, FR	, GB, GR, IT, LI, LU	, NL, PT, SE
OS 5214038	Α	19930525	US 1991-684758	19910415
AT 140006	E	19960715	AT 1992-106160	19920409
ES 2094840	Т3		ES 1992-106160	19920409
FI 97544	В		FI 1992-1641	19920413
FI 97544	С	19970110		
NO 9201490	Α	19921016	NO 1992-1490	19920414
CA 2065986	AA	19921016	CA 1992-2065986	19920414
AU 9214852	A1	19921022	AU 1992-14852	19920414
AU 643135	B2	19931104		
ZA 9202721	Α	19921125	ZA 1992-2721	19920414
JP 05112572	`A2	19930507 .	JP 1992-94177	19920414
RU 2042680	C1	19950827	RU 1992-5011168	19920414
PL 168671	B1	19960329	PL 1992-294221	19920414
IL 101589		19961031	IL 1992-101589	19920414
CZ 282054	В6	19970514	CZ 1992-1135	19920414
HU 62588	A2	19930528	HU 1992-1290	19920415
US 5276156	Α	19940104	US 1992-976778	19921116
US 5519131	Α	19960521	US 1993-138645	19931020
PRIORITY APPLN. INFO	.:		US 1991-684758	19910415
	•	·	US 1992-976778	19921116

OTHER SOURCE(S): CASREACT 118:101970; MARPAT 118:101970

GΙ



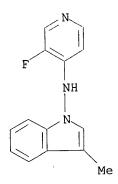
Some 2,3-dihydro-4-(1H-indol-1-yl)pyrido[3,4-b]-1,4-oxazines are claimed. AΒ The use of these compds. for the prepn. of antidepressant pharmaceuticals or for the treatment memory dysfunction is claimed. A process which comprises the cyclocondensation of N-(2-hydroxyethyl)-N-(3-fluoro-4pyridinyl)-1H-indol-1-amine (I) is claimed. Condensation of 4-chloro-2-fluoropyridine hydrochloride with 3-methyl-1H-indol-1-amine gave N-(3-fluoro-4-pyridiny1)-3-methyl-1H-indol-1-amine which was treated with Et chloroacetate and reduced to give I. Cyclocondensation of I (NaH/DMF) gave 2,3-dihydro-4-(3-methyl-1H-indol-1-yl)pyrido[3,4-b]-1,4oxazine (II). II inhibited the uptake of 3H-norepinephrine in rat whole brain synaptosomes.

119257-43-1P 145660-04-4P 145660-05-5P ΙT 145660-06-6P 145660-07-7P 145660-08-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as intermediate for dihydro(indolyl)pyridooxazine (antidepressant and memory enhancer))

119257-43-1 CAPLUS RN

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl- (9CI) (CA INDEX CN NAME)



145660-04-4 CAPLUS RN

Glycine, N-(3-fluoro-4-pyridinyl)-N-(3-methyl-1H-indol-1-yl)-, ethyl ester CN (9CI) (CA INDEX NAME)

RN 145660-05-5 CAPLUS CN Ethanol, 2-[(3-fluoro-4-pyridinyl)(3-methyl-1H-indol-1-yl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & N \\ & N-CH_2-CH_2-OH \\ \hline & N \\ & Ph-CH_2-O \end{array}$$

RN 145660-07-7 CAPLUS
CN Glycine, N-(3-fluoro-4-pyridinyl)-N-1H-indol-1-yl-, ethyl ester (9CI) (CA INDEX NAME)

RN 145660-08-8 CAPLUS CN Ethanol, 2-[(3-fluoro-4-pyridinyl)-1H-indol-1-ylamino]- (9CI) (CA INDEX NAME)

IT 145660-09-9 145660-10-2

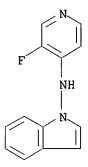
RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant for dihydro(indolyl)pyridooxazine (antidepressant and memory enhancer))

RN 145660-09-9 CAPLUS

CN Glycine, N-(3-fluoro-4-pyridinyl)-N-[5-(phenylmethoxy)-1H-indol-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 145660-10-2 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 39 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1992:235443 CAPLUS

DOCUMENT NUMBER:

116:235443

TITLE:

Preparation of acetylcholinesterase-inhibiting 1-(substituted pyridinylamino)-IH-(indol-5-yl)carbamates as drugs for treatment of memory

dysfunctions

INVENTOR(S):

Effland, Richard Charles; Davis, Larry; Olsen, Gordon

Edward; Klein, Joseph Thomas; Wettlaufer, David

Gordon; Nemoto, Peter Allen

PATENT ASSIGNEE(S):

Hoechst-Roussel Pharmaceuticals, Inc., USA

SOURCE:

GI

Eur. Pat. Appl., 44 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE:

11119.

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 468401 EP 468401 EP 468401	A2 A3 B1	19920129 19920729 19980916	EP 1991-112237	19910722.
			GB, GR, IT, LI, LU	NL. SE
US 5102891	A D.D.	19920407	US 1990-555890	19900723
FI 9103502	A	19920124	FI 1991-3502	19910719
NO 9102866	A	19920124	NO 1991-2866	19910722
CA 2047531	AA	19920124	CA 1991-2047531	19910722
AU 9181216 .	A1	19920130	AU 1991-81216	19910722
AU 639581	B2	19930729	•	
HU 58317	A2	19920228	HU 1991-2450	19910722
ZA 9105723	A	19920429	ZA 1991-5723	19910722
JP 04243878	A2	19920831	JP 1991-181210	19910722
JP 2564714	B2	19961218		
IL 98920	A1	19950831	IL 1991-98920	19910722
CZ 283253	B6	19980218	CZ 1991-2281	19910722
AT 171176	E	19981015	AT 1991-112237	19910722
ES 2121761	Т3	19981216	ES 1991-112237	19910722
PRIORITY APPLN. INFO.:			US 1990-555890	19900723
OTHER SOURCE(S):	MAI	RPAT 116:2354	143	

Searched by Barb O'Bryen, STIC 308-4291

AΒ The title compds. [I; R1 = H, (aryl)alkyl, alkenyl, alkynyl, (aryl)alkanoyl, heteroarylalkyl, heteroarylalkanoyl; R2 = H, alkyl, CHO, cyano; R3 = H, alkyl; R4 = (cyclo)alkyl, aralkyl, heteroaryl; NR3R4 = pyrrolidino, piperidino, morpholino, etc.; X, Y = H, halo, NO2, amino, CF3, alkyl, alkoxy; n = 0, 1], their optical and geometrical stereoisomers and racemates and pharmaceutically acceptable salts, useful for the treatment of memory dysfunctions such as Alzheimer's disease, were prepd., e.g. by addn. reaction of isocyanates with the appropriate indololes. Thus, 1-(N-propyl-4-pyridinylamino)-1H-indol-5-ol (prepn. from 5-phenylmethoxyindole given) in THF was stirred with K2CO3 and MeNCO to give title compd. II. II inhibited brain acetylcholinesterase with IC50 = 0.0023 .mu.M.

ΙT 141287-48-1P 141287-49-2P 141287-50-5P 141287-51-6P 141287-52-7P 141287-53-8P 141287-54-9P 141287-55-0P 141287-56-1P 141287-57-2P 141287-58-3P 141287-59-4P 141287-60-7P 141287-61-8P 141287-62-9P 141287-64-1P 141287-65-2P 141287-66-3P 141287-67-4P 141287-68-5P 141287-69-6P 141287-70-9P 141287-71-0P 141287-72-1P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of acetylcholinesterase inhibitors)

RN141287-48-1 CAPLUS

1H-Indol-1-amine, 5-(phenylmethoxy)-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

RN 141287-49-2 CAPLUS

1H-Indol-5-ol, 1-(4-pyridinylamino)- (9CI) (CA INDEX NAME) CN

RN 141287-50-5 CAPLUS

CN 1H-Indol-1-amine, N-methyl-5-(phenylmethoxy)-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 141287-51-6 CAPLUS

CN 1H-Indol-1-amine, N-methyl-5-(phenylmethoxy)-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 141287-52-7 CAPLUS

CN 1H-Indol-5-ol, 1-(methyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

141287-53-8 CAPLUS RN 1H-Indol-1-amine, 5-(phenylmethoxy)-N-propyl-N-4-pyridinyl- (9CI) (CA CN INDEX NAME)

141287-54-9 CAPLUS RN1H-Indol-1-amine, 5-(phenylmethoxy)-N-propyl-N-4-pyridinyl-, CN (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

1 CM

CRN 141287-53-8 C23 H23 N3 O CMF

2 CM

110-16-7 CRN C4 H4 O4 CMF

Double bond geometry as shown.

RN 141287-55-0 CAPLUS

CN 1H-Indol-5-ol, 1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 141287-56-1 CAPLUS

CN Carbamic acid, cyclohexyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-57-2 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 141287-58-3 CAPLUS

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-5-(phenylmethoxy)-N-propyl-, CN monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 141287-59-4 CAPLUS

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-5-(phenylmethoxy)-N-propyl-CN (9CI) (CA INDEX NAME)

141287-60-7 CAPLUS RN

1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)amino]- (9CI) (CA INDEX NAME) CN

RN 141287-61-8 CAPLUS

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 141287-62-9 CAPLUS

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]- (9CI) (CA INDEX NAME)

RN 141287-64-1 CAPLUS

CN 1H-Indol-1-amine, 3-methyl-5-(phenylmethoxy)-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 141287-65-2 CAPLUS CN 1H-Indol-5-ol, 3-methyl-1-(4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 141287-66-3 CAPLUS
CN 1H-Indol-1-amine, 3-methyl-5-(phenylmethoxy)-N-propyl-N-4-pyridinyl- (9CI)
(CA INDEX NAME)

RN 141287-67-4 CAPLUS

CN 1H-Indol-1-amine, 3-methyl-5-(phenylmethoxy)-N-propyl-N-4-pyridinyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 141287-66-3 CMF C24 H25 N3 O

10/076191

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 141287-68-5 CAPLUS

1H-Indol-5-ol, 3-methyl-1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX CN NAME)

RN 141287-69-6 CAPLUS

1H-Indol-5-ol, 3-methyl-1-(propyl-4-pyridinylamino)-, ethanedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM '

CRN 141287-68-5 CMF C17 H19 N3 O

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 141287-70-9 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-5-(phenylmethoxy)-(9CI) (CA INDEX NAME)

RN 141287-71-0 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-5-(phenylmethoxy)-N-propyl- (9CI) (CA INDEX NAME)

RN 141287-72-1 CAPLUS CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl- (9CI) (CA INDEX NAME)

IT 141287-16-3P 141287-17-4P 141287-18-5P 141287-19-6P 141287-20-9P 141287-21-0P 141287-22-1P 141287-23-2P 141287-24-3P 141287-25-4P 141287-26-5P 141287-27-6P 141287-28-7P 141287-29-8P 141287-30-1P 141287-31-2P 141287-32-3P 141287-33-4P 141287-34-5P 141287-35-6P 141287-36-7P 141287-37-8P 141287-38-9P 141287-39-0P 141287-40-3P 141287-41-4P 141287-42-5P 141287-43-6P 141287-44-7P 141287-45-8P 141287-46-9P 141303-08-4P 141303-09-5P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as acetylcholinesterase inhibitor) RN 141287-16-3 CAPLUS 1H-Indol-5-ol, 1-(methyl-4-pyridinylamino)-, methylcarbamate (ester) (9CI) CN (CA INDEX NAME)

141287-17-4 CAPLUS RN

Carbamic acid, butyl-, 1-(methyl-4-pyridinylamino)-1H-indol-5-yl ester, CN monohydrochloride (9CI) (CA INDEX NAME)

HC1

141287-18-5 CAPLUS RN

Carbamic acid, (phenylmethyl)-, 1-(methyl-4-pyridinylamino)-1H-indol-5-yl CN ester (9CI) (CA INDEX NAME)

141287-19-6 CAPLUS RN

1H-Indol-5-ol, 1-(propyl-4-pyridinylamino)-, methylcarbamate (ester) (9CI) CN (CA INDEX NAME)

RN 141287-20-9 CAPLUS

CN Carbamic acid, ethyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 141287-21-0 CAPLUS

CN Carbamic acid, propyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-22-1 CAPLUS

CN Carbamic acid, (1-methylethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-23-2 CAPLUS

CN Carbamic acid, butyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 141287-24-3 CAPLUS
CN Carbamic acid, heptyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester
(9CI) (CA INDEX NAME)

RN 141287-25-4 CAPLUS

CN Carbamic acid, cyclohexyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 141287-26-5 CAPLUS

CN Carbamic acid, (2-phenylethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

RN 141287-27-6 CAPLUS

CN Carbamic acid, (2-phenylethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-28-7 CAPLUS

CN Carbamic acid, (1-phenylethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 141287-29-8 CAPLUS

CN Carbamic acid, dimethyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-30-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-31-2 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

141287-32-3 CAPLUS RN

1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-, methylcarbamate CN (ester) (9CI) (CA INDEX NAME)

RN 141287-33-4 CAPLUS

Carbamic acid, butyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-1H-indol-5-yl CN ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 141287-34-5 CAPLUS

Carbamic acid, butyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-1H-indol-5-yl CN ester (9CI) (CA INDEX NAME)

RN 141287-35-6 CAPLUS

CN Carbamic acid, heptyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 141287-36-7 CAPLUS

CN Carbamic acid, heptyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-37-8 CAPLUS

CN 1H-Indol-5-ol, 3-methyl-1-(propyl-4-pyridinylamino)-, methylcarbamate (ester) (9CI) (CA INDEX NAME)

RN 141287-38-9 CAPLUS

CN Carbamic acid, butyl-, 3-methyl-1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-39-0 CAPLUS

CN Carbamic acid, heptyl-, 3-methyl-1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-40-3 CAPLUS

CN Carbamic acid, (phenylmethyl)-, 3-methyl-1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-41-4 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 3-methyl-1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-42-5 CAPLUS

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-, methylcarbamate (ester) (9CI) (CA INDEX NAME)

RN 141287-43-6 CAPLUS

CN Carbamic acid, butyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-44-7 CAPLUS

CN Carbamic acid, heptyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-45-8 CAPLUS

CN Carbamic acid, (phenylmethyl)-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-46-9 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141303-08-4 CAPLUS

CN Carbamic acid, (phenylmethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141303-09-5 CAPLUS

CN Carbamic acid, [(4-chlorophenyl)methyl]-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

L9 ANSWER 40 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1992:50748 CAPLUS

DOCUMENT NUMBER:

116:50748

TITLE:

Determination of HP 749, a potential therapeutic agent for Alzheimer's disease, in plasma by high-performance

liquid chromatography

AUTHOR (S):

Hsu, Robert S.; DiLeo, Eva M.; Chesson, Susan M.;

Klein, Joseph T.; Effland, Richard C.

Searched by Barb O'Bryen, STIC 308-4291

CORPORATE SOURCE:

Chem. Res. Dep., Hoechst-Roussel Pharm., Inc.,

Somerville, NJ, 08876, USA

SOURCE:

Journal of Chromatography (1991), 572(1-2), 352-9

CODEN: JOCRAM; ISSN: 0021-9673

DOCUMENT TYPE:

Journal

GI

English LANGUAGE:

Ι

@ HCl NH

HP 749 (I), a non-receptor-dependent cholinomimetic agent with AB noradrenergic activity, is a potential agent for the treatment of Alzheimer's disease. Pharmacokinetic studies in animals and humans showed that I was well absorbed and metabolized primarily to the N-despropyl metabolite (P7480, II) after oral administration. To facilitate the kinetic studies, a sensitive and selective high-performance chromatog. assay was developed. I and II are extd. from plasma by a mixt. of cyclohexane-Et acetate and chromatographed on an isocratic reversed-phase high-performance liq. chromatog. system employing an anal. Ph column with acetonitrile-ammonium formate as mobile phase. The concns. of these two compds., quantitated by internal standardization, are monitored by UV detection. The method is linear in the plasma assay over a concn. range of 0.5-500 ng/mL for both compds. with a quantitation limit of 0.5 ng/mL. The precision and accuracy of the calibration curves and/or method are less than 10%. The recovery of I and II from plasma is 63-74 and 63-68%, resp., over a concn. range of 0.5-500 ng/mL.

IT

130953-69-4, HP 749 **138624-41-6**, P 7480 RL: ANT (Analyte); ANST (Analytical study) (detn. of, in blood of humans by HPLC)

130953-69-4 CAPLUS RN

CN

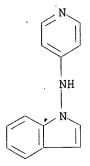
1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) INDEX NAME)

n-Pr

HCl

RN 138624-41-6 CAPLUS

CN 1H-Indol-1-amine, N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

ANSWER 41 OF 51 CAPLUS COPYRIGHT 2003 ACS

1989:114690 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

110:114690

TITLE:

Preparation of N-(pyridyl)-1H-indol-1-amines as

medicaments

INVENTOR(S):

Effland, Richard Charles; Klein, Joseph Thomas Hoechst-Roussel Pharmaceuticals, Inc., USA

PATENT ASSIGNEE(S):

SOURCE:

Eur. Pat. Appl., 39 pp. CODEN: EPXXDW

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 287982 EP 287982 EP 287982	A2 A3 B1	19881026 19910327 19941207	EP 1988-106121	19880418	
R: AT, BE,			GB, GR, IT, LI, LU, NL, SE		
US 4880822	A A	19891114	US 1988-171102		
ES 2065324	Т3	19950216	ES 1988-106121	19880418	
FI 8801874	A	19881025			
FI 90978	В	19940114			
FI 90978	Č	19940425			
ZA 8802810	A	19881130	ZA 1988-2810	19880421	
DK 8802234	A	19881025		19880422	
DK 172153	B1	19971201			
NO 8801776	A	19881025	NO 1988-1776	19880422	
NO 168104	В	19911007			
NO 168104	Č	19920115			
AU 8815100	A1	19881027	AU 1988-15100	19880422	
AU 594876	B2	19900315			
JP 63280079	A2	19881117	JP 1988-98467	19880422	
IL 86154	A1	19920329	IL 1988-86154	19880422	
CA 1330661	A1	19940712	CA 1988-564923	19880422	
US 4970218	Α	19901113	US 1989-405156	19890911	
US 5039811	Α	19910813	US 1990-571473	19900823	
PRIORITY APPLN. INFO	. :		US 1987-42079	19870424	
			US 1988-171102		
			US 1989-405156	19890911	
OTHER SOURCE(S): CASREACT 110:114690: MARPAT 110:114690					

OTHER SOURCE(S):

CASREACT 110:114690; MARPAT 110:114690

GI

$$R_{m}$$
 R_{n}
 R_{n

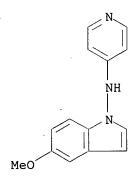
AB Title compds. [I; R = H, halo, alkyl, OH, NO2, etc.; R1 = H, alkyl, alkenyl, alkylcarbonyl, etc.; R2 H, alkyl, alkenyl, alkynyl, Ph, etc.; R3 = H, NO2, (substituted) NH2, halo, alkyl; m,n = 1,2; p = 0,1] are prepd. from indoles II (R = H, halo, alkyl, alkoxy, NO2, cyano, CHO, alkylthio, alkoxycarbonylalkylthio; R1 = H, alkyl, halo, cyano; R2 = H, alkyl; m, n = 1,2) and pyridines III (X = Cl, F; R3 = H, NO2, halo, alkyl; p = 0,1), followed by optional substitutions. A soln. of II (Rm = R1n = R2 = H), 4-chloropyridine.HCl, and pyridine in Me2CHOH was stirred at 85.degree. for 1.5 h to give N-(4-pyridyl)-1H-indol-1-amine which was converted to its maleate salt. The latter, at 0.04 mg/kg s.c., reversed scopolamine-induced deficit in 53% of tested rats.

IT 119229-75-3

RL: RCT (Reactant); RACT (Reactant or reagent)
 (alkylation of, in prepn. of pharmaceuticals)

RN 119229-75-3 CAPLUS

CN 1H-Indol-1-amine, 5-methoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME)



IT 119229-42-4

RL: RCT (Reactant); RACT (Reactant or reagent)
 (hydrogenation of, in prepn. of pharmaceuticals)

RN 119229-42-4 CAPLUS

CN 1H-Indol-1-amine, N-(4-nitro-1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME)

IT 119229-48-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as memory enhancer, antidepressant, and analgesic)

RN 119229-48-0 CAPLUS

CN 1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

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IT
     119229-37-7P 119229-38-8P 119229-39-9P
     119229-40-2P 119229-41-3P 119229-42-4P
     119229-43-5P 119229-44-6P 119229-45-7P
     119229-46-8P 119229-47-9P 119229-49-1P
     119229-50-4P 119229-51-5P 119229-52-6P
     119229-53-7P 119229-54-8P 119229-55-9P
     119229-56-0P 119229-57-1P 119229-58-2P
     119229-59-3P 119229-60-6P 119229-61-7P
     119229-62-8P 119229-63-9P 119229-64-0P
     119229-65-1P 119229-66-2P 119229-67-3P
     119229-68-4P 119229-69-5P 119257-32-8P
     119257-33-9P 119257-34-0P 119257-35-1P
     119257-36-2P 119257-37-3P 119257-38-4P
     119257-39-5P 119257-40-8P 119257-41-9P
     119257-42-0P 119257-43-1P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of, for enhancing memory, as analgesic, and antidepressant)
RN
     119229-37-7 CAPLUS
     1H-Indol-1-amine, 5-methoxy-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)
CN
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RN 119229-38-8 CAPLUS CN 1H-Indole-3-carboxaldehyde, 1-(methyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 119229-39-9 CAPLUS
CN 1H-Indole-3-carboxaldehyde, 1-(methyl-4-pyridinylamino)-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 119229-38-8 CMF C15 H13 N3 O

CM 2

CRN 110-16-7 CMF C4 H4 O4 Double bond geometry as shown.

RN 119229-40-2 CAPLUS

CN 1H-Indole-3-carboxaldehyde, 1-(ethyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 119229-41-3 CAPLUS

CN 1H-Indole-3-carboxaldehyde, 1-(ethyl-4-pyridinylamino)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-40-2 CMF C16 H15 N3 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-42-4 CAPLUS

CN 1H-Indol-1-amine, N-(4-nitro-1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 119229-43-5 CAPLUS

CN 1H-Indol-1-amine, 3-ethenyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-44-6 CAPLUS

CN 1H-Indol-1-amine, 3-ethenyl-N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM

CRN 119229-43-5

CMF C16 H15 N3

$$N-Me$$
 $CH=CH_2$

2 CM

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

119229-45-7 CAPLUS RN1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl-, monohydrochloride (9CI) CN(CA INDEX NAME)

) HCl

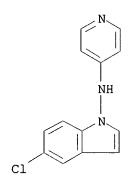
119229-46-8 CAPLUS RN1H-Indol-1-amine, 5-chloro-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

RN 119229-47-9 CAPLUS

CN 1H-Indol-1-amine, 5-chloro-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 3

CRN 119229-46-8 CMF C13 H10 C1 N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-49-1 CAPLUS

CN 1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-48-0 CMF C16 H16 C1 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-50-4 CAPLUS CN 1H-Indol-1-amine, 5-bromo-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-51-5 CAPLUS CN 1H-Indol-1-amine, 5-bromo-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI)

(CA INDEX NAME)

CM 1

CRN 119229-50-4 CMF C13 H10 Br N3

10/076191

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

119229-52-6 CAPLUS RN

1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

RN 119229-53-7 CAPLUS

1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate CN (1:1) (9CI) (CA INDEX NAME)

CM 1

119229-52-6 CRN C14 H12 Br N3 CMF

`Jones

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-54-8 CAPLUS CN 1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-55-9 CAPLUS

CN 1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-54-8 CMF C16 H16 Br N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-56-0 CAPLUS

CN 1H-Indol-1-amine, 5-nitro-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 119229-57-1 CAPLUS

CN 1H-Indol-1-amine, 5-nitro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-58-2 CAPLUS

CN 1H-Indol-1-amine, N-methyl-5-nitro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-59-3 CAPLUS

CN 1H-Indol-1-amine, N-methyl-5-nitro-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-58-2 CMF C14 H12 N4 O2

CM 2

CRN 110-16-7 CMF C4 H4 O4 Double bond geometry as shown.

RN 119229-60-6 CAPLUS CN 1H-Indol-1-amine, 3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-61-7 CAPLUS
CN 1H-Indol-1-amine, 3-methyl-N-4-pyridinyl-, ethanedioate (1:1) (9CI) (CAINDEX NAME)

CM 1

CRN 119229-60-6 CMF C14 H13 N3

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 119229-62-8 CAPLUS

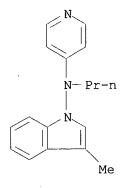
CN 1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-63-9 CAPLUS

CN 1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-62-8 CMF C17 H19 N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-64-0 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 119229-65-1 CAPLUS
CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 119229-66-2 CAPLUS CN 1H-Indol-1-amine, N-2-propenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N \\ N-CH_2-CH = CH_2 \end{array}$$

RN 119229-67-3 CAPLUS
CN 1H-Indol-1-amine, N-2-propenyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-66-2 CMF C16 H15 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119229-68-4 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

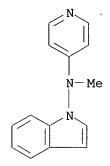
HC]

RN 119229-69-5 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-propyl- (9CI) (CA INDEX NAME)

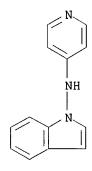
RN 119257-32-8 CAPLUS

CN 1H-Indol-1-amine, N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119257-33-9 CAPLUS

CN 1H-Indol-1-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119257-34-0 CAPLUS

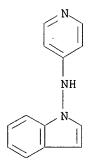
CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-35-1 CAPLUS CN 1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-36-2 CAPLUS CN 1H-Indol-1-amine, N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-33-9 CMF C13 H11 N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119257-37-3 CAPLUS
CN 1H-Indol-1-amine, N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-32-8 CMF C14 H13 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119257-38-4 CAPLUS CN 1H-Indol-1-amine, N-ethyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-39-5 CAPLUS

CN lH-Indol-1-amine, N-ethyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-38-4 CMF C15 H15 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 119257-40-8 CAPLUS CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-34-0 CMF C16 H17 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4 Double bond geometry as shown.

RN 119257-41-9 CAPLUS

CN 1H-Indol-1-amine, 5-methoxy-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-37-7 CMF C17 H19 N3 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

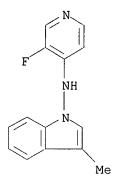
Double bond geometry as shown.

RN 119257-42-0 CAPLUS

CN 3,4-Pyridinediamine, N3-1H-indol-1-yl-, 1-oxide (9CI) (CA INDEX NAME)

RN 119257-43-1 CAPLUS

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl- (9CI) (CA INDEX



ANSWER 42 OF 51 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1983:453536 CAPLUS

DOCUMENT NUMBER:

99:53536

TITLE: AUTHOR(S):

6-Substituted 1-hetarylamino-3-aryloxindoles Avdeev, V. B.; Berdinskii, I. S.; Belykh, Z. D. Perm. Gos. Univ., Perm, 614600, USSR

CORPORATE SOURCE:

SOURCE:

Khimiya Geterotsiklicheskikh Soedinenii

524-7

CODEN: KGSSAQ; ISSN: 0453-8234

DOCUMENT TYPE:

Journal

LANGUAGE:

Russian

OTHER SOURCE(S):

CASREACT 99:53536

GI

AB The title compds. I (R = Ph, R1 = 2-pyridyl, 8-quinolyl; R = p-FC6H4, p-ClC6H4, R1 = 2-pyridyl, 2-, and 8-quinolyl) were prepd. in 43-79% yields by treating R1NHNHCOC(OH)R2 with concd. H2SO4 for 15 min at room temp. Acylation of I (R = Ph, R1 = 2-pyridyl) by BzCl gave the N,O-dibenzoyl deriv., which was treated with base to give 44% N-benzoyl deriv.

IT 86445-04-7P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and debenzoylation of)

RN 86445-04-7 CAPLUS

Ι

CN Benzoic acid, 4-bromo-, 1-[(4-bromobenzoyl)-2-pyridinylamino]-3-phenyl-1Hindol-2-yl ester (9CI) (CA INDEX NAME)

ANSWER 43 OF 51 USPATFULL

2003:26436 USPATFULL ACCESSION NUMBER:

Preparation of 1H-indol-1-amines TITLE:

Lee, Thomas B., Whitehouse Station, NJ, United States INVENTOR(S):

Goehring, Keith E., Nazareth, PA, United States

Aventis Pharmaceuticals Inc., Bridgewater, NJ, United PATENT ASSIGNEE(S):

States (U.S. corporation)

NUMBER KIND DATE ~-->> PATENT INFORMATION: OS 6512125 В1 20030128 APPLICATION INFO .: US 1995-455469 19950531 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1994-242395, filed on 13 May

1994, now patented, Pat. No. US 5459274, issued on 17

Oct 1995

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED PRIMARY EXAMINER: Fan, Jane LEGAL REPRESENTATIVE: Gupta, Balaram

NUMBER OF CLAIMS: 12 EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 362

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The synthesis of memory enhancing, analgetic, and antidepressant N-alkyl-N-pyridinyl-1H-indol-1-armines is described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

173341-09-8P, 3-Chloro-N-4-pyridinyl-1H-indol-1-amine

173341-10-1P 173341-11-2P, 3-Chloro-N-propyl-N-4-

pyridinyl-1H-indol-1-amine 173341-12-3P

(prepn. of N-alkyl-N-pyridinyl-1H-indol-1-amines via arylation of 1-amino-3-haloindoles with halopyridines followed by alkylation and dehalogenation)

RN 173341-09-8 USPATFULL

CN 1H-Indol-1-amine, 3-chloro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 173341-10-1 USPATFULL

CN Benzoic acid, 2-hydroxy-, compd. with 3-chloro-N-4-pyridinyl-1H-indol-1-amine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 173341-09-8 CMF C13 H10 C1 N3 ·

CM 2

CRN 69-72-7 CMF C7 H6 O3

RN 173341-11-2 USPATFULL

CN 1H-Indol-1-amine, 3-chloro-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 173341-12-3 USPATFULL

CN 1H-Indol-1-amine, 3-chloro-N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

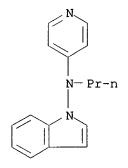
HCl

119257-34-0P, N-Propyl-N-4-pyridinyl-1H-indol-1-amine 130953-69-4P

(prepn. of N-alkyl-N-pyridinyl-1H-indol-1-amines via arylation of 1-amino-3-haloindoles with halopyridines followed by alkylation and dehalogenation)

119257-34-0 USPATFULL RN

1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN



RN 130953-69-4 USPATFULL

1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) CN INDEX NAME)

HC1

L9 ANSWER 44 OF 51 USPATFULL

ACCESSION NUMBER: 97:56827 USPATFULL

TITLE:

INVENTOR(S):

Preparation of N-alkyl-N-pyridinyl-1H-indol-1-amines Lee, Thomas B., Whitehouse Station, NJ, United States

Goehring, Keith E., Nazareth, PA, United States

PATENT ASSIGNEE(S):

Hoechest Marion Roussel, Inc., Cincinnati, OH, United

States (U.S. corporation)

NUMBER PATENT INFORMATION: US 5644062 APPLICATION INFO.:

KIND DATE

19970701 US 1995-455468 19950531 RELATED APPLN. INFO.

Jones

Division of Ser. No. US 1994-242395, filed on 13 May 1994, now patented, Pat. No. US 5459274, issued on 17

Oct 1995

DOCUMENT TYPE: FILE SEGMENT:

Utility Granted

PRIMARY EXAMINER:

Fan, Jane

LEGAL REPRESENTATIVE:

Barney, Charlotte L.

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

12 1

LINE COUNT:

360

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB

The synthesis of memory enhancing, analgetic, and antidepressant N-alkyl-N-pyridinyl-1H-indol-1-amines is described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

173341-09-8P, 3-Chloro-N-4-pyridinyl-1H-indol-1-amine

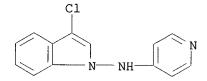
173341-10-1P 173341-11-2P, 3-Chloro-N-propyl-N-4-

pyridinyl-1H-indol-1-amine 173341-12-3P

(prepn. of N-alkyl-N-pyridinyl-1H-indol-1-amines via arylation of 1-amino-3-haloindoles with halopyridines followed by alkylation and dehalogenation)

173341-09-8 USPATFULL RN

CN 1H-Indol-1-amine, 3-chloro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

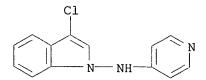


RN 173341-10-1 USPATFULL

CN Benzoic acid, 2-hydroxy-, compd. with 3-chloro-N-4-pyridinyl-1H-indol-1amine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 173341-09-8 CMF C13 H10 C1 N3



CM 2

69-72-7 CRN CMF C7 H6 O3

RN 173341-11-2 USPATFULL

CN 1H-Indol-1-amine, 3-chloro-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 173341-12-3 USPATFULL

CN 1H-Indol-1-amine, 3-chloro-N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

IT 119257-34-0P, N-Propyl-N-4-pyridinyl-1H-indol-1-amine 130953-69-4P

(prepn. of N-alkyl-N-pyridinyl-1H-indol-1-amines via arylation of 1-amino-3-haloindoles with halopyridines followed by alkylation and dehalogenation)

RN 119257-34-0 USPATFULL

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 130953-69-4 USPATFULL

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA

INDEX NAME)

HC1

1.9 ANSWER 45 OF 51 USPATFULL

ACCESSION NUMBER:

96:43781 USPATFULL

TITLE:

Synthesis of pyridooxazinyl-indoles

INVENTOR(S):

Effiand, Richard C., Bridgewater, NJ, United States

Davis, Larry, Sergeantsville, NJ, United States

Olsen, Gordon E., Somerset, NJ, United States

PATENT ASSIGNEE(S):

Hoechst Marion Roussel Inc., Somerville, NJ, United

States (U.S. corporation)

NUMBER KIND PATENT INFORMATION: oos 5519⊉31, 19960521 US 1993-138645 APPLICATION INFO.: 19931020

RELATED APPLN. INFO.:

Division of Ser. No. US 1992-976778, filed on 16 Nov 1992, now patented, Pat. No. US 5276156 which is a division of Ser. No. US 1991-684758, filed on 15 Apr

1991, now patented, Pat. No. US 5214038

DOCUMENT TYPE: FILE SEGMENT:

Utility Granted

PRIMARY EXAMINER: LEGAL REPRESENTATIVE:

Datlow, Philip I. Maurer, Barbara V.

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1

LINE COUNT:

645

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This application relates to a process for the preparation of AB indolylpyridooxazines of the formula ##STR1## wherein R.sub.1, R.sub.2 and R.sub.3 are as defined in the specification which comprises cyclizing compound of the formula ##STR2## in the presence of a strong base such as sodium hydride or potassium t-butoxide.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

119257-43-1P 145660-04-4P 145660-05-5P

145660-06-6P 145660-07-7P 145660-08-8P

(prepn. of, as intermediate for dihydro(indolyl)pyridooxazine (antidepressant and memory enhancer))

119257-43-1 USPATFULL RN

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl- (9CI) (CA INDEX NAME)

RN 145660-04-4 USPATFULL CN Glycine, N-(3-fluoro-4-pyridinyl)-N-(3-methyl-1H-indol-1-yl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 145660-05-5 USPATFULL CN Ethanol, 2-[(3-fluoro-4-pyridinyl)(3-methyl-1H-indol-1-yl)amino]- (9CI) (CA INDEX NAME)

RN 145660-06-6 USPATFULL CN Ethanol, 2-[(3-fluoro-4-pyridinyl)[5-(phenylmethoxy)-1H-indol-1-yl]amino]-(9CI) (CA INDEX NAME)

RN 145660-07-7 USPATFULL

CN Glycine, N-(3-fluoro-4-pyridinyl)-N-1H-indol-1-yl-, ethyl ester (9CI) (CA INDEX NAME)

RN 145660-08-8 USPATFULL

CN Ethanol, 2-[(3-fluoro-4-pyridinyl)-1H-indol-1-ylamino]- (9CI) (CA INDEX NAME)

IT 145660-09-9 145660-10-2

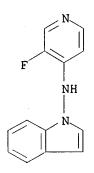
(reactant for dihydro(indolyl)pyridooxazine (antidepressant and memory enhancer)) $\dot{}$

RN 145660-09-9 USPATFULL

CN Glycine, N-(3-fluoro-4-pyridinyl)-N-[5-(phenylmethoxy)-1H-indol-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)

145660-10-2 USPATFULL RN

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)- (9CI) (CA INDEX NAME)



ANSWER 46 OF 51 USPATFULL

94:1547 ACCESSION NUMBER: USPATFULL

TITLE: N-(halopyridin-4-yl)-N-substituted compounds as

intermediates in the preparation of

1-(pyrido[3,4-b]-1,4-oxazinyl-4-yl-1H-indoles Effland, Richard C., Bridgewater, NJ, United States INVENTOR(S):

Davis, Larry, Sergeantsville, NJ, United States Olsen, Gordon E., Somerset, NJ, United States

PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals Incorporated,

Somerville, NJ, United States (U.S. corporation)

NUMBER KIND DATE PATENT INFORMATION: **5**276156 19940104 · US 1992-976778 APPLICATION INFO.: 19921116

Division of Ser. No. US 1991-684758, filed on 15 Apr RELATED APPLN. INFO.:

1991, now patented, Pat. No. US 5214038

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Ford, John M. ASSISTANT EXAMINER: Datlow, Philip Korsen, Elliott LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 624

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention relates to 1-(pyrido[3,4-b]-1,4-oxazinyl-4-yl)-1H-indoles AB of the formula ##STR1## where R.sub.1 -R.sub.3 are as defined herein which are useful for alleviation of depression and various memory dysfunction characterized by a cholinergic or adrenergic deficit. This

invention also relates to intermediate compounds of the formula ##STR2## where R.sub.1, R.sub.2, R.sub.3, R.sub.7 and X are as defined herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119257-43-1P 145660-04-4P 145660-05-5P

145660-06-6P 145660-07-7P 145660-08-8P

(prepn. of, as intermediate for dihydro(indolyl)pyridooxazine (antidepressant and memory enhancer))

RN 119257-43-1 USPATFULL

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl- (9CI) (CA INDEX NAME)

RN 145660-04-4 USPATFULL

CN Glycine, N-(3-fluoro-4-pyridinyl)-N-(3-methyl-1H-indol-1-yl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 145660-05-5 USPATFULL

CN Ethanol, 2-[(3-fluoro-4-pyridinyl)(3-methyl-1H-indol-1-yl)amino]- (9CI) (CA INDEX NAME)

RN 145660-06-6 USPATFULL

CN Ethanol, 2-[(3-fluoro-4-pyridinyl)[5-(phenylmethoxy)-1H-indol-1-yl]amino](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & N \\ & N-CH_2-CH_2-OH \\ \hline & N \\ & Ph-CH_2-O \end{array}$$

RN 145660-07-7 USPATFULL

CN Glycine, N-(3-fluoro-4-pyridinyl)-N-1H-indol-1-yl-, ethyl ester (9CI) (CA INDEX NAME)

RN 145660-08-8 USPATFULL

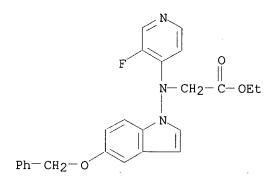
CN Ethanol, 2-[(3-fluoro-4-pyridinyl)-1H-indol-1-ylamino]- (9CI) (CA INDEX NAME)

145660-09-9 145660-10-2

(reactant for dihydro(indolyl)pyridooxazine (antidepressant and memory enhancer))

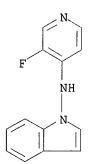
RN 145660-09-9 USPATFULL

CN Glycine, N-(3-fluoro-4-pyridinyl)-N-[5-(phenylmethoxy)-1H-indol-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 145660-10-2 USPATFULL

1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)- (9CI) (CA INDEX NAME) CN



ANSWER 47 OF 51 USPATFULL

ACCESSION NUMBER: 93:42057 USPATFULL

TITLE: 1-(pyrido[3,4-b]-1,4-oxazinyl-4-yl)-1H-indoles and

intermediates for the preparation thereof

INVENTOR(S): Effland, Richard C., Bridgewater, NJ, United States

Davis, Larry, Sergeantsville, NJ, United States

Olsen, Gordon E., Somerset, NJ, United States

PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals Inc., Somerville, NJ,

United States (U.S. corporation)

PATENT INFORMATION: US 5214038 19930525
APPLICATION INFO.: US 1991-684758 19910415 (7

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Datlow, Philip I.
LEGAL REPRESENTATIVE: Korsen, Elliott

NUMBER OF CLAIMS: 12

EXEMPLARY CLAIM: 1,11,12

LINE COUNT: 677

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to compounds of the formula ##STR1##

wherein

R.sub.1 is hydrogen or loweralkyl;

R.sub.2 is hydrogen or loweralkyl; and

R.sub.3 is hydrogen, loweralkyl, halogen, nitro, amino, hydroxy, loweralkoxy, benzyloxy or ##STR2##

where R.sub.4 is hydrogen or loweralkyl and R.sub.5 is loweralkyl, aryl or arylloweralkyl, or R.sub.4 and R.sub.5 taken together form a heterocyclic ring selected from the group consisting of ##STR3##

wherein

R.sub.6 is hydrogen, loweralkyl, aryl or arylloweralkyl or a pharmaceutically acceptable acid addition salt thereof.

The compounds of this invention are useful for alleviating depression and various memory dysfunctions characterized by a cholinergic or adrenergic deficit, such as Alzheimer's Disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119257-43-1P 145660-04-4P 145660-05-5P

145660-06-6P 145660-07-7P 145660-08-8P

(prepn. of, as intermediate for dihydro(indolyl)pyridooxazine (antidepressant and memory enhancer))

RN 119257-43-1 USPATFULL

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl- (9CI) (CA INDEX NAME)

F NH NH

RN 145660-04-4 USPATFULL

CN Glycine, N-(3-fluoro-4-pyridinyl)-N-(3-methyl-1H-indol-1-yl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 145660-05-5 USPATFULL

CN Ethanol, 2-[(3-fluoro-4-pyridinyl)(3-methyl-1H-indol-1-yl)amino]- (9CI) (CA INDEX NAME)

RN 145660-06-6 USPATFULL

CN Ethanol, 2-[(3-fluoro-4-pyridinyl)[5-(phenylmethoxy)-1H-indol-1-yl]amino]-(9CI) (CA INDEX NAME)

RN 145660-07-7 USPATFULL

CN Glycine, N-(3-fluoro-4-pyridinyl)-N-1H-indol-1-yl-, ethyl ester (9CI) (CA INDEX NAME)

RN 145660-08-8 USPATFULL

CN Ethanol, 2-[(3-fluoro-4-pyridinyl)-1H-indol-1-ylamino]- (9CI) (CA INDEX NAME)

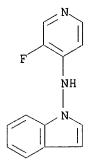
IT 145660-09-9 145660-10-2

(reactant for dihydro(indolyl)pyridooxazine (antidepressant and memory enhancer))

RN 145660-09-9 USPATFULL

RN 145660-10-2 USPATFULL

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 48 OF 51 USPATFULL

ACCESSION NUMBER:

92:27536 USPATFULL

TITLE:

1-(substituted pyridinylamino)-1H-indol-5-yl

substituted carbamates

INVENTOR(S):

Effland, Richard C., Bridgewater, NJ, United States Davis, Larry, Sergeantsville, NJ, United States Olsen, Gordon E., Somerset, NJ, United States Klein, Joseph T., Bridgewater, NJ, United States Wettlaufer, David G., Phillipsburg, NJ, United States Nemoto, Peter A., Bound Brook, NJ, United States

Nemoto, Peter A., Bound Brook, NJ, United States

PATENT ASSIGNEE(S):

PATENT INFORMATION:

Moechst-Roussel Pharmaceuticals Inc., Somerville, NJ,

United States (U.S.) corporation)

NUMBER KIND DATE
US 5102891 19920407
US 1990 555890 19900723

APPLICATION INFO.: DOCUMENT TYPE:

T TYPE: Utility
GMENT: Granted

FILE SEGMENT:
PRIMARY EXAMINER:

Ivy, C. Warren
Turnipseed, James H.

ASSISTANT EXAMINER: LEGAL REPRESENTATIVE:

Ikeda, Tatsuya

NUMBER OF CLAIMS:

NUMBER OF CLAIMS: 42 EXEMPLARY CLAIM: 1

LINE COUNT:

1347

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There are disclosed various compounds of the formula below, ##STR1## where n is 0 or 1;

X is hydrogen, halogen, nitro, amino, trifluoromethyl, loweralkyl, or loweralkoxy;

Y is hydrogen, halogen, nitro, amino, trifluoromethyl, loweralkyl, or loweralkoxy;

R.sub.1 is hydrogen, loweralkyl, arylloweralkyl, loweralkenyl, loweralkynyl, loweralkanoyl, arylloweralkanoyl, heteroarylloweralkyl or heteroarylloweralkanoyl;

R.sub.2 is hydrogen, loweralkyl, formyl or cyano;

R.sub.3 is hydrogen or loweralkyl;

R.sub.4 is loweralkyl, arylloweralkyl, cycloalkyl, aryl or heteroaryl; or alternatively, --NR.sub.3 R.sub.4 taken together constitutes ##STR2## R.sub.5 being hydrogen, loweralkyl, aryl, arylloweralkyl, heteroaryl or heteroarylloweralkyl,

which compounds are useful for the treatment of various memory dysfunctions characterized by a cholinergic deficit such as Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 141287-48-1P 141287-49-2P 141287-50-5P

141287-51-6P 141287-52-7P 141287-53-8P

141287-54-9P 141287-55-0P 141287-56-1P

141287-57-2P 141287-58-3P 141287-59-4P

141287-60-7P 141287-61-8P 141287-62-9P

141287-64-1P 141287-65-2P 141287-66-3P

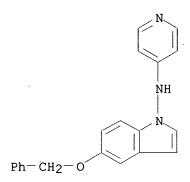
141287-67-4P 141287-68-5P 141287-69-6P

141287-70-9P 141287-71-0P 141287-72-1P

(prepn. and reaction of, in prepn. of acetylcholinesterase inhibitors)

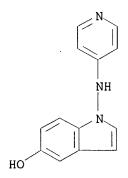
RN 141287-48-1 USPATFULL

CN 1H-Indol-1-amine, 5-(phenylmethoxy)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 141287-49-2 USPATFULL

CN 1H-Indol-5-ol, 1-(4-pyridinylamino)- (9CI) (CA INDEX NAME)



RN 141287-50-5 USPATFULL

CN 1H-Indol-1-amine, N-methyl-5-(phenylmethoxy)-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 141287-51-6 USPATFULL

CN 1H-Indol-1-amine, N-methyl-5-(phenylmethoxy)-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 141287-52-7 USPATFULL

CN 1H-Indol-5-ol, 1-(methyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

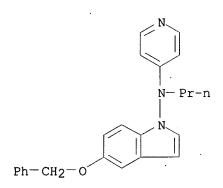
RN 141287-53-8 USPATFULL

CN 1H-Indol-1-amine, 5-(phenylmethoxy)-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 141287-54-9 USPATFULL CN 1H-Indol-1-amine, 5-(phenylmethoxy)-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 141287-53-8 CMF C23 H23 N3 O



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 141287-55-0 USPATFULL CN 1H-Indol-5-ol, 1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 141287-56-1 USPATFULL

CN Carbamic acid, cyclohexyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-57-2 USPATFULL

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 141287-58-3 USPATFULL

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-5-(phenylmethoxy)-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 141287-59-4 USPATFULL CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-5-(phenylmethoxy)-N-propyl-(9CI) (CA INDEX NAME)

RN 141287-60-7 USPATFULL CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)amino]- (9CI) (CA INDEX NAME)

RN 141287-61-8 USPATFULL CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN: 141287-62-9 USPATFULL

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]- (9CI) (CA INDEX NAME)

RN 141287-64-1 USPATFULL

CN 1H-Indol-1-amine, 3-methyl-5-(phenylmethoxy)-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 141287-65-2 USPATFULL

CN 1H-Indol-5-ol, 3-methyl-1-(4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 141287-66-3 USPATFULL

CN 1H-Indol-1-amine, 3-methyl-5-(phenylmethoxy)-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 141287-67-4 USPATFULL

CN 1H-Indol-1-amine, 3-methyl-5-(phenylmethoxy)-N-propyl-N-4-pyridinyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 141287-66-3 CMF C24 H25 N3 O

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 141287-68-5 USPATFULL

CN 1H-Indol-5-ol, 3-methyl-1-(propyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 141287-69-6 USPATFULL

CN 1H-Indol-5-ol, 3-methyl-1-(propyl-4-pyridinylamino)-, ethanedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 141287-68-5 CMF C17 H19 N3 O

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 141287-70-9 USPATFULL

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-5-(phenylmethoxy)(9CI) (CA INDEX NAME)

RN 141287-71-0 USPATFULL

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-5-(phenylmethoxy)-N-propyl- (9CI) (CA INDEX NAME)

RN 141287-72-1 USPATFULL

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl- (9CI) (CA INDEX NAME)

IT 141287-16-3P 141287-17-4P 141287-18-5P

141287-19-6P 141287-20-9P 141287-21-0P

141287-22-1P 141287-23-2P 141287-24-3P

141287-25-4P 141287-26-5P 141287-27-6P

141287-28-7P 141287-29-8P 141287-30-1P

141287-31-2P 141287-32-3P 141287-33-4P

141287-34-5P 141287-35-6P 141287-36-7P
141287-37-8P 141287-38-9P 141287-39-0P
141287-40-3P 141287-41-4P 141287-42-5P
141287-43-6P 141287-44-7P 141287-45-8P
141287-46-9P 141303-08-4P 141303-09-5P
(prepn. of, as acetylcholinesterase inhibitor)
141287-16-3 USPATFULL
1H-Indol-5-ol, 1-(methyl-4-pyridinylamino)-, methylcarbamate (ester) (9CI) (CA INDEX NAME)

RN

CN

● HCl

RN 141287-18-5 USPATFULL CN Carbamic acid, (phenylmethyl)-, 1-(methyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-19-6 USPATFULL

CN 1H-Indol-5-ol, 1-(propyl-4-pyridinylamino)-, methylcarbamate (ester) (9CI) (CA INDEX NAME)

RN 141287-20-9 USPATFULL

CN Carbamic acid, ethyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

RN 141287-21-0 USPATFULL

CN Carbamic acid, propyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-22-1 USPATFULL

CN Carbamic acid, (1-methylethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-23-2 USPATFULL

CN Carbamic acid, butyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 141287-24-3 USPATFULL

CN Carbamic acid, heptyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

141287-25-4 USPATFULL RN

Carbamic acid, cyclohexyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl CNester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN141287-26-5 USPATFULL

Carbamic acid, (2-phenylethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl CN ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 141287-27-6 USPATFULL CN Carbamic acid, (2-phenylethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N \\ N-Pr-n \\ \\ N-Pr-n \\$$

RN 141287-28-7 USPATFULL

CN Carbamic acid, (1-phenylethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 141287-29-8 USPATFULL

CN Carbamic acid, dimethyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-30-1 USPATFULL

CN 1-Piperidinecarboxylic acid, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-31-2 USPATFULL

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-32-3 USPATFULL

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-, methylcarbamate (ester) (9CI) (CA INDEX NAME)

RN 141287-33-4 USPATFULL

CN Carbamic acid, butyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 141287-34-5 USPATFULL

CN Carbamic acid, butyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-35-6 USPATFULL

CN Carbamic acid, heptyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 141287-36-7 USPATFULL

CN Carbamic acid, heptyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-1H-indol-5-

yl ester (9CI) (CA INDEX NAME)

RN 141287-37-8 USPATFULL ·

CN 1H-Indol-5-ol, 3-methyl-1-(propyl-4-pyridinylamino)-, methylcarbamate (ester) (9CI) (CA INDEX NAME)

RN 141287-38-9 USPATFULL

CN Carbamic acid, butyl-, 3-methyl-1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-39-0 USPATFULL

CN Carbamic acid, heptyl-, 3-methyl-1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-40-3 USPATFULL

CN Carbamic acid, (phenylmethyl)-, 3-methyl-1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-41-4 USPATFULL

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 3-methyl-1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-42-5 USPATFULL

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-, methylcarbamate (ester) (9CI) (CA INDEX NAME)

RN 141287-43-6 USPATFULL

CN Carbamic acid, butyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & N & \\ & N-Pr-n \\ \hline \\ & N-BuNH-C-O \end{array}$$

RN 141287-44-7 USPATFULL

CN Carbamic acid, heptyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-45-8 USPATFULL

CN Carbamic acid, (phenylmethyl)-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141287-46-9 USPATFULL

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141303-08-4 USPATFULL

CN Carbamic acid, (phenylmethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

RN 141303-09-5 USPATFULL

CN Carbamic acid, [(4-chlorophenyl)methyl]-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N-\text{Pr-n} \\ \\ & & \\ \text{C1} \end{array}$$

L9 ANSWER 49 OF 51 USPATFULL

ACCESSION NUMBER:

91:64964 USPATFULL

TITLE:

INVENTOR(S):

Preparation of N-(pyridinyl)-1H-indol-1-amines

Effland, Richard C., Bridgewater, NJ, United States Klein, Joseph T., Bridgewater, NJ, United States Davis, Larry, Sergeantsville, NJ, United States

Olsen, Gordon)E., Somerset, NJ, United States

PATENT ASSIGNEE(S):

Hoechst-Roussel Pharmaceuticals Inc., Somerville, NJ,

United States (U.S. corporation)

NUMBER KIND DATE
US 5039811 19910813
US 1990-571473 19900823 (7)

PATENT INFORMATION: APPLICATION INFO.:

RELATED APPLN. INFO.: Division of

Division of Ser. No. US 1989-405156, filed on 11 Sep 1989, now patented, Pat. No. US 4970218 which is a continuation-in-part of Ser. No. US 1988-171102, filed on 4 Apr 1988, now patented, Pat. No. US 4880822 which is a continuation-in-part of Ser. No. US 1987-42079,

filed on 24 Apr 1987, now abandoned

DOCUMENT TYPE: FILE SEGMENT:

Utility
Granted
Fan, Jane T.

PRIMARY EXAMINER: LEGAL REPRESENTATIVE:

Ikeda, Tatsuya

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1

LINE COUNT:

1694.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

There are disclosed compounds of the formula, ##STR1## wherein m, n, p, R, R.sub.1, R.sub.2 and R.sub.3 are as defined in the specification; which compounds are useful for enhancing memory and also as analgesic and antidepressant agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119229-75-3

(alkylation of, in prepn. of pharmaceuticals)

RN 119229-75-3 USPATFULL

CN 1H-Indol-1-amine, 5-methoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME)

ΙT 119229-42-4

(hydrogenation of, in prepn. of pharmaceuticals)

RN 119229-42-4 USPATFULL

1H-Indol-1-amine, N-(4-nitro-1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME) CN

119229-48-0P IT

(prepn. of, as memory enhancer, antidepressant, and analgesic)

RN 119229-48-0 USPATFULL

CN 1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

119229-40-2P 119229-41-3P 119229-42-4P 119229-43-5P 119229-44-6P 119229-45-7P 119229-46-8P 119229-47-9P 119229-49-1P 119229-50-4P 119229-51-5P 119229-52-6P 119229-53-7P 119229-54-8P 119229-55-9P

119229-37-7P 119229-38-8P 119229-39-9P

119229-56-0P 119229-57-1P 119229-58-2P 119229-59-3P 119229-60-6P 119229-61-7P

119229-62-8P 119229-63-9P 119229-64-0P

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119229-65-1P 119229-66-2P 119229-67-3P
119229-68-4P 119229-69-5P 119257-32-8P
119257-33-9P 119257-34-0P 119257-35-1P
119257-36-2P 119257-37-3P 119257-38-4P
119257-39-5P 119257-40-8P 119257-41-9P
119257-42-0P 119257-43-1P
(prepn. of, for enhancing memory, as analgesic, and antidepressant)
RN 119229-37-7 USPATFULL
CN 1H-Indol-1-amine, 5-methoxy-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)
```

RN 119229-38-8 USPATFULL CN 1H-Indole-3-carboxaldehyde, 1-(methyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

CM 1

CRN 119229-38-8 CMF C15 H13 N3 O

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-40-2 USPATFULL CN 1H-Indole-3-carboxaldehyde, 1-(ethyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 119229-41-3 USPATFULL CN 1H-Indole-3-carboxaldehyde, 1-(ethyl-4-pyridinylamino)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-40-2 CMF C16 H15 N3 O

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-42-4 USPATFULL CN 1H-Indol-1-amine, N-(4-nitro-1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 119229-43-5 USPATFULL CN 1H-Indol-1-amine, 3-ethenyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-44-6 USPATFULL

CN 1H-Indol-1-amine, 3-ethenyl-N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-43-5 CMF C16 H15 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-45-7 USPATFULL

CN 1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

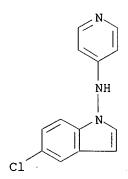
HC1

RN119229-46-8 USPATFULL CN 1H-Indol-1-amine, 5-chloro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-47-9 USPATFULL 1H-Indol-1-amine, 5-chloro-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) CN (CA INDEX NAME)

CM

CRN 119229-46-8 C13 H10 C1 N3 CMF



2 CM

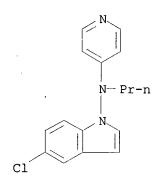
CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-49-1 USPATFULL CN 1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-48-0 CMF C16 H16 C1 N3



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

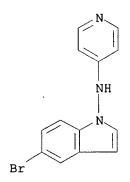
Double bond geometry as shown.

RN 119229-50-4 USPATFULL CN 1H-Indol-1-amine, 5-bromo-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-51-5 USPATFULL CN 1H-Indol-1-amine, 5-bromo-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM I

CRN 119229-50-4 CMF C13 H10 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

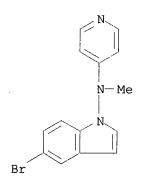
RN 119229-52-6 USPATFULL CN 1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-53-7 USPATFULL

CN 1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-52-6 CMF C14 H12 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-54-8 USPATFULL

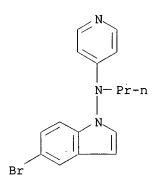
CN 1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-55-9 USPATFULL

CN 1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-54-8 CMF C16 H16 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

$$HO_2C$$
 Z
 CO_2H

RN 119229-56-0 USPATFULL

CN 1H-Indol-1-amine, 5-nitro-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 119229-57-1 USPATFULL CN 1H-Indol-1-amine, 5-nitro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-58-2 USPATFULL CN 1H-Indol-1-amine, N-methyl-5-nitro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-59-3 USPATFULL

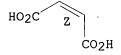
CN 1H-Indol-1-amine, N-methyl-5-nitro-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-58-2 CMF C14 H12 N4 O2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.



RN 119229-60-6 USPATFULL CN 1H-Indol-1-amine, 3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-61-7 USPATFULL CN 1H-Indol-1-amine, 3-methyl-N-4-pyridinyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-60-6 CMF C14 H13 N3

CRN 144-62-7 CMF C2 H2 O4

RN 119229-62-8 USPATFULL

CN 1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-63-9 USPATFULL

CN 1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-62-8 CMF C17 H19 N3

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-64-0 USPATFULL CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 119229-65-1 USPATFULL CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 119229-66-2 USPATFULL CN 1H-Indol-1-amine, N-2-propenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-67-3 USPATFULL CN 1H-Indol-1-amine, N-2-propenyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-66-2 CMF C16 H15 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-68-4 USPATFULL

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

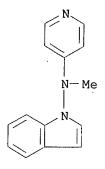
● HCl

RN 119229-69-5 USPATFULL

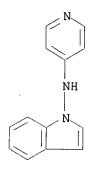
CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-propyl- (9CI) (CA INDEX

RN 119257-32-8 USPATFULL

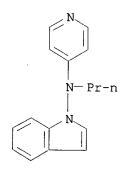
CN 1H-Indol-1-amine, N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119257-33-9 USPATFULL CN 1H-Indol-1-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119257-34-0 USPATFULL CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

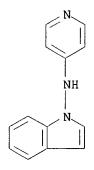


RN 119257-35-1 USPATFULL CN 1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-36-2 USPATFULL CN 1H-Indol-1-amine, N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-33-9 CMF C13 H11 N3



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119257-37-3 USPATFULL CN 1H-Indol-1-amine, N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-32-8 CMF C14 H13 N3

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119257-38-4 USPATFULL CN 1H-Indol-1-amine, N-ethyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-39-5 USPATFULL CN 1H-Indol-1-amine, N-ethyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-38-4 CMF C15 H15 N3

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119257-40-8 USPATFULL

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM1

CRN 119257-34-0 C16 H17 N3 CMF

CM2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

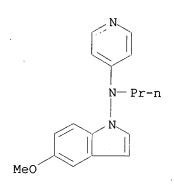
Double bond geometry as shown.

RN 119257-41-9 USPATFULL

CN 1H-Indol-1-amine, 5-methoxy-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-37-7 CMF C17 H19 N3 O



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119257-42-0 USPATFULL

CN 3,4-Pyridinediamine, N3-1H-indol-1-yl-, 1-oxide (9CI) (CA INDEX NAME)

RN 119257-43-1 USPATFULL

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl- (9CI) (CA INDEX NAME)

L9 ANSWER 50 OF 51 USPATFULL

ACCESSION NUMBER: 90:87350 USPATFULL

TITLE:

N-(pyridinyl)-1H-indol-1-amines

INVENTOR(S):

Effland, Richard C., Bridgewater, NJ, United States Klein, Joseph T., Bridgewater, NJ, United States Davis, Larry, Sergeantsville, NJ, United States Olsen, Gordon E., Somerset, NJ, United States

PATENT ASSIGNEE(S): -

Heechst-Roussel Pharmaceuticals Inc., Somerville, NJ,

United States (U.). corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4970218		19901113	
APPLICATION INFO.:	US 1989-405156		19890911	(7)
DISCLAIMER DATE:	20061114			

RELATED APPLN. INFO.:

N. INFO.: Continuation-in-part of Ser. No. US 1988-171102, filed on 4 Apr 1988, now patented, Pat. No. US 4880822 which is a continuation-in-part of Ser. No. US 1987-42079,

filed on 24 Apr 1987, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Fan, Jane T.
LEGAL REPRESENTATIVE: Ikeda, Tatsuya

NUMBER OF CLAIMS: 91 EXEMPLARY CLAIM: 1 LINE COUNT: 1940

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

There are disclosed compounds of the formula, ##STR1## where m, n, p, R, R.sub.1, R.sub.2 and R.sub.3 are as defined in the specification; which compounds are useful for enhancing memory and also as analgesic and antidepressant agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119229-75-3

(alkylation of, in prepn. of pharmaceuticals)

RN 119229-75-3 USPATFULL

CN 1H-Indol-1-amine, 5-methoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME)

ΙT 119229-42-4

(hydrogenation of, in prepn. of pharmaceuticals)

RN 119229-42-4 USPATFULL

1H-Indol-1-amine, N-(4-nitro-1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME) CN

IT 119229-48-0P

(prepn. of, as memory enhancer, antidepressant, and analgesic)

RN 119229-48-0 USPATFULL

CN 1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

119229-37-7P 119229-38-8P 119229-39-9P 119229-40-2P 119229-41-3P 119229-42-4P

119229-43-5P 119229-44-6P 119229-45-7P

119229-46-8P 119229-47-9P 119229-49-1P

119229-50-4P 119229-51-5P 119229-52-6P

119229-53-7P 119229-54-8P 119229-55-9P

119229-56-0P 119229-57-1P 119229-58-2P 119229-59-3P 119229-60-6P 119229-61-7P

119229-62-8P 119229-63-9P 119229-64-0P

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119229-65-1P 119229-66-2P 119229-67-3P
119229-68-4P 119229-69-5P 119257-32-8P
119257-33-9P 119257-34-0P 119257-35-1P
119257-36-2P 119257-37-3P 119257-38-4P
119257-39-5P 119257-40-8P 119257-41-9P
119257-42-0P 119257-43-1P
(prepn. of, for enhancing memory, as analgesic, and antidepressant)
RN 119229-37-7 USPATFULL
CN 1H-Indol-1-amine, 5-methoxy-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)
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RN 119229-38-8 USPATFULL CN 1H-Indole-3-carboxaldehyde, 1-(methyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 119229-39-9 USPATFULL CN 1H-Indole-3-carboxaldehyde, 1-(methyl-4-pyridinylamino)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-38-8 CMF C15 H13 N3 O

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-40-2 USPATFULL CN 1H-Indole-3-carboxaldehyde, 1-(ethyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 119229-41-3 USPATFULL CN 1H-Indole-3-carboxaldehyde, 1-(ethyl-4-pyridinylamino)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-40-2 CMF C16 H15 N3 O

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-42-4 USPATFULL

CN 1H-Indol-1-amine, N-(4-nitro-1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 119229-43-5 USPATFULL

CN 1H-Indol-1-amine, 3-ethenyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN119229-44-6 USPATFULL

 ${\tt 1H-Indol-1-amine,\ 3-ethenyl-N-methyl-N-4-pyridinyl-,\ (2Z)-2-but enedicate}$ CN (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-43-5 CMF C16 H15 N3

CM

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

119229-45-7 USPATFULL RNCN

1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

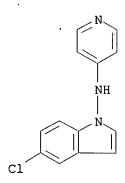
● HCl

RN 119229-46-8 USPATFULL CN 1H-Indol-1-amine, 5-chloro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-47-9 USPATFULL CN 1H-Indol-1-amine, 5-chloro-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM · 1

CRN 119229-46-8 CMF C13 H10 C1 N3



CM 2

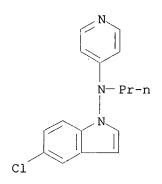
CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-49-1 USPATFULL CN 1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-48-0 CMF C16 H16 C1 N3



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

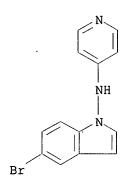
Double bond geometry as shown.

RN 119229-50-4 USPATFULL CN 1H-Indol-1-amine, 5-bromo-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-51-5 USPATFULL CN 1H-Indol-1-amine, 5-bromo-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-50-4 CMF C13 H10 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

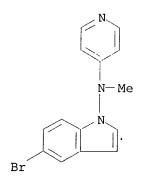
RN 119229-52-6 USPATFULL CN 1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-53-7 USPATFULL

CN 1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-52-6 CMF C14 H12 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-54-8 USPATFULL

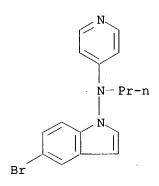
CN 1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-55-9 USPATFULL

CN 1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-54-8 CMF C16 H16 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-56-0 USPATFULL

CN 1H-Indol-1-amine, 5-nitro-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 119229-57-1 USPATFULL CN 1H-Indol-1-amine, 5-nitro-N-4-pyridinyl- (90

1H-Indol-1-amine, 5-nitro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-58-2 USPATFULL

CN 1H-Indol-1-amine, N-methyl-5-nitro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-59-3 USPATFULL

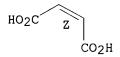
CN 1H-Indol-1-amine, N-methyl-5-nitro-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

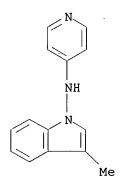
CRN 119229-58-2 CMF C14 H12 N4 O2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.



RN 119229-60-6 USPATFULL CN 1H-Indol-1-amine, 3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119229-61-7 USPATFULL CN 1H-Indol-1-amine, 3-methyl-N-4-pyridinyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

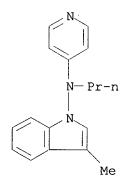
CM 1

CRN 119229-60-6 CMF C14 H13 N3

CRN 144-62-7 CMF C2 H2 O4

RN 119229-62-8 USPATFULL

CN 1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119229-63-9 USPATFULL

CN 1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-62-8 CMF C17 H19 N3

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-64-0 USPATFULL CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 119229-65-1 USPATFULL CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 119229-66-2 USPATFULL CN 1H-Indol-1-amine, N-2-propenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-67-3 USPATFULL CN 1H-Indol-1-amine, N-2-propenyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-66-2 CMF C16 H15 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-68-4 USPATFULL

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

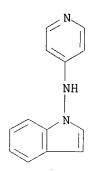
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CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-propyl- (9CI) (CA INDEX NAME)

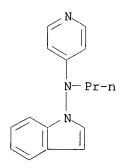
RN 119257-32-8 USPATFULL

CN 1H-Indol-1-amine, N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-33-9 USPATFULL CN 1H-Indol-1-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119257-34-0 USPATFULL CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

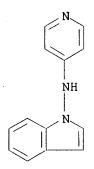


RN 119257-35-1 USPATFULL CN 1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

119257-36-2 USPATFULL RN1H-Indol-1-amine, N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA CNINDEX NAME)

CM1

119257-33-9 CRN CMF C13 H11 N3



CM

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119257-37-3 USPATFULL CN 1H-Indol-1-amine, N-methyl-N-4-pyridinyl-, (22)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM1

119257-32-8 CRN CMF C14 H13 N3

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119257-38-4 USPATFULL CN 1H-Indol-1-amine, N-ethyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-39-5 USPATFULL

CN 1H-Indol-1-amine, N-ethyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-38-4 CMF C15 H15 N3

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119257-40-8 USPATFULL

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-34-0 CMF C16 H17 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119257-41-9 USPATFULL CN 1H-Indol-1-amine, 5-met

N 1H-Indol-1-amine, 5-methoxy-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-37-7 CMF C17 H19 N3 O

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119257-42-0 USPATFULL

CN 3,4-Pyridinediamine, N3-1H-indol-1-yl-, 1-oxide (9CI) (CA INDEX NAME)

RN 119257-43-1 USPATFULL

CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl- (9CI) (CA INDEX

ANSWER 51 OF 51 USPATFULL

89:92528 USPATFULL ACCESSION NUMBER:

N-(pyridinyl)-1H-indol-1-amines TITLE:

Effland, Richard C., Bridgewater, NJ, United States INVENTOR(S):

Klein, Joseph T., Bridgewater, NJ, United States

Hoechst-Roussel Pharmaceuticals, Inc., Somerville, NJ, PATENT ASSIGNEE(S):

<u>United States</u> (U.S. corporation)

KIND DATE NUMBER) 4880822 19891114 1988-171102 19880404

APPLICATION INFO.: Continuation-in-part of Ser. No. US 1987-42079, filed RELATED APPLN. INFO.:

on 24 Apr 1987, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Fan, Jane T. LEGAL REPRESENTATIVE: Ikeda, Tatsuya

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1215 LINE COUNT:

PATENT INFORMATION:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

There are disclosed compounds of the formula ##STR1## where m, n, p, R, R.sub.1, R.sub.2 and R.sub.3 are as defined in the specification which compounds are useful for enhancing memory and also as analgesic and antidepressant agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119229-75-3

(alkylation of, in prepn. of pharmaceuticals)

RN 119229-75-3 USPATFULL

1H-Indol-1-amine, 5-methoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

IT 119229-42-4

(hydrogenation of, in prepn. of pharmaceuticals)

RN 119229-42-4 USPATFULL

CN 1H-Indol-1-amine, \dot{N} -(4-nitro-1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME)

IT 119229-48-0P

(prepn. of, as memory enhancer, antidepressant, and analgesic)

RN 119229-48-0 USPATFULL

CN 1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

IT 119229-37-7P 119229-38-8P 119229-39-9P

119229-40-2P 119229-41-3P 119229-42-4P

119229-43-5P 119229-44-6P 119229-45-7P

119229-46-8P 119229-47-9P 119229-49-1P

119229-50-4P 119229-51-5P 119229-52-6P

119229-53-7P 119229-54-8P 119229-55-9P

119229-56-0P 119229-57-1P 119229-58-2P

119229-59-3P 119229-60-6P 119229-61-7P

119229-62-8P 119229-63-9P 119229-64-0P

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119229-65-1P 119229-66-2P 119229-67-3P
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119257-33-9P 119257-34-0P 119257-35-1P
119257-36-2P 119257-37-3P 119257-38-4P
119257-39-5P 119257-40-8P 119257-41-9P
119257-42-0P 119257-43-1P
(prepn. of, for enhancing memory, as analgesic, and antidepressant)
RN 119229-37-7 USPATFULL
CN 1H-Indol-1-amine, 5-methoxy-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)
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RN 119229-38-8 USPATFULL CN 1H-Indole-3-carboxaldehyde, 1-(methyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 119229-39-9 USPATFULL CN 1H-Indole-3-carboxaldehyde, 1-(methyl-4-pyridinylamino)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-38-8 CMF C15 H13 N3 O

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-40-2 USPATFULL CN 1H-Indole-3-carboxaldehyde, 1-(ethyl-4-pyridinylamino)- (9CI) (CA INDEX NAME)

RN 119229-41-3 USPATFULL CN 1H-Indole-3-carboxaldehyde, 1-(ethyl-4-pyridinylamino)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-40-2 CMF C16 H15 N3 O

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-42-4 USPATFULL

CN 1H-Indol-1-amine, N-(4-nitro-1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 119229-43-5 USPATFULL

CN 1H-Indol-1-amine, 3-ethenyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

10/076191

RN119229-44-6 USPATFULL

1H-Indol-1-amine, 3-ethenyl-N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate CN (1:1) (9CI) (CA INDEX NAME)

CM

CRN 119229-43-5 CMF C16 H15 N3

CM2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

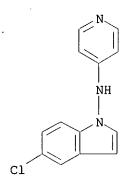
Double bond geometry as shown.

RN 119229-45-7 USPATFULL

1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl-, monohydrochloride (9CI) CN (CA INDEX NAME)

● HCl

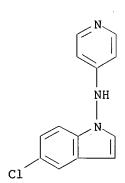
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RN 119229-47-9 USPATFULL CN 1H-Indol-1-amine, 5-chloro-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-46-8 CMF C13 H10 C1 N3



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-49-1 USPATFULL CN 1H-Indol-1-amine, 5-chlo

1H-Indol-1-amine, 5-chloro-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-48-0 CMF C16 H16 C1 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

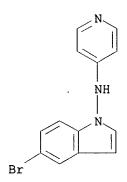
RN 119229-50-4 USPATFULL CN 1H-Indol-1-amine, 5-bromo-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-51-5 USPATFULL

CN 1H-Indol-1-amine, 5-bromo-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-50-4 CMF C13 H10 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.

RN 119229-52-6 USPATFULL

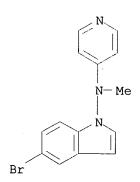
CN .1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-53-7 USPATFULL

CN 1H-Indol-1-amine, 5-bromo-N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-52-6 CMF C14 H12 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-54-8 USPATFULL

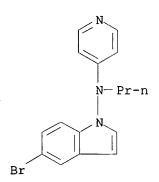
CN 1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-55-9 USPATFULL

CN 1H-Indol-1-amine, 5-bromo-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 119229-54-8 CMF C16 H16 Br N3



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119229-56-0 USPATFULL

CN 1H-Indol-1-amine, 5-nitro-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 119229-57-1 USPATFULL CN 1H-Indol-1-amine, 5-nitro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-58-2 USPATFULL CN 1H-Indol-1-amine, N-methyl-5-nitro-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-59-3 USPATFULL

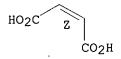
CN 1H-Indol-1-amine, N-methyl-5-nitro-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-58-2 CMF C14 H12 N4 O2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.



RN 119229-60-6 USPATFULL

CN 1H-Indol-1-amine, 3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119229-61-7 USPATFULL

CN 1H-Indol-1-amine, 3-methyl-N-4-pyridinyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

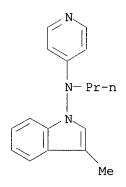
CM 1

CRN 119229-60-6 CMF C14 H13 N3

CRN 144-62-7 CMF C2 H2 O4

RN 119229-62-8 USPATFULL

CN 1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119229-63-9 USPATFULL

CN 1H-Indol-1-amine, 3-methyl-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119229-62-8 CMF C17 H19 N3

CRN 1·10-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

● HCl

RN 119229-65-1 USPATFULL CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl-N-propyl- (9CI) (CA INDEX NAME)

119229-66-2 USPATFULL RN 1H-Indol-1-amine, N-2-propenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

RN119229-67-3 USPATFULL 1H-Indol-1-amine, N-2-propenyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) CN (9CI) (CA INDEX NAME)

CM 1

CRN 119229-66-2 CMF C16 H15 N3

2 CM

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

119229-68-4 USPATFULL RN

 ${\tt 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-propyl-, monohydrochloride}$ CN (9CI) (CA INDEX NAME)

HC1

RN 119229-69-5 USPATFULL

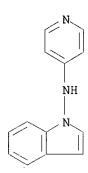
1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-N-propyl- (9CI) (CA INDEX CNNAME)

RN 119257-32-8 USPATFULL

1H-Indol-1-amine, N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

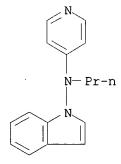
RN 119257-33-9 USPATFULL

CN 1H-Indol-1-amine, N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119257-34-0 USPATFULL

CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 119257-35-1 USPATFULL

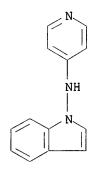
CN 1H-Indol-1-amine, 3-ethyl-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 119257-36-2 USPATFULL

CN 1H-Indol-1-amine, N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-33-9 CMF C13 H11 N3



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119257-37-3 USPATFULL

CN 1H-Indol-1-amine, N-methyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-32-8 CMF C14 H13 N3

10/076191

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

119257-38-4 USPATFULL RN 1H-Indol-1-amine, N-ethyl-N-4-pyridinyl- (9CI) (CA INDEX NAME) CN

119257-39-5 USPATFULL RN 1H-Indol-1-amine, N-ethyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) CN(CA INDEX NAME)

1 CM

CRN 119257-38-4 CMF C15 H15 N3

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 119257-40-8 USPATFULL CN 1H-Indol-1-amine, N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119257-34-0 CMF C16 H17 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

119257-41-9 USPATFULL RN CN

1H-Indol-1-amine, 5-methoxy-N-propyl-N-4-pyridinyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

119229-37-7 CRN C17 H19 N3 O CMF

2 CM

110-16-7 CRN CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

119257-42-0 USPATFULL RN 3,4-Pyridinediamine, N3-1H-indol-1-yl-, 1-oxide (9CI) (CA INDEX NAME) CN

RN 119257-43-1 USPATFULL CN 1H-Indol-1-amine, N-(3-fluoro-4-pyridinyl)-3-methyl- (9CI) (CA INDEXNAME)

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